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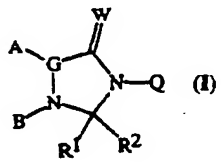

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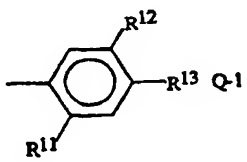

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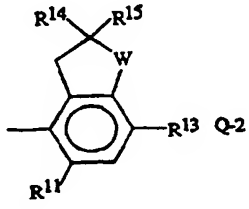

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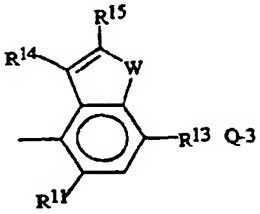

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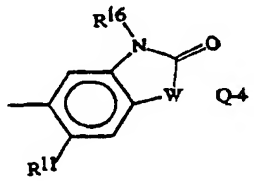

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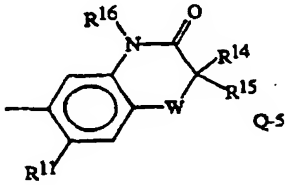

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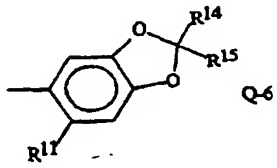

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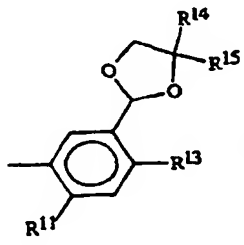

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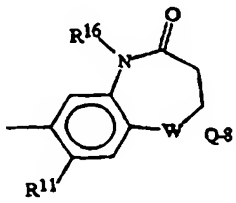

Q-8

(57) Abstract

Compounds such as formula (I) having herbicidal utility are disclosed, wherein Q is (Q-1), (Q-2), (Q-3), (Q-4), (Q-5), (Q-6), (Q-7), or (Q-8); R^1 is H; alkyl, haloalkyl or halogen; R^2 is C_1-C_2 alkyl optionally substituted with one or more halogens, OR^8, CN, COR^9, CO_2R^{31} or $CONR^{32}R^{33}$; CN; CO_2R^{34}; $CONR^{35}R^{36}$; $S(O)_nR^8$; $S(O)_nNR^{19}R^8$ or COR^{37}; or R^1 and R^2 can be taken together along with the carbon to which they are attached to form $C{=}CHCO_2R^{31}$; $C{=}C(CH_3)CO_2R^{31}$; $C{=}C(C_2H_5)CO_2R^{31}$; $C{=}CHCONR^{32}R^{33}$; $C{=}C(CH_3)CONR^{32}R^{33}$ or $C{=}C(C_2H_5)CONR^{32}R^{33}$.

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TITLE

HERBICIDAL IMIDAZOLONES AND A PROCESS FOR THEIR MANUFACTURE

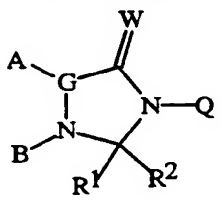
This invention comprises novel imidazolones and their agriculturally suitable salts for weed control in crops. This invention further comprises a simple one-pot procedure for preparing amino amides from the corresponding α-amino acid, ester or lactone, a trialkylaluminum and an amine, the reaction proceeding with retention of configuration and without the need for prior protection of the α-amino moiety.

A general method for the conversion of esters to amides by reaction of an aluminum amide with an ester has been described, see for example, Weinreb et al., *Tetrahedron Lett.*, (1977), 4171-4174. Weinreb et al. discloses the amidation of a protected *N*-acetyl amino acid, however, no mention is made of unprotected amino acids or peptides or the retention or loss of configuration at the carbon bearing the amino moiety. Numerous prior art methods are known for the amidation of protected α-amino acids or esters, however, the prior art does not disclose the direct amidation of unprotected α-amino acids or esters without substantial concomitant racemization when the α-amino acids or esters are enantiomerically enriched.

The present invention demonstrates an advance over the prior art by the direct synthesis of α-amino amides from the corresponding α-amino acids, esters or lactones, without prior protection of the amino group and with retention of configuration at the carbon bearing the α-amino group. A specific application of the method of the present invention is the synthesis of peptides.

SUMMARY OF THE INVENTION

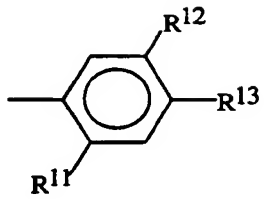
The compounds of this invention are compounds of the formula:



I

wherein

Q is



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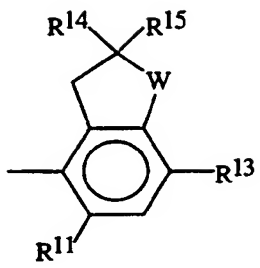

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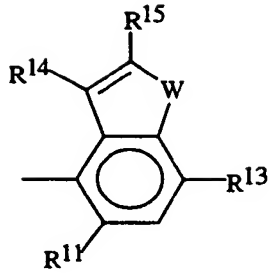

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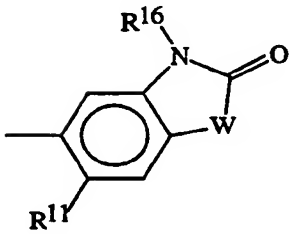

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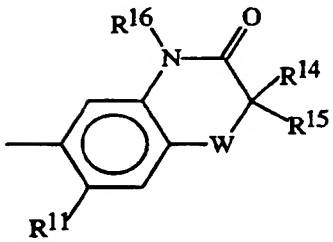

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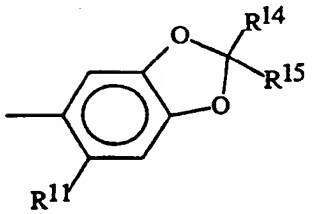

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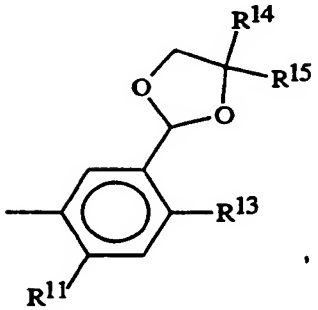

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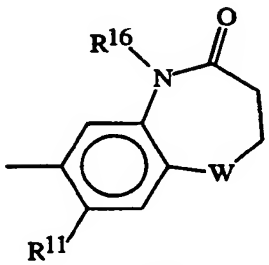
or



Q-8

;

R^1 is H; C_1-C_4 alkyl, C_1-C_4 haloalkyl; or halogen;

R^2 is C_1-C_2 alkyl optionally substituted with one or more halogens, OR^8, CN, COR^9, CO_2R^{31} or $CONR^{32}R^{33}$; CN; CO_2R^{34}; $CONR^{35}R^{36}$; $S(O)_nR^8$; $S(O)_nNR^{19}R^8$ or COR^{37}; or

R^1 and R^2 can be taken together along with the carbon to which they are attached to form $C{=}CHCO_2R^{31}$; $C{=}C(CH_3)CO_2R^{31}$; $C{=}C(C_2H_5)CO_2R^{31}$; $C{=}CHCONR^{32}R^{33}$; $C{=}C(CH_3)CONR^{32}R^{33}$ or $C{=}C(C_2H_5)CONR^{32}R^{33}$;

G is CH; C(C_1-C_4 alkyl); or N;

A is C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_2-C_4 alkynyl; OR^{10}; SR^{10} or halogen;

B is C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_3-C_4 alkenyl or C_3-C_4 alkynyl;

A and B can be taken together as X-Y-Z to form a fused ring such that X is connected to nitrogen and Z is connected to G;

X is CHR^3; CHR^4CHR^5; $CR^4{=}CR^5$;

Y is CHR^6; $CR^6{=}CR^6$; NR^{38}; O or $S(O)_n$;

Z is CHR^7; CHR^4CHR^5; $CR^4{=}CR^5$; NR^{38}; O; or $S(O)_n$;

n is independently O; 1 or 2;

R^3, R^4, R^5, R^6 and R^7 are independently H; halogen; C_1-C_4 alkyl or C_1-C_4 haloalkyl; or

R^3 and R^6, or R^6 and R^7, can be taken together to form $-CH_2-$;

R^8 and R^9 are independently H; C_1-C_6 alkyl; C_2-C_6 alkenyl; C_3-C_6 cycloalkyl or phenyl optionally substitituted with one or more CH_3, OCH_3, NO_2, CN or halogens;

W is independently O or S;

R^{10} is C_1-C_4 alkyl or C_1-C_4 haloalkyl;

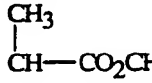
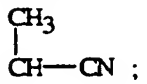
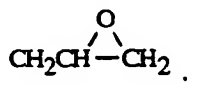
R^{11} is halogen;

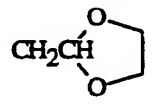
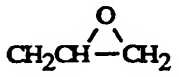
R^{12} is H; C_1-C_8 alkyl; C_1-C_8 haloalkyl; halogen; OH; OR^{17}; SH; $S(O)_nR^{17}$; COR^{17}; CO_2R^{17}; $C(O)SR^{17}$; $C(O)NR^{19}R^{20}$; CHO; $CR^{19}{=}NOR^{26}$; $CH{=}CR^{27}CO_2R^{17}$; $CH_2CHR^{27}CO_2R^{17}$; $CO_2N{=}CR^{21}R^{22}$; NO_2; CN; $NHSO_2R^{23}$; $NHSO_2NHR^{23}$; $NR^{17}R^{28}$; NH_2 or phenyl optionally substituted with R^{29};

R^{13} is C_1-C_2 alkyl; C_1-C_2 haloalkyl; OCH_3; SCH_3; $OCHF_2$; halogen; CN or NO_2;

R^{14} is H; C_1-C_3 alkyl or halogen;

R^{15} is H; C_1-C_3 alkyl; halogen; C_1-C_3 haloalkyl; cyclopropyl; vinyl; C_2 alkynyl; CN; $C(O)R^{28}$; CO_2R^{28}; $C(O)NR^{28}R^{30}$; $CR^{24}R^{25}CN$; $CR^{24}R^{25}C(O)R^{28}$; $CR^{24}R^{25}CO_2R^{28}$; $CR^{24}R^{25}C(O)NR^{28}R^{30}$; $CHR^{24}OH$; $CHR^{24}OC(O)R^{28}$ or $OCHR^{24}OC(O)NR^{28}R^{30}$; or when Q is Q-2 or Q-6, R^{14} and R^{15} can be taken together with the carbon to which they are attached to form C=O;

R^{16} is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkoxyalkyl; C_3-C_6 alkenyl; C_3-C_6 alkynyl;  ;  ; or  ;

R^{17} is C_1-C_8 alkyl; C_3-C_8 cycloalkyl; C_3-C_8 alkenyl; C_3-C_8 alkynyl; C_1-C_8 haloalkyl; C_2-C_8 alkoxyalkyl; C_2-C_8 alkylthioalkyl; C_2-C_8 alkylsulfinylalkyl; C_2-C_8 alkylsulfonylalkyl; C_4-C_8 alkoxyalkoxyalkyl; C_4-C_8 cycloalkylalkyl; C_6-C_8 cycloalkoxyalkyl; C_4-C_8 alkenyloxyalkyl; C_4-C_8 alkynyloxyalkyl; C_3-C_8 haloalkoxyalkyl; C_4-C_8 haloalkenyloxyalkyl; C_4-C_8 haloalkynyloxyalkyl; C_6-C_8 cycloalkylthioalkyl; C_4-C_8 alkenylthioalkyl; C_4-C_8 alkynylthioalkyl; C_1-C_4 alkyl substituted with phenoxy or benzyloxy, each ring optionally substituted with halogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl; C_4-C_8 trialkylsilylalkyl; C_3-C_8 cyanoalkyl; C_3-C_8 halocycloalkyl; C_3-C_8 haloalkenyl; C_5-C_8 alkoxyalkenyl; C_5-C_8 haloalkoxyalkenyl; C_5-C_8 alkylthioalkenyl; C_3-C_8 haloalkynyl; C_5-C_8 alkoxyalkynyl; C_5-C_8 haloalkoxyalkynyl; C_5-C_8 alkylthioalkynyl; C_2-C_8 alkyl carbonyl; benzyl optionally substituted with halogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl; $CHR^{24}COR^{18}$; $CHR^{24}P(O)(OR^{18})_2$; $CHR^{24}P(S)(OR^{18})_2$; $CHR^{24}C(O)NR^{19}R^{20}$; $CHR^{24}C(O)NH_2$; $CHR^{24}CO_2R^{18}$; CO_2R^{18}; SO_2R^{18}; phenyl optionally substituted with R^{29};  ; or  ;

R^{18} is C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_3-C_6 alkenyl or C_3-C_6 alkynyl;

R^{19} and R^{21} are independently H or C_1-C_4 alkyl;

R^{20} and R^{22} are independently C_1-C_4 alkyl or phenyl optionally substituted with halogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl;

R^{19} and R^{20} may be taken together along with the nitrogen to which they are attached to form a piperidinyl, pyrrolidinyl or morpholinyl ring, each ring optionally substituted with C_1-C_3 alkyl, phenyl or benzyl;

R^{21} and R^{22} may be taken together with the carbon to which they are attached to form C_3-C_8 cycloalkyl;

R^{23} is C_1-C_4 alkyl or C_1-C_4 haloalkyl;

R^{24} and R^{25} are independently H or C_1-C_4 alkyl;

R^{26} is H, C_1-C_6 alkyl, C_3-C_6 alkenyl or C_3-C_6 alkynyl;

R^{27} is H, C_1-C_4 alkyl or halogen;

R^{28} and R^{30} are independently H or C_1-C_4 alkyl; and

R^{29} is C_1-C_2 alkyl; C_1-C_2 haloalkyl; OCH_3; SCH_3; $OCHF_2$; halogen; CN or NO_2;

R^{31}, R^{32}, R^{33}, R^{34}. R^{35}, R^{36} and R^{37} are independently H; C_1-C_6 alkyl; C_2-C_6 alkenyl; C_3-C_6 alkynyl; C_3-C_6 cycloalkyl; or benzyl or phenyl each optionally substitituted on the phenyl ring with one or more CH_3, OCH_3, NO_2, CN or halogen;

R^{38} is H; C_1-C_4 alkyl or C_1-C_4 haloalkyl;

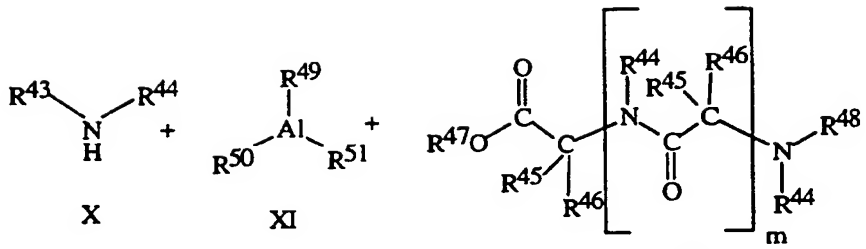
and their corresponding *N*-oxides and agriculturally suitable salts provided that

1) the sum of atoms in the backbone of the moiety of the fused ring formed by X, Y and Z is no greater than 4;
2) only one of X, Y and Z can be other than a carbon containing link;
3) when G is N and A and B are taken together as X-Y-Z, then Z is CHR^7; CHR^4CHR^5; or $CR^4{=}CR^5$;
4) when Q is Q-1 and R^2 is methyl or ethyl, then A and B are taken together as X-Y-Z; and
5) when G is N, A is other than OR^{10}, SR^{10}, or halogen.

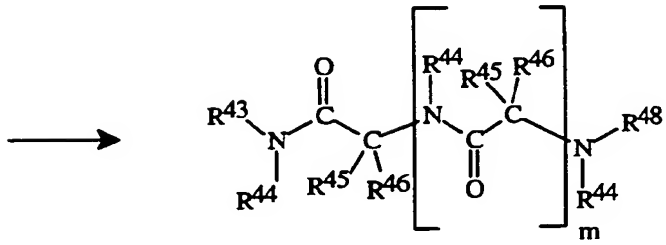
Another embodiment of the invention is an agriculturally suitable composition for controlling the growth of undesired vegetation comprising an effective amount of a compound of Formula I with the substituents as defined above.

A further embodiment of the invention is a method for controlling the growth of undesired vegetation which comprises applying to the locus to be protected an effective amount of a compound of Formula I with the substituents as defined above.

The present invention also involves a process for the preparation of an amino amide of Formula IX which comprises contacting an unprotected α-amino acid, ester or lactone of Formula XIII, with an amine of Formula X or a hydrogen halide salt thereof, and a trialkylaluminum reagent of Formula XI



X XI XIII



IX

wherein:

R^{43} is selected from the group H; NH_2; C_2-C_{12} alkenyl; C_1-C_{12} alkyl or C_3-C_6 cycloalkyl each optionally substituted with a substituent selected from the group morpholinyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, pyridinyl and phenyl, each pyridinyl or phenyl optionally substituted with 1 to 3 substituents independently selected from the group halogen and C_1-C_4 alkyl; and a 5- or 6-membered monocyclic aromatic ring or 9- to 10-membered fused bicyclic aromatic ring each containing 0 to 3 heteroatoms independently selected from the group 0-2 O, 0-2 S, 0-4 N and 0-2 NR^{52}, each ring further optionally substituted with 1, 2 or 3 substituents independently selected from the group halogen, OH, NO_2, SH, CN, C_1-C_6 alkyl, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 alkoxy, C_2-C_6 alkenyloxy and C_3-C_6 alkynyloxy; provided that when R^{44}, R^{45} or R^{46} occur multiply in the same formula, each substituent is independently selected from the defined group;

R^{44} is selected from the group H; C_2-C_{12} alkenyl; C_1-C_{12} alkyl or C_3-C_6 cycloalkyl each optionally substituted with a substituent selected from the group morpholinyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, pyridinyl and phenyl, each pyridinyl or phenyl optionally substituted with 1 to 3 substituents independently selected from the group

halogen and C_1-C_4 alkyl; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; or

R^{43} and R^{44} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2-$;

R^{45} is selected from the group H and C_1-C_6 alkyl;

R^{46} is selected from the group H; C_1-C_6 alkoxy; C_1-C_6 haloalkyl; C_1-C_{12} alkyl optionally substituted with a substituent selected from the group OH, C_1-C_6 alkoxy, SH, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, pyridinyl, phenyl, hydroxyphenyl, morpholinyl, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, 3-indolyl, 4-imidazolyl, 1-methyl-4-imidazolyl, $C(=O)NH_2$, C(=O)OH, $NH(C=NH)NH_2$, and $C(=NH)NH_2$; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; or

R^{45} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2-$; or

R^{46} and R^{47} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$ and $-CH_2CH_2-$;

R^{47} is selected from the group H, phenyl and C_1-C_{12} alkyl; or

R^{44} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$,$-CH_2CH_2-$, $-CH_2CH(OH)CH_2-$ and $-CH_2CH_2OCH_2-$;

R^{48} is selected from the group H and C_1-C_4 alkyl; or

R^{44} and R^{48} are taken together to form a member selected from the group $CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2-$;

R^{49}, R^{50} and R^{51} are independently C_1-C_6 alkyl;

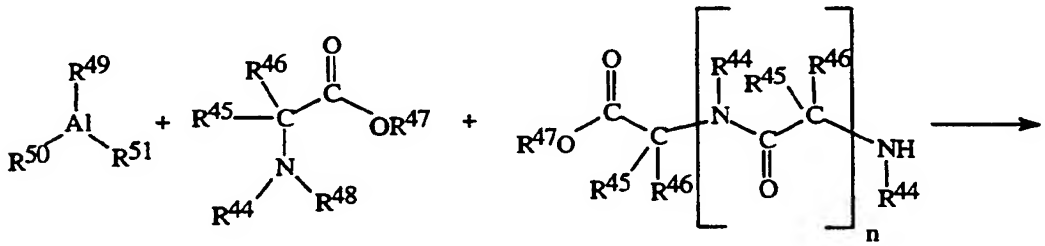
R^{52} is selected from the group H and C_1-C_6 alkyl; and

m is 0 or an integer from 1 to 5.

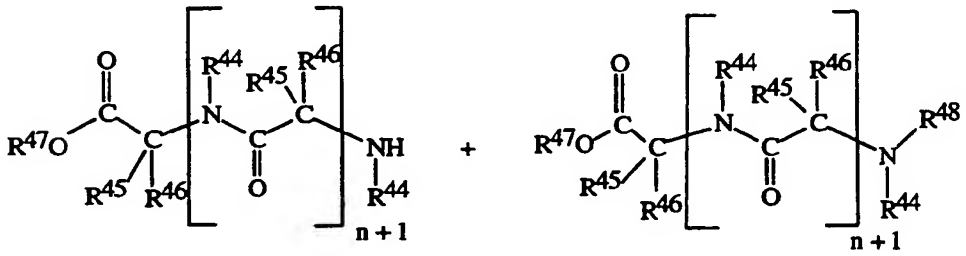
The reactants X, XI and XIII may be combined in any order to produce the desired amino amide of Formula IX. When m is other than 0, the process involves a method for converting the terminal carboxylic acid, ester and lactone of di- and polypeptides to the corresponding amide.

The present invention further involves a process for the preparation of one or both peptides of Formulae XV and XVI comprising contacting an unprotected

α-amino acid, ester or lactone of Formula XIV with a trialkylaluminum of Formula XI and an unprotected α-amino acid, ester or lactone of Formula XIIIa (compounds of Formula XIII wherein m is 0)



XI XIIIa XIV



XV XVI

wherein:

R^{44} is selected from the group H; C_2-C_{12} alkenyl; C_1-C_{12} alkyl or C_3-C_6 cycloalkyl each optionally substituted with a substituent selected from the group morpholinyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, pyridinyl and phenyl, each pyridinyl or phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_4 alkyl; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; provided that when R^{44}, R^{45} or R^{46} occur multiply in the same formula, each substituent is independently selected from the defined group;

R^{45} is selected from the group H and C_1-C_6 alkyl;

R^{46} is selected from the group H; C_1-C_6 alkoxy; C_1-C_6 haloalkyl; C_1-C_{12} alkyl optionally substituted with a substituent selected from the group OH, C_1-C_6 alkoxy, SH, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, pyridinyl, phenyl, hydroxyphenyl, morpholinyl, amino, C_1-C_6

alkylamino, C_2-C_6 dialkylamino, 3-indolyl, 4-imidazolyl, 1-methyl-4-imidazolyl, $C(=O)NH_2$, $C(=O)OH$, $NHC(=NH)NH_2$, and $C(=NH)NH_2$; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; or

R^{45} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2-$; or

R^{46} and R^{47} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$ and $-CH_2CH_2-$;

R^{47} is selected from the group H, phenyl and C_1-C_{12} alkyl; or

R^{44} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2-$, $-CH_2CH(OH)CH_2-$ and $-CH_2CH_2OCH_2-$;

R^{48} is selected from the group H and C_1-C_4 alkyl; or

R^{44} and R^{48} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2-$;

R^{49}, R^{50} and R^{51} are independently C_1-C_6 alkyl; and

n is 0 or an integer from 1 to 5.

Preferred embodiments of the above process comprise processes wherein n is 0 in XIV, XV and XVI and involves:

(a) first contacting the trialkylaluminum with the α-amino acid, ester or lactone of Formula XIV followed by contacting the mixture with an α-amino acid, ester or lactone of Formula XIIIa to produce the dipeptide of Formula XV, provided that R^{48} is H; or

(b) first contacting the trialkylaluminum with an α-amino acid, ester or lactone of Formula XIIIa followed by contacting the mixture with an α-amino acid, ester or lactone of Formula XIV to produce the dipeptide of Formula XV; or

(c) adding the trialkylaluminum to a mixture of compounds of Formulae XIIIa and XIV to produce one or both dipeptides of Formulae XV and XVI.

DETAILS OF THE INVENTION

Compounds of Formula I may exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be the more active. One skilled in the art knows how to separate said enantiomers, diasteriomers and geometric isomers. Accordingly, the present invention

comprises racemic mixtures, individual stereoisomers, and optically active mixtures.

The term "monocyclic aromatic ring" is defined as those monocyclic rings which satisfy the Hückel rule, examples include: 5- or 6- membered monocyclic aromatic rings containing 0 to 4 heteroatoms such as phenyl, furyl, furazanyl, thienyl, pyrrolyl, pyrazolyl, oxazolyl, oxadiazolyl, imidazolyl, isoxazolyl, thiazolyl, thiadiazolyl, isothiazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl and triazinyl with said ring attached through any available carbon or nitrogen, for example, when the aromatic ring system is furyl, it can be 2-furyl or 3-furyl, for pyrrolyl, the aromatic ring system is 1-pyrrolyl, 2-pyrrolyl or 3-pyrrolyl, for pyridyl, the aromatic ring system is 2-pyridyl, 3-pyridyl or 4-pyridyl and similarly for other monocyclic aromatic rings.

The term "fused bicyclic aromatic ring " is defined as a fused bicyclic ring wherein at least one ring satisfies the Hückel rule, examples include quinolyl, isoquinolyl, quinoxalinyl, benzofuranyl, 2,3-dihydrobenzofuranyl, isobenzofuranyl, benzothienyl, benzodioxolyl, chromanyl, indolinyl, isoindolyl, naphthyl, thienofuranyl, and purinyl. As with the monocyclic aromatic rings, the fused bicyclic aromatic rings can be attached through any available carbon or nitrogen, for example, for naphthyl, the carbobicyclic aromatic ring is 1-naphthyl or 2-naphthyl and for benzofuranyl, the aromatic ring system can be 2-, 3-, 4-, 5-, 6-, or 7-benzofuranyl.

In the above recitations, the term "alkyl" used either alone or in compound words such as "alkylthio" denotes straight or branched alkyl such as methyl, ethyl, n-propyl, isopropyl and the different butyl, pentyl and hexyl isomers. Examples of "alkylsulfonyl" include $CH_3S(O)_2$, $CH_3CH_2S(O)_2$, $CH_3CH_2CH_2S(O)_2$, $(CH_3)_2CHS(O)_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. Alkoxy denotes methoxy, ethoxy, n-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. Alkenyl denotes straight or branched chain alkenes such as vinyl, 1-propenyl, 2-propenyl and the different butenyl, pentenyl and hexenyl isomers. "Alkenyloxy" denotes straight-chain or branched alkenyloxy moieties, examples include $H_2C=CHCH_2O$, $(CH_3)_2C=CHCH_2O$, $(CH_3)CH=CHCH_2O$, $(CH_3)CH=C(CH_3)CH_2O$ and $CH_2=CHCH_2CH_2O$. "Alkynyloxy" denotes straight-chain or branched alkynyloxy moieties, examples include $HC\equiv CCH_2O$, $CH_3C\equiv CCH_2O$ and

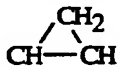
$CH_3C{\equiv}CCH_2CH_2O$. Cycloalkyl denotes cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "halogen", either alone or in compound word such as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl can be partially or fully substituted with independently selected halogen atoms. Examples of haloalkyl include CH_2CH_2F, CF_2CF_3 and CH_2CHFCl.

The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 12. For example, C_4 alkoxy designates the various isomers of an alkoxy group containing a total of 4 carbon atoms, examples including $OCH_2CH_2CH_2CH_3$, $OCH_2CH(CH_3)_2$, $OC(CH_3)_3$.

Preferred compounds of Formula I for reasons including ease of synthesis and/or greater herbicidal efficacy are:

1. A compound of Formula I wherein
   A and B are taken together as X-Y-Z;
   X is CHR^3; or CHR^4CHR^5;
   Y is CHR^6 or O;
   Z is CHR^7; CHR^4CHR^5; or -X-Y- or -Y-Z- is

   ;
   R^{12} is H; C_1-C_8 alkyl; C_1-C_8 haloalkyl; halogen; OH; OR^{17}; SH; $S(O)_nR^{17}$; COR^{17}; CO_2R^{17}; $C(O)SR^{17}$; $C(O)NR^{19}R^{20}$; CHO; $CH{=}CHCO_2R^{17}$; $CO_2N{=}CR^{21}R^{22}$; NO_2; CN; $NHSO_2R^{23}$; or $NHSO_2NHR^{23}$; and
   R^3, R^4, R^5, R^6 and R^7 are independently H; halogen; CF_3 or C_1-C_4 alkyl;

provided that only one of R^3, R^4, R^5, R^6 and R^7 is other than hydrogen.

2. Compounds of Preferred 1 wherein
   Q is selected from the group consisting of Q-1, Q-2, Q-3, Q-4 and Q-5;
   R^{17} is C_1-C_4 alkyl; C_3-C_4 alkenyl; C_3-C_4 alkynyl; C_2-C_4 alkoxyalkyl; C_1-C_4 haloalkyl; C_3-C_4 haloalkenyl or C_3-C_4 haloalkynyl; and
   R^3, R^4, R^5, R^6 and R^7 are independently H; F; CH_3 or CF_3.
3. Compounds of Preferred 2 wherein
   R^1 is H; and

R^{13} is halogen or CN.

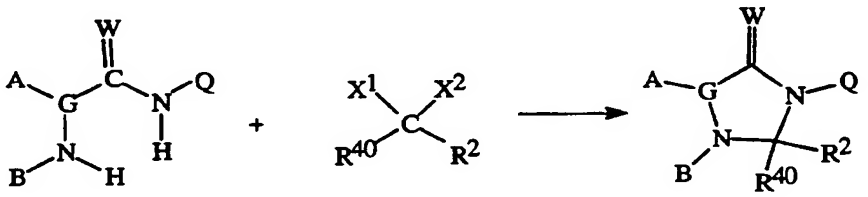
4. Compounds of Preferred 3 wherein
   R^2 is CO_2R^{34} or $CONR^{35}R^{36}$; and
   R^3, R^4, R^5, R^6 and R^7 are independently H or F.

Specifically preferred is a compound of Preferred 4 which is:
ethyl 2-(4-chloro-2-fluorophenyl)octahydro-1-oxoimidazo[1,5-a]pyridine-3-carboxylate.

The compounds represented by Formula I can be prepared according to the methods illustrated below in Schemes 1-8. The definitions of A, B, G, W, X, Y, Z, and R^1 through R^{38} in the compounds of Formula I - VIII below are as defined above in the Summary of the Invention. Compounds of Formula Ia - Ih are within the defintion of compounds of Formula I.

Compounds of Formula Ia wherein R^{40} is hydrogen, C_1-C_4 alkyl, or C_1-C_4 haloalkyl may be prepared by condensing amides of Formula II with dihalides or carbonyl compounds of Formula III as illustrated in Scheme 1. Compounds of Formula Ia are compounds of Formula I wherein R^1 is other than halogen.

Scheme 1



II III Ia

R^{40} = R^1 other than halogen;
X^1 and X^2 are independently F, Br, Cl, or I; or
X^1 and X^2 can be taken together along with the carbon to which they are attached to form C=O.

When the compound of Formula III is an aldehyde or ketone (X^1 and X^2 are taken together with the attached carbon to form C=O), amides of Formula II are condensed with the carbonyl compound in the presence of sodium hydroxide in water at a temperature between 0° and 25°C using the procedures described by D. A. Johnson in *J. Org. Chem.*, (1966), *31*, 897.

When the compound of Formula III is a dihalide (X^1 and X^2 are halogens), the condensation is conducted in the presence of a base by heating the mixture of

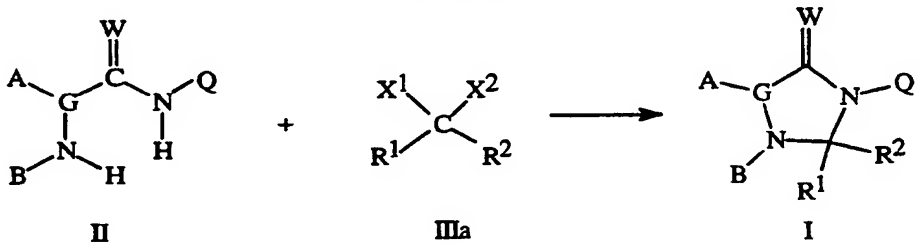
II and III in an inert solvent. Preferred dihalides of Formula III are ethyl bromofluoroacetate and ethyl bromodifluoroacetate. Examples of suitable bases include alkali salts of carbonate, such as potassium, sodium and lithium, and hydride bases such as sodium hydride. Examples of inert solvents include ethers such as diethyl ether, tetrahydrofuran and dioxane; esters such as ethyl acetate; amides such as dimethylformamide; and acetonitrile. Although the cyclization of compounds of Formula II with dihalides of Formula III proceeds at room temperature, the reaction is preferably performed by heating above room temperature.

Once the reaction is complete, the reaction mixture is diluted with an organic solvent and washed with water. Evaporation of the solvent affords the crude imidazolinone of Formula Ia which can be purified by chromatography or recrystallization.

Dihalides, aldehydes and ketones of Formula III can be prepared by known methods and many are commercially available. For example, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, 1985.

Compounds of Formula I wherein R^1 is halogen can also be prepared using the procedure as outlined in Scheme 2. In this instance, X^1 and X^2 are halogens as indicated in the compounds of Formula IIIa.

Scheme 2



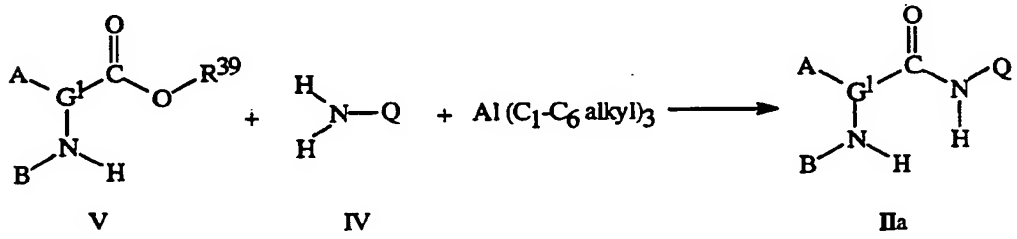
II IIIa I

X^1 and X^2 are independently F, Br, Cl, or I;

Some compounds of Formula II, compounds of Formula IIa, can be prepared as outlined in Scheme 3. Compounds of Formula IIa are compounds of Formula II wherein G is CH or C(C_1-C_4 alkyl) and W is O. The ester or acid of Formula V wherein R^{39} is hydrogen, C_1-C_6 alkyl, phenyl, or benzyl, (e.g., proline, pipecolinic, or valine acid or esters), is reacted with a substituted-phenyl amine of Formula IV and a trialkylaluminum reagent (e.g., trimethylaluminum),

in a non-coordinating solvent such as an aromatic hydrocarbon (e.g., benzene and toluene) or halogenated hydrocarbon (e.g., methylene chloride, chloroform, carbon tetrachloride, and dichlorobutane) to obtain an amide of Formula IIa. Generally, the reaction requires 0.1 to 48 h at a temperature of 0° to 25°C to proceed to completion. The amides of Formula IIa are isolated by extraction into an organic solvent, aqueous wash, and removal of the solvent *in vacuo*. Purification can be accomplished by chromatography or recrystallization.

Scheme 3



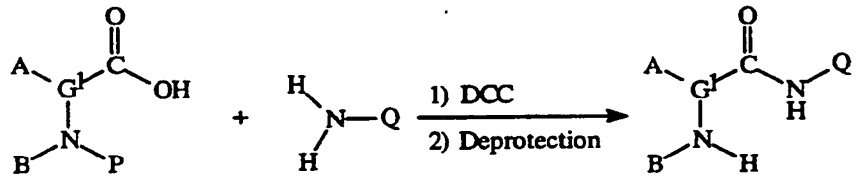
V IV IIa

R^{39} = H, C_1-C_6 alkyl, phenyl, or benzyl

G^1 = CH or C(C_1-C_6 alkyl)

Alternatively, amides of Formula IIa can be generated using conventional 1,3-dicyclohexylcarbodiimide (DCC) procedures for coupling *N*-protected compounds of Formula Va with amines of Formula IV followed by removal of the protecting group according to the procedures outlined by Bodanszky, M. in *Principles of Peptide Synthesis*, Volume 16, Springer-Verlag, New York, (1984) (Scheme 4).

Scheme 4



Va IV IIa

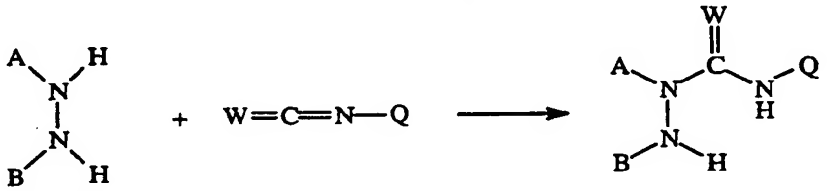
P = *N*-protecting group

G^1 = CH or C(C_1-C_6 alkyl)

α-Amino esters and acids of Formulae V and Va can be prepared by known methods and many are commercially available. See for example, R. M. Williams in *Synthesis of Optically Active α-Amino Acids*, Vol. 27, Pergamon, New York: (1989). Substituted-phenyl amines of Formula IV can also be prepared by known methods. For example, the synthesis of amines of Formula IV wherein Q is Q-1, Q-4, Q-5, and Q-8 is described in U.S. 4,902,335. The synthesis of amines wherein Q is Q-2 and Q-3 can be prepared as described in U.S. 5,053,071 or by well known modifications thereof. The amines of Formula Q-6 and Q-7 can be prepared by well known functional group transformations of known phenyl derivatives.

Amides of Formula II wherein G is N, compounds of Formula IIb, can be prepared according to the method outlined in Scheme 5. Hydrazines of Formula VI are reacted with an isocyanate (W = O) or isothiocyanate (W = S) of Formula VII in an inert solvent such as methylene chloride at about 0° to 80°C. The isocyanates and isothiocyanates are prepared by known methods from the appropriate aniline (see EP-A-448,188). Many hydrazines of Formula VI are known and others can be prepared by known methods.

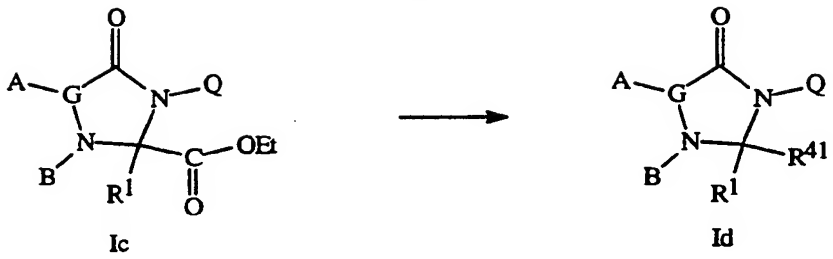
Scheme 5



VI VII IIb

Alternatively, some compounds of Formula I (compounds of Formula Id) may be prepared by transforming the nature of the R^2 group of imidazolinones of Formula Ic (Scheme 6). Compounds of Formula Id are compounds of Formula I wherein W is O and R^2 is methyl optionally substituted with one or more halogens, OR^8, CN, COR^9, CO_2R^{31} or $CONR^{32}R^{33}$; CN; CO_2R^{34}; $CONR^{35}R^{36}$; $S(O)_nR^8$; or $S(O)_nNR^8R^{19}$. Compounds of Formula Ic are compounds of Formula I wherein W is O and R^2 is CO_2Et and may be prepared by the methods illustrated in Schemes 1 and 2.

Scheme 6



Ic Id

R^{41} = methyl optionally substituted with halogens, OR^8, CN, COR^9, CO_2R^{31}, or $CONR^{32}R^{33}$; CN; CO_2R^{34}; $CONR^{35}R^{36}$; $S(O)_nR^8$; $S(O)_nNR^{19}R^8$; or COR^{37}

The ester of Formula Ic is hydrolyzed with sodium hydroxide in solvent such as methanol or ethanol at about 0° to 50°C to provide the corresponding carboxylic acid. The acid can be converted to the corresponding ester (R^{41} = CO_2R^{34}) or the amide (R^{41} = $CONR^{35}R^{36}$) of Formula Id by treatment with thionyl chloride or oxalyl chloride to form the acid chloride followed by treatment with the appropriate alcohol R^{34}-OH or amine H-$NR^{35}R^{36}$, respectively.

Treatment of the acid chloride with ammonia produces the unsubstituted amide, R^{41} = $CONH_2$, which can be dehydrated by conventional procedures to form the nitrile, R^{41} = CN.

Alternatively, esterification of the carboxylic acid can be be achieved by reacting the acid with an appropriate alkyl halide in the presence of a base such as potassium carbonate in an inert solvent such as dimethylformamide at about 0° to 60°C to give the ester of Formula Id (R^{41}=CO_2R^{34}).

The amide of compound Id (R^2=$CONR^{35}R^{36}$), can also be obtained by conventional 1,3-dicyclohexylcarbodiimide (DCC) coupling between the carboxylic acid and the appropriate amine H-$NR^{35}R^{36}$. The DCC coupling procedure is described by Bodanszky, M. and Bodanszky, A; in *The Practice of Peptide Synthesis*, Vol. 21; Springer-Verlag, New York: (1984).

Reduction of the carboxylic acid or ester with a reducing agent such as lithium aluminum hydride in solvent such as terahydrofuran at 0° to 80°C produces the corresponding alcohol, a compound of Formula Id wherein R^{41} = CH_2OH.

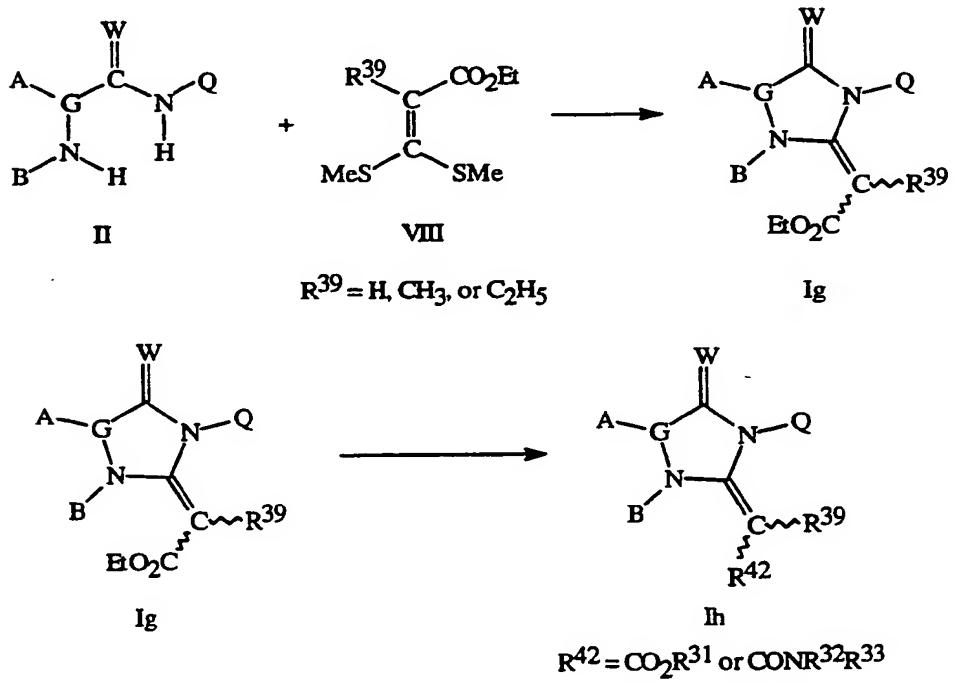
Treatment of the alcohol with an R^8-halide, in the presence of a base, such as potassium carbonate, in an inert solvent, such as acetonitrile, produces compounds of Formula Id wherein R^{41} is CH_2OR^8.

Other R^{41} substituents are also derivable from the CO_2Et group in compounds of Formula Ic using known functional group transformations.

Imidazolinones of Formula I wherein R^1 and R^2 are taken together, compounds of Formula Ih, are prepared as illustrated in Scheme 7. Amides of Formula II are treated with ketene dithioacetals of Formula VIII to form imidazolinones of Formula Ig. The reaction occurs in the presence of triethylamine or sodium methoxide/ethoxide in ethanol or methanol at reflux according to the procedure outlined by Z. T. Huang et al. in *Synth. Commun.*, (1991), *21*, 1177-1187. The ketene dithioacetals of Formula VIII are known or can be prepared by known methods.

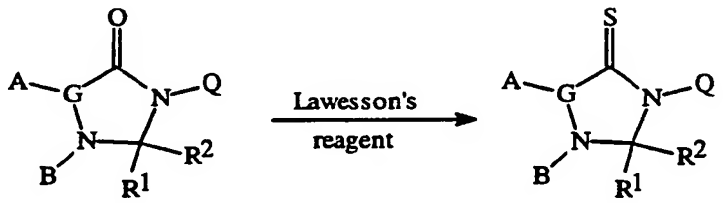
The ester group in imidazolinones of Formula Ig can be hydrolyzed to the corresponding carboxylic acid as described previously (see Scheme 6). The acid can then be converted to other esters or to amides using well-known procedures and discussed above to give compounds of Formula Ih.

Scheme 7



In addition to the methods described above, compounds of Formula I wherein W = S (If) can be obtained from the corresponding compound of Formula I wherein W = O (Ie) by treatment with Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide, Scheme 8). If the imidazolinone of Formula Ie contains a second carbonyl group, one skilled in the art recognizes that protection of said carbonyl group may be required. See Greene, T. W. and Wuts, P. G. M.; *Protective Groups in Organic Synthesis*, 2nd Ed.; John Wiley & Sons, Inc.; New York, (1980) for suitable protecting groups. The thionation is performed in an inert solvent such as benzene, toluene, or chloroform at room temperature to 115°C according to the method of S.O. Lawesson et al. in *Nouv. J. Chim.*, (1980), *4*, 47.

Scheme 8



Ie If

The process for the preparation of amides of Formula IX and peptides of Formulae XV and XVI is described below.

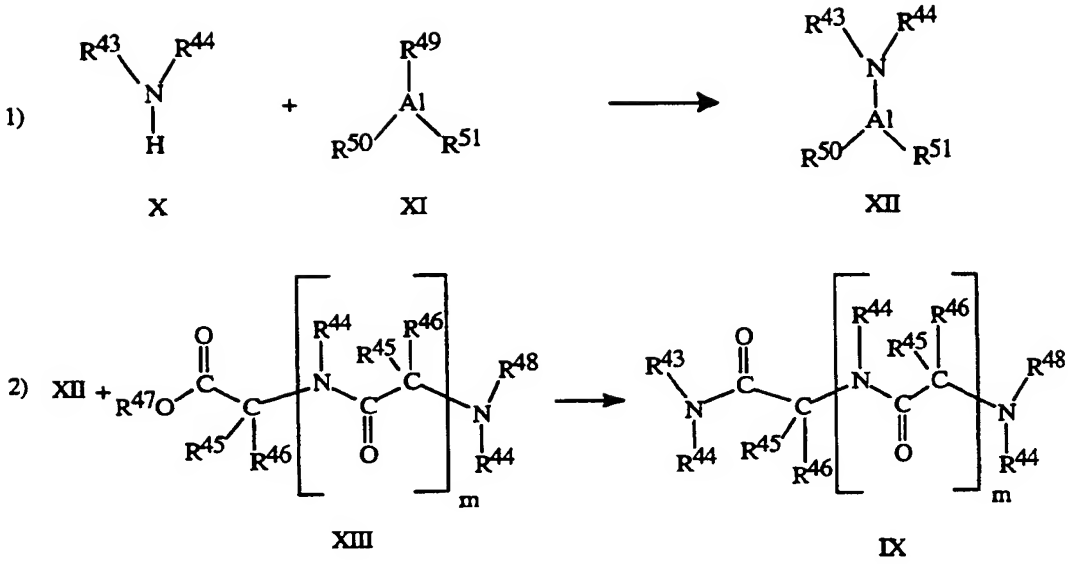
One skilled in the art will recognize that some amides of Formula IX are the amide intermediates of Formula II used in the preparation of the imidazolones of Formula I. Some compounds of Formula II are some compounds of Formula IX wherein R^{43} is Q, R^{44} is H, R^{46} is A, m is 0, R^{44} is B, and R^{48} is H. Therefore, the process described hereinafter can be used to prepare imidazolones of Formula I.

The term α-amino defines an amino group, NH_2, or its hydrogen halide salt, attached to the α-carbon of a carboxylic acid, ester or lactone. The nitrogen atom of the amino group can optionally be substituted or is part of a cyclic ring containing 5 to 6 atoms. The term unprotected is defined to mean that no synthetic manipulation is required to functionalize (protect) the α-amino group of the acid, ester or lactone prior to contact with the trialkylaluminum and amine. Protection of the α-amino moiety is normally required in the synthetic manipulation of α-amino acids to (i) overcome undesired product formation

resulting from the unwanted participation of the α-amino moiety in the reaction and/or (ii) loss of configurational integrity at the carbon bearing the α-amino moiety. The loss of configurational integrity is defined to mean substantial racemization when the starting α-amino acid, ester or lactone is chiral at the carbon bearing the α-amino moiety. The term chiral when applied to the α-amino acid, ester or lactone is defined to mean enantiomerically pure, that is, consists of a single enantiomer, or enriched in one enantiomer. That is, the chiral molecules are optically active. Typical *N*-protecting groups used in α-amino acid manipulations, particularly for the synthesis of α-amino amides from α-amino acids, include forming carbamates, formamides, acetamides, benzamides or cyclic derivatives (using phosgene). For a discussion of the protection of amino groups see Greene, T. W. and Wuts, P. G. M., *Protective Groups in Organic Synthesis*, 2nd Ed.; John Wiley & Sons, Inc.: New York, (1991).

In a preferred embodiment of the process of the present invention, the desired amine is first converted to an aluminum amide of Formula XII by treatment of the amine in a non-coordinating solvent such as benzene, chlorobutane, 1,2-dichloroethane, carbon tetrachloride, chloroform, hexane, acetonitrile, toluene or methylene chloride with a trialkylaluminum at a temperature of about -10°C to about 150°C. The resultant aluminum amide which is not isolated is treated at a temperature of about -10°C to about 150°C with the free base or hydrogen halide salt of an α-amino carboxylic acid, ester or lactone to yield the corresponding α-amino amide. A representative reaction is shown in Equations 1 and 2 of Scheme 9.

Scheme 9



wherein R^{43}, R^{44}, R^{45}, R^{46}, R^{47}, R^{48}, R^{49}, R^{50}, R^{51} and m are as defined above in the corresponding Formulae. A preferred embodiment of the process illustrated in Scheme 9 involves compounds XIII and IX wherein m is 0. A more preferred process is that in which m is 0 and the α-amino acid, ester or lactone of Formula XIII is optically active.

The amines (X) and the α-amino carboxylic acid, ester or lactones (XIII) are known or easily prepared by known methods or by the method of the present invention. Trialkylaluminum reagents of Formula XI are commercially available or easily prepared by known methods. The process of the present invention is particularly advantageous when the α-amino carboxylic acid, ester or lactone is chiral, as no detectable racemization occurs. Thus, the process of the present invention is an improvement over known methods in its simple (one-pot) procedure for converting an α-amino carboxylic acid, ester or lactone, without protection of the α-amino group, while maintaining the configurational integrity of the starting α-amino containing substrate.

In one example of the process of the present invention, an amine of Formula X is dissolved or suspended in a non-coordinating solvent such as benzene, chlorobutane, 1,2-dichloroethane, carbon tetrachloride, chloroform, hexane, acetonitrile, toluene or methylene chloride. A solution of 1-4 molar equivalents

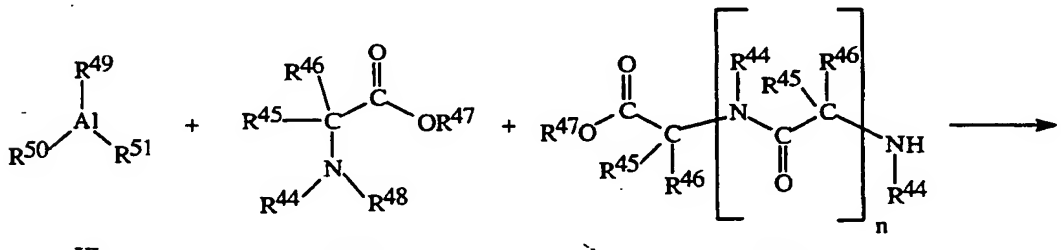
added to the amine solution at 0°C. The resultant mixture containing the aluminum amide is allowed to warm to room temperature and is stirred for 0.1 to 48 hours. The mixture is then cooled to 5-10°C, treated with the α-amino carboxylic acid, ester or lactone of Formula XIII and allowed to warm to room temperature and stirred for 0.1 to 72 hours. Isolation of the amino amide (IX) yields a product with no detectable loss of stereochemical integrity.

Alternatively, the order of addition of the reactants can be reversed when m is 0. The α-amino carboxylic acid, ester or lactone of Formula XIII is dissolved or suspended in one of the organic solvents listed above and treated with 1-4 molar equivalents of a trialkylaluminum (e.g., trimethylaluminum in hexane) at 0°C. After warming the resulting mixture to room temperature, stirring for 0.1 to 48 hours, and subsequent cooling to 5-10°C, the mixture is then treated with the amine of Formula X. Again, the amino amide (IX) which forms after 0.1 to 72 hours at room temperature undergoes no detectable loss of stereochemical integrity.

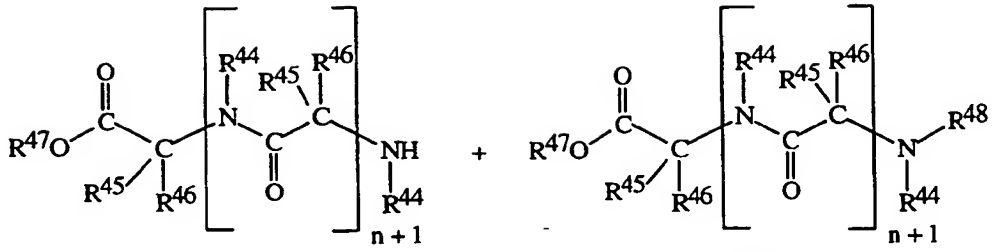
A third method of performing the process of the present invention is to add the trialkylaluminum to a cooled mixture of the α-amino carboxylic acid, ester or lactone of Formula XIII and amine of Formula X in a non-coordinating solvent. The mixture is warmed to room temperature and stirred for 0.1 to 72 hours. Once again, isolation of the amino amide (IX) yields a product with no detectable racemization.

When the starting amine is an α-amino carboxylic acid, ester or lactone, that is, a compound of Formula XIV, one skilled in the art will recognize the present process as a convenient procedure for making di- and polypeptides of Formulae XV and XVI (see Scheme 10)

Scheme 10



XI XIIIa XIV



XV XVI

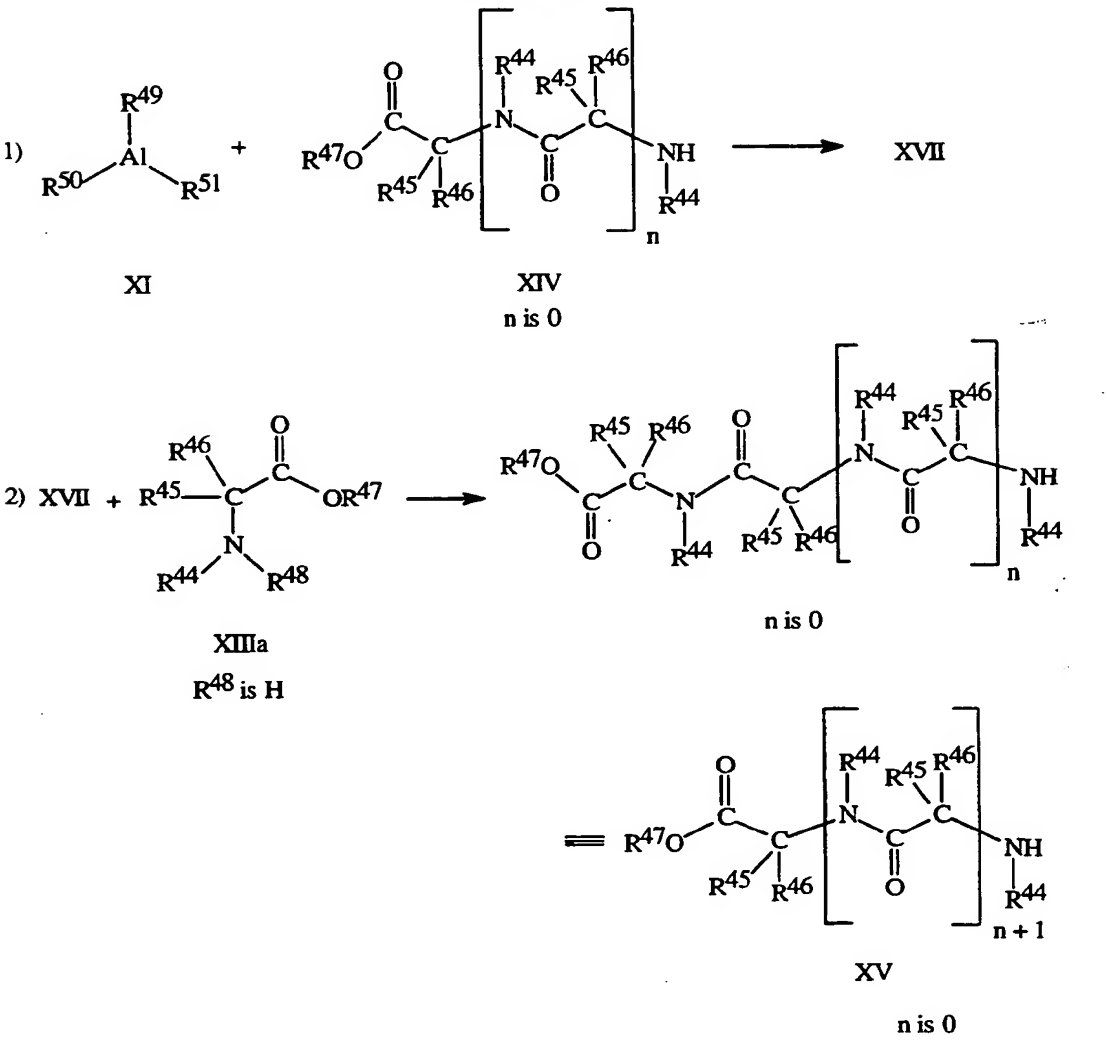
wherein R^{44}, R^{45}, R^{46}, R^{47}, R^{48}, R^{49}, R^{50}, R^{51} and n are as defined above in the corresponding Formulae.

A preferred embodiment of the process illustrated in Scheme 10 involves compounds of Formula XIV wherein n is 0. In these cases, two α-amino acids, esters or lactones react to form one or more dipeptides of Formulae XV and XVI. The order of addition of the reactants influences whether one or both dipeptides are formed, and when one dipeptide is formed, whether the structure is of Formula XV or XVI. Another preferred embodiment of the process illustrated in Scheme 10 involves an α-amino carboxylic acid, ester, or lactone of Formula XIIIa which is optically active. Also preferred is the process involving an optically active α-amino carboxylic acid, ester, or lactone of Formula XIV. A more preferred embodiment involves α-amino carboxylic acids, esters, or lactones of Formulae XIIIa and XIV which are both optically active.

In an example of the process illustrated in Scheme 10, an α-amino acid, ester or lactone of Formula XIIIa is dissolved or suspended in a non-coordinating solvent such as benzene, chlorobutane, 1,2-dichloroethane, carbon tetrachloride, chloroform, hexane, acetonitrile, toluene or methylene chloride. A solution of 1-4 molar equivalents (depending on the nature of the amine) of trimethylaluminum in hexane is slowly added to the amine solution at 0°C. The resultant mixture containing the aluminum amide is allowed to warm to room temperature and is stirred for 0.1 to 48 hours. The mixture is then cooled to 5-10°C, treated with the α-amino carboxylic acid, ester or lactone of Formula XIV and allowed to warm to room temperature and stirred for 0.1 to 72 hours. Isolation of the compound of Formulae XV or XVI, or both XV and XVI, yields a di- or polypeptide with no detectable loss of stereochemical integrity.

Scheme 11 illustrates the process of the present invention for the preparation of dipeptides of Formula XV (n is 0).

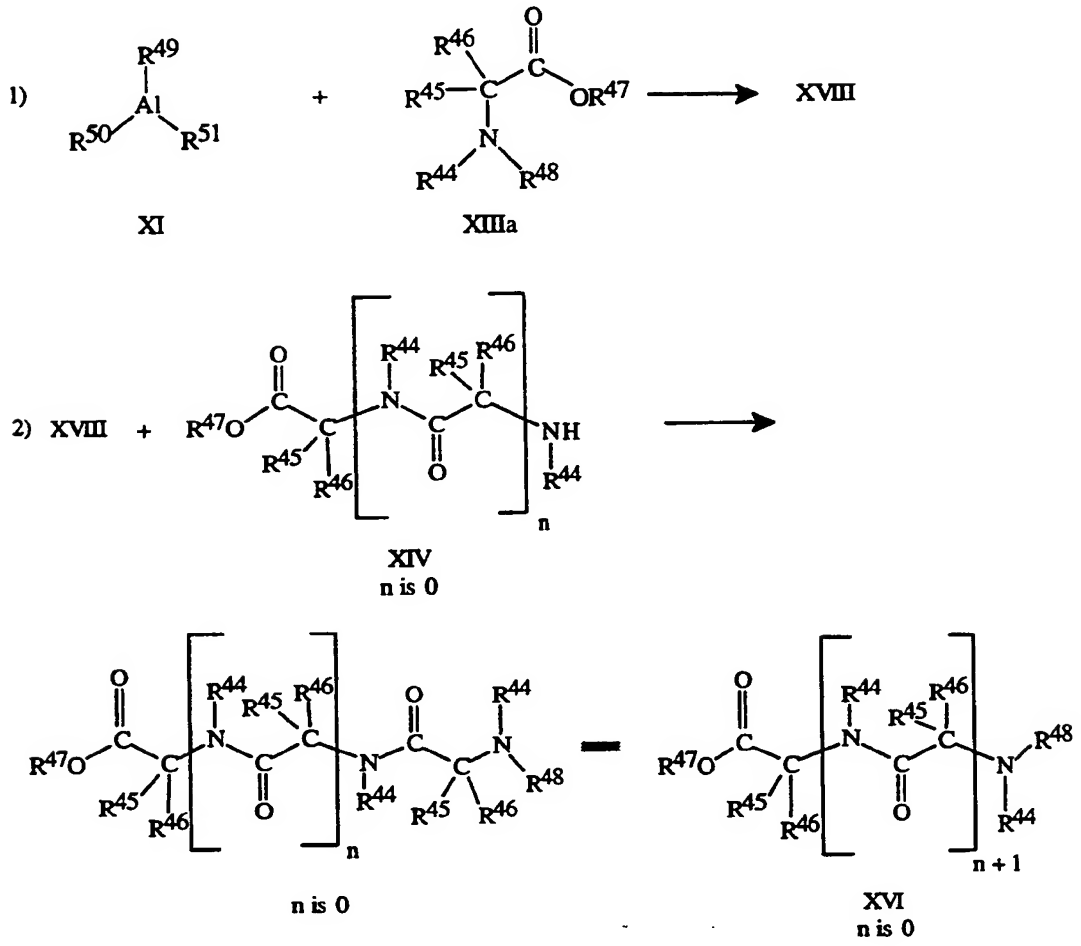
Scheme 11



In Equation 1, a trialkylaluminum reagent of Formula XI is first contacted with an α-amino carboxylic acid, ester or lactone of Formula XIV to form the (α-amino carboxylic acid, ester, or lactone):alkylaluminum complex of Formula XVII. In Equation 2, this complex is then reacted with an α-amino carboxylic acid, ester or lactone of Formula XIIIa (provided R^{48} is H) to afford the dipeptide product of Formula XV (n is 0).

Scheme 12 illustrates the process of the present invention for the preparation of dipeptides of Formula XVI (n is 0).

Scheme 12



In Equation 1, a trialkylaluminum of Formula XI is first contacted with an α-amino carboxylic acid, ester, or lactone of Formula XIIIa to form an (α-amino carboxylic acid, ester, or lactone):alkylaluminum complex of Formula XVIII. As illustrated in Equation 2, this complex is then reacted with an α-amino carboxylic acid, ester or lactone of Formula XIV to afford the dipeptide product of Formula XVI (n = 0).

The dipeptide product of Formula XV (n is 0) can be further processed by treatment with a trialkylaluminum of Formula XI and an α-amino carboxylic acid, ester or lactone of Formula XIIIa (the same or different from the compound

of Formula XIIIa in Scheme 11) to provide one or both of the tripeptide products of Formulae XV and XVI (n is 1 in each). This sequence is the same as that illustrated in Scheme 10 wherein the dipeptide product of Formula XV is now the reactant of Formula XIV wherein n is 1. The relative amounts of tripeptide products XV and XVI will be determined by the order of addition of the reactants XI, XIIIa, and XIV, and the particular identities of R^{44}, R^{45}, R^{46}, R^{47}, and R^{48}.

In a similar manner, the dipeptide product of Formula XVI (n is 0) can be further processed to tripeptides of Formulae XV and XVI (n is 1 in each), provided that at least one of R^{44} and R^{48} on the terminal nitrogen of XVI is H. The dipeptide of Formula XVI is treated with a trialkylaluminum and an α-amino carboxylic acid, ester or lactone of Formula XIIIa (the same or different from the compound of Formula XIIIa in Scheme 12). This process is also illustrated in Scheme 10 wherein the dipeptide product of Formula XVI is now the reactant of Formula XIV wherein n is 1 and R^{48} is H. As in the process involving the dipeptide of Formula XV as the reactant, the relative amounts of tripeptide products XV and XVI is determined by the order of addition of the reactants XI, XIIIa, and XIV, and the particular identities of R^{44}, R^{45}, R^{46}, R^{47}, and R^{48}.

The coupling procedure can continue to yield higher peptides simply by repeating the process illustrated in Scheme 10. That is, treatment of a peptide of Formula XIV containing (n + 1) amino acid residues with a trialkylaluminum reagent of Formula XI and an α-amino carboxylic acid, ester or lactone of Formula XIIIa affords one or both peptides of Formulae XV and XVI containing (n + 2) amino acid residues. In this manner, the present process allows for the convenient preparation of peptides with varying numbers of amino acid residues per molecule. Side products can form in this process from the reaction of the aluminum complex of Formula XV or XVI with itself rather than with XIIIa.

The process illustrated in Scheme 10 is particularly useful for the preparation of di- and polypeptides wherein the peptide residues are identical. For example, when the compound of Formula XIIIa in Scheme 10 is the same as the compound of Formula XIV the resulting dipeptide comprises two identical amino acid residues. In these cases, the dipeptide is a compound of Formulae XV and XVI. A convenient method of carrying out this process is to add the trialkylaluminum to a solution or suspension containing all of the α-amino carboxylic acid, ester, or lactone. The side product reaction of the aluminum

complexes (XVII or XVIII) reacting with themselves also produces the desired product, hence, undesired side product formation is minimized.

The products of the present process invention are useful intermediates for the preparation of pharmaceuticals, the compounds of Formula I, and other agricultural chemicals.

The following Examples further illustrate the invention.

EXAMPLE 1

Ethyl 2-[4-chloro-2-fluoro-5-[(1-methylethoxy)phenyl]]hexahydro-1-oxo-3H-imidazo[5,1-c][1,4]oxazine-3-carboxylate

Step A: 4-Chloro-2-fluoro-5-(1-methylethoxy)aniline

A stirring mixture of 5-amino-2-chloro-4-fluorophenol (22.0 g, 136.19 mmol), 2-bromopropane (38.4 mL, 50.25 g, 408.57 mmol) and potassium carbonate (37.6 g, 273.0 mmol) was heated at reflux for 17 h. The reaction mixture was cooled and filtered. The filtrate was evaporated to dryness under reduced pressure. Flash chromatography yielded the title compound of Step A as a brown oil (17.2 g). ^{1}H NMR ($CDCl_3$): δ 7.02 (d,1H), 6.44 (d,1H) 4.38 (q,1H), 3.81 (br s,2H), 1.33 (d,6H). IR (cm^{-1}): 3378.4, 3475.6.

Step B: *N*-[4-Chloro-2-fluoro-5-(1-methylethoxy)phenyl]morpholine-3-carboxamide

To a stirring solution of 4-chloro-2-fluoro-5-(1-methylethoxy)aniline (15.52 g, 76.26 mmol) in CH_2Cl_2 (100 mL), under nitrogen at 0°C (ice-bath) was added dropwise trimethylaluminum (114.4 mL, 228.78 mmol). The mixture was then stirred overnight at room temperature. 3-Morpholinecarboxylic acid (10.0 g, 76.20 mmol) was added portionwise at room temperature. The resultant reaction mixture was stirred at room temperature for 2 days. 6N HCl was added dropwise to the reaction mixture at 0°C (ice-bath). The solid formed was filtered off and suspended in water (100 mL). The suspension was basicified with 50% aqueous NaOH to pH 13. 400 mL of CH_2Cl_2 was added. The organic layer was separated, dried over $MgSO_4$ and the solvent was removed under vacuum to give the title compound of Step B as a white solid (10.5 g), m.p. 99-101°C. ^{1}H NMR: δ 9.30 (br s,1H), 8.17 (d,1H), 7.14 (d,1H), 4.53 (q,1H), 3.96-3.61 (m,5H), 3.00-3.01 (m,2H), 1.37 (d,6H).

Step C: Ethyl 2-[4-chloro-2-fluoro-5-[(1-methylethoxy)phenyl]]hexahydro-1-oxo-3H-imidazo[5,1-c][1,4]oxazine-3-carboxylate

The mixture of product of Step B (2.5 g, 7.89 mmol), ethyl bromofluoroacetate (2.9 g, 15.78 mmol) and potassium carbonate (2.2 g, 16.0 mmol) in acetonitrile (100 mL) was heated at reflux for 17 h. The reaction mixture was filtered. The filtrate was removed under reduced pressure. Flash chromatography yielded the title compound of Step C as a yellow oil (400 mg). ^{1}H NMR ($CDCl_3$): δ 7.24 (d,1H), 7.18 (d,1H), 4.99 (s,1H), 4.50-4.22 (m,4H), 3.95-3.88 (m,2H), 3.75-3.62 (m,2H), 3.06 (m,2H), 1.37 (d,6H), 1.25 (t,3H).

EXAMPLE 2

Ethyl 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]octahydro-1-oxoimidazo[1,5-a]pyridine-3-carboxylate

Step A: *N*-[4-Chloro-2-fluoro-5-(1-methylethoxy)phenyl]piperidine-2-carboxamide,

The product of Example 1, Step A (4.25 g, 26.37 mmol) was dissolved in CH_2Cl_2 (200 mL). A solution of 2.0 M trimethylaluminum (52.75 mmol) was added dropwise under nitrogen at 0°C. The resultant mixture was stirred at room temperature overnight. Ethyl pipecolinate (4.15 g) was added dropwise to the mixture and the mixture was stirred for 2 days. To the reaction mixture 6N HCl (100 mL) was added dropwise at 0°C. 200 mL of H_2O was added, followed by the addition of 150 mL of methylene chloride. The aqueous layer was separated and basicified to pH 10 with 50% aqueous NaOH. 500 mL of CH_2Cl_2 was added. The organic layer was separated, dried over $MgSO_4$, filtered and evaporated to dryness under vacuum to give the title compound of Step A as a white solid, 4.7 g. m.p. 96-98°C. ^{1}H NMR ($CDCl_3$): δ 9.25 (br s,1H), 8.17 (d,1H), 7.13 (d,1H) 4.58 (m,1H), 3.20 (M,1H), 3.10 (m,1H), 2.79 (m,1H), 2.00 (m,1H), 1.89 (m,2H), 1.60-1.40 (m,4H), 1.36 (d,6H).

Step B: Ethyl 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]octahydro-1-oxoimidazo[1,5-a]pyridine-3-carboxylate

A mixture of potassium carbonate (724 mg, 5.24 mmol), ethyl bromofluoroacetate (882 mg, 4.76 mmol) and the product of Step A (1.5 g, 4.76 mmol) in acetonitrile (50 mL) was heated at reflux overnight. 100 mL of H_2O and 200 mL of ethyl acetate were added to the mixture. The organic layer was separated, dried ($MgSO_4$), filtered, and evaporated under vacuum to dryness.

Flash chromatography yielded the title compound of Step B as a white solid (400 mg) m.p. 83-85°C. ^{1}H NMR δ 7.21 (d,1H), 7.18 (d,1H), 4.92 (s,1H), 4.50 (m,1H), 4.16-4.09 (m,2H), 3.29 (m,1H), 2.95 (m,1H), 2.50 (m,1H), 2.10 (m,1H), 1.96 (m,1H), 1.80-1.58 (m,2H), 1.37 (d,6H), 1.35 (t,3H).

EXAMPLE 3

2-[4-Chloro-2-fluoro-5-(1-methylethoxy)phenyl]hexahydro-1-oxo-3H-imidazo[5,1-c][1,4]oxazine-3-carboxylic acid

A mixture of 1N NaOH (9.36 mmol, 9.4 mL) and the product of Example 1 (2.5 g, 6.24 mmol) in ethanol was stirred at room temperature for 40 minutes. The reaction mixture was evaporated under reduced pressure to remove most of the ethanol solvent. The remaining aqueous solution was acidified with concentrated hydrochloric acid to pH 2. Diethyl ether (200 mL) was added. The organic layer was separated, dried over $MgSO_4$, and evaporated to dryness under reduced pressure to give the title compound as a yellow-white solid (1.59 g), m.p. 59-61°C. IR (nujol, cm^{-1}), C=O (1729.9), OH (3300-3500, broad). ^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.20-7.18 (m,2H), 5.50 (br s,1H), 5.20 (s,1H), 4.88-4.45 (m,2H), 3.91-3.80 (m,2H), 3.74-3.72 (m,2H), 3.20-3.15 (m,1H), 1.37-1.24 (m,6H).

EXAMPLE 4

3-Methylbutyl 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]hexahydro-1-oxo-3H-imidazo [5,1-c][1,4] oxazine-3-carboxylate

A mixture of 1-bromo-3-methylbutane (0.14 mL, 1.2 mmol), K_2CO_3 (167 mg, 1.2 mmol), and the product of Example 3 (300 mg, 0.8 mmol) in dimethyl formamide (2 mL) was stirred under nitrogen at room temperature overnight. Flash chromatography of the reaction mixture provided the title compound as a clear oil (162 mg). IR (neat, cm^{-1}), C=O (1741.9). ^{1}H NMR ($CDCl_3$, 400 MHz): δ 7.20-7.18 (m,2H), 5.00 (s,1H), 4.53-4.52 (m,1H), 4.36-4.29 (d,1H), 4.23-4.19 (m,2H), 3.93-3.83 (m,2H), 3.74-3.64 (br,2H), 3.15-3.12 (m,2H), 1.60-1.43 (m,3H), 1.39-1.36 (t,6H), 0.89-0.86 (t,6H).

EXAMPLE 5

Ethyl 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)hexahydro-3-oxo-1H-[1,2,4]triazolo[1,2-a]pyridazine-1-carboxylate

Step A: Hexahydropyridazine dihydroiodide

To a solution of 5% rhodium on alumina powder (3.0 g) in ethyl acetate (125 mL), diethyl 1,2,3,6-tetrahydropyrazine-1,2-carboxylate (30.00 g,

31.6 mmol) was added under nitrogen. The mixture was pressurized with hydrogen (2.75 x 10^5 Pa) and shaken on a Parr hydrogenator for 20 h. Chloroform (100 mL) was added to the reaction mixture and then the mixture was filtered through a Celite® bed. The filtrate was evaporated under reduced pressure to obtain a clear oil (28.1 g). Without further purification, 10.0 g (43.4 mmol) of the crude product was dissolved in chloroform (150 mL). Trimethylsilyl iodide (17.3 g, 24.3 mmol) was added dropwise under nitrogen. The resultant reaction mixture was gradually heated to 60°C and kept at 60°C for 4 h. The reaction mixture was then allowed to cool to room temperature and treated with methanol (5.5 g) over a 10 minute period. The reaction mixture was then evaporated under reduced pressure to dryness to give the title compound of Step A as a thick yellow oil (8.3 g). IR (neat, cm^{-1}) N-H (3180). ^1H NMR ($CDCl_3$, 400 MHz): δ 7.20-6.8 (br,2H), 3.39 (br,4H), 1.94 (br,4H).

Step B: *N*-(4-ethyl-6-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-tetrahydro-1(2H)-pyridazinecarboxamide

To a stirring solution of the crude product of Example 5, Step A (1.80 g, 8.46 mmol) in methylene chloride (100 mL) was added triethylamine (3.0 g) dropwise under nitrogen at room temperature. Then, the mixture was stirred for 5 minutes. A solution of 4-ethyl-6-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-isocyanate (2.0 g, 8.46 mmol) in methylene chloride (20 mL) was added dropwise to the reaction mixture at 5°C. The resultant mixture was allowed to stir at ambient temperature overnight. Evaporation of the solvent under reduced pressure followed by flash chromatography gave the title compound of Step B as a white solid (1.3 g), m.p. 66-68°C. IR (nujol, cm^{-1}) N-H 3238, 3397), C=O (1650, 1681). ^1H NMR (DMSO-d_6, 300 MHz): δ 8.80 (br,1H), 7.92-7.90 (d,1H), 7.20-7.18 (d,1H), 5.25-5.00 (m,1H), 4.62 (br,2H), 3.90-3.80 (m,2H), 3.55-3.40 (br,1H), 2.90-2.81(br,2H), 2.70-2.69 (br,1H), 1.57-1.52 (br,4H), 1.19-1.51 (br,4H).

Step C: Ethyl 2-(4-ethyl-6-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)hexahydro-3-oxo-1H-[1,2,4]triazolo[1,2-a]pyridazine-1-carboxylate

Using the procedure of Example 2, Step B and employing 1.9 g (10.23 mmol) of ethyl bromofluoroacetate, potassium carbonate (1.41 g, 10.23 mmol) and 1.0 g, (3.41 mmol) of the product of Example 5, Step B, the title compound was obtained as a white solid (410 mg), m.p. 59-61°C. IR

(nujol, cm^{-1}), C=O (1725.6). ^1H NMR ($CDCl_3$, 300 MHz), δ 7.45-7.42 (d,1H), 6.78-6.74 (d,1H), 5.02 (s,1H), 4.58 (s,2H), 4.19-4.16 (m,2H), 4.04-3.96 (m,3H), 3.06-3.05 (m,1H), 3.03-3.02 (m,2H), 1.88-187 (m,1H), 1.65-1.60 (m,4H), 1.32-1.21 (m,6H).

EXAMPLE 6

Ethyl 2-[4-chloro-2-fluoro-5-[(2-propynyl)oxy]phenyl]octahydro-1-oxoimidazo[1,5-a]pyridine-3-carboxylate

Step A: 4-Chloro-2-fluoro-5-[(2-propynyl)oxy]aniline

Using the procedure of Example 1, Step A and employing 50.0 g (252.45 mmol) of 3-amino-6-chloro-4-fluorophenol hydrochloride, 80% propargyl bromide (60.07 g, 504.9 mmol), and potassium carbonate (69.8 g, 504.9 mmol) in acetonitrile (200 mL), the title compound was obtained as a yellow solid (16.2 g), m.p. 62-64°C. IR (nujol, cm^{-1}), NH_2 (3298.0), triple bond (2117.6). ^1H NMR was consistent with the structure.

Step B: *N*-[(4-chloro-2-fluoro-5-[(2-propynyl)oxy]phenyl]-2-piperidine-carboxamide

Using the procedure of Example 1, Step B and employing 11.82 g of ethyl pipecolinate, 2M trimethylaluminum solution in hexane (75.2 mL, 150.3 mmol), and 15.0 g (75.2 mmol) of the product of Example 6, Step A, the title compound was obtained as a tan solid (15.0 g), m.p. 112-114°C. IR (nujol, cm-1), C=O (1696.9), NH (3237.4, 3307.9), triple bond (2125.6). ^1H NMR ($CDCl_3$): δ 9.25 (br,1H), 8.35-8.34 (d,1H), 7.16-7.14 (d,1H), 4.77 (s,2H), 3.42-39 (m,1H), 3.15-3.09 (m,1H), 2.81-2.75 (m,1H), 2.09-1.98 (m,1H), 1.80-1.40 (m,6H).

Step C: Ethyl 2-[4-chloro-2-fluoro-5-[(2-propynyl)oxy]phenyl]octahydro-1-oxoimidazo[1,5-a]pyridine-3-carboxylate

Using the procedure of Example 1, Step C and employing 13.62 g (73.62 mmol) of ethyl bromofluoroacetate, 10.18 g (73.62 mmol) of potassium carbonate, and 12.0 g (36.81 mmol) of the product of Example 6, Step B, the title compound was obtained as a white solid (4.24 g, upper R_f product) and a yellow oil (6.2 g, lower R_f product). Upper R_f product: m.p. 72-75°C. IR (nujol, cm^{-1}), C=O (1742.8), triple bond (2115.3). ^1H NMR ($CDCl_3$, 400 MHz), δ 7.35-7.33 (d,1H), 7.18.-7.15 (d,1H), 4.91 (br s,1H), 4.75-4.74 (br s,2H), 4.20-4.09 (m,2H), 3.30-3.20 (d,1H), 2.98-2.90 (d,1H), 2.58 (s,1H), 2.55-2.43 (m,1H), 2.19-2.12 (m,1H), 1.98-1.89 (m,1H), 1.80-50 (m,3H), 1.40-1.31 (m,1H), 1.15-1.11 (t,3H). Lower R_f product: IR (neat, cm-1), C=O (1736.6), triple bond (2115.5). ^1H

NMR ($CDCl_3$, 400 MHz), δ 7.36-7.34 (d,1H), 7.22-7.19 (d,1H), 5.09 (s,1H), 4.75-4.74 (br s,1H), 4.22-4.21 (m,2H), 4.20-4.12 (m,1H), 3.60-3.53 (m,1H), 3.10-2.98 (m,1H), 2.75-2.65 (m,1H), 2.57 (s,1H), 2.15-2.00 (m,1H), 1.80-1.60 (m,3H), 1.50-1.40 (m,1H), 1.70-1.24 (t,3H).

EXAMPLE 7

2-[4-Chloro-2-fluoro-5-[(2-propynyl)oxy]phenyl]octahydro-1-oxoimidazol-[1,5-a]pyridine-3-carboxylic acid

Using the procedure of Example 3 and employing 4.9 g (15.03 mmol) of the lower R_f product of Example 6 and 1N NaOH (22.5 mL), the title compound was obtained as a white solid (4.13 g), m.p. 159-161°C. IR (nujol, cm^{-1}), C=O (1722.5). ^1H NMR spectrum was consistent with structure.

EXAMPLE 8

N-Butyl-2-[4-chloro-2-fluoro-5-[[(2-propynyl)oxy]phenyl]octahydro-1-oxoximidazol[1,5-a]pyridine-3-carboxamide

A mixture of the product of Example 7 (400 mg, 1.34 mmol), *N*-butylamine (0.2 mL, 2.01 mmol), dicyclohexylcarbodiimide (457 mg, 2.22 mmol), and *N,N*-4-dimethylaminopyridine (33.0 mg, 0.2 mmol) in methylene chloride (15 mL) under nitrogen was stirred at room temperature for about 72 h. The reaction mixture was then filtered and the solvent was evaporated under reduced pressure to produce a dry residue. Flash chromatography yielded the title compound as a white solid (142.0 mg), m.p. 136-138°C. IR (nujol, cm^{-1}), C=O (1717.3), N-H (3301.0), triple bond (2120). ^1H NMR ($CDCl_3$, 400 MHz), δ 7.27-7.25 (d,1H), 7.22-7.19 (d,1H), 5.15-5.00 (br s,1H), 4.74-4.73 (br s,2H), 3.80-3.70 (br s,1H), 3.24-3.22 (m,2H), 3.18-3.09 (br s,1H), 2.98-2.89 (br,1H), 2.58 (s,1H), 2.05-1.80 (br,2H), 1.73-1.70 (br,2H), 1.58-1.55 (br,3H), 1.48-1.46 (m,2H), 1.31-1.29 (m,2H), 0.92-0.88 (t,3H).

The following Tables illustrate the compounds of the invention that are produced by the processes of the invention.

The following abbreviations are used in the Tables which follow. All alkyl groups are the normal isomers unless indicated otherwise.

t = tertiary	MeO = methoxy
s = secondary	Ph = phenyl
n = normal	CN = cyano
i = iso	Pr = propyl
Me = methyl	Et = ethyl

TABLE 1

Compounds of Formula I wherein G=CH, W=O, Q=2-F-4-Cl-5-(i-PrO)-Ph, R^1=H, R^2=CO_2Et

A	B
CF_3	CH_3
CH_2OCH_3	$Cl(CH_2)_4$
$(CH_2)_4Cl$	$CH_2C{\equiv}CCH_3$
SCH_3	$Cl(CH_2)_4$
CH_2CH_3	n-butyl
Cl	$CH_2CH{=}CHCH_3$
$O(CH_2)_3CH_3$	CH_2CH_3

-CHFCHFCH$_2$-
-CH$_2$SCH$_2$CH$_2$-
-CH$_2$N(CH$_3$)CH$_2$CH$_2$-
-CH$_2$CHClCH$_2$CH$_2$-
-CH$_2$CH(C$_4$H$_9$)CH$_2$-
-CHClCH$_2$CH$_2$-
-CH$_2$CH$_2$CH(CF$_3$)CH$_2$-
-CH$_2$CH$_2$S(O)$_2$CH$_2$CH$_2$-
-CH$_2$CH$_2$N[(CH$_2$)$_4$F)]CH$_2$-
-CH$_2$C(Cl)=CHCH$_2$-
-CH$_2$CH(F)CH$_2$-

TABLE 2

Compounds of Formula I wherein Q=4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl, R^2=CO_2Me, R^1=H

A	B	G
CF_3	CH_3	CH
CF_3	CH_3	N
Et	n-butyl	CH
Et	n-butyl	N
$O(CH_2)_3CH_3$	CH_2CH_3	CH
$(CH_2)_3CH_3$	$(CH_2)_4Cl$	CH
$SCH_2CH_2CH_3$	$CH_2CH{=}CHCH_3$	N
Et	n-butyl	C(CH_3)
CF_3	CH_3	C(C_4H_9)
-$CH_2SCH_2CH_2$-		CH
-$CH_2SCH_2CH_2$-		N
-$CH_2CHFCHFCH_2$-		CH
-$CH_2CHFCHFCH_2$-		N
-$CH_2S(O)_2CH_2CH_2$-		CH
-$CH_2S(O)_2CH_2$-		N
-$CH_2N[(CH_2)_4F]CH_2CH_2$-		CH
-$CH_2N[(CH_2)_4F]CH_2CH_2$-		N
-$CH_2CH(CF_3)CH_2$-		CH

$-CH_2CH(C_2H_4Cl)CH_2-$	CH
$-CH_2CH(C_2H_4Cl)CH_2-$	N
$-CH_2CH_2CHFCH_2-$	CH
$-CH_2CHClCH_2CH_2-$	CH

TABLE 3

Compounds of Formula I wherein W=O, R^1=H, G=CH, A-B=$-CH_2OCH_2CH_2-$, Q=2-F-4-Cl-5-(i-PrO)-Ph, R^2=

CCl_3	CH_2O(2-Cl-Ph)	$C(O)N(CH_3)(CH_2)_3CH_3$	C(O)N(Et)(4-Cl-Ph)
$SO_2C_3H_7$	$C(O)NHC_3H_7$	$CH_2C(O)CH_2CH=CHCH_3$	$C(O)NH(CH_2)_5CH_3$
CH_2CN	$CH_2C(O)NH_2$	$CH_2CH_2CO_2CH_2CH=CHCH_3$	$C(O)CH_2CH_2CH_2Cl$
CH_2CH_2F	$SO_2NHC_2H_5$	$C(O)NH(4\text{-}OCH_3\text{-}3\text{-}Cl\text{-}Ph)$	$SO_2N(CH_3)(CH_2)_5CH_3$
CH_2CHCl_2	$C(O)(4\text{-}NO_2\text{-}Ph)$	$CH_2CH_2C(O)CH_2CH=CH_2$	CH_2CH_2CN
CF_3	$C(O)NHC_6H_{11}$	CN	

TABLE 4

Compounds of Formula I wherein W=S, R^1=H, G=N, A-B=$-CH_2CH_2CH_2CH_2-$, Q=2-Cl-4-Cl-5-($HC{\equiv}CCH_2O$)-phenyl, R^2=

$CH_2CH_2O(CH_2)_2CH(CH_3)_2$	CO_2Me	CF_3	CO_2(n-butyl)	CO_2(n-hexyl)
$CO_2(4\text{-}NO_2\text{-}2\text{-}CH_3\text{-}Ph)$	CH_2CH_3	CN	CO_2(i-Pr)	$CO_2CH_2CH=CHCH_3$
$SO_2N(CH_3)[CH_2CH(Et)_2]$			C(O)(4-F-Ph)	

TABLE 5

Compounds of Formula I wherein W=S, R^1=H, G=CH, A-B=$-CH_2CH_2CH_2-$, Q=5,7-dichloro-2,3-dihydrobenzofuran-4-yl, R^2=

CO_2(n-pentyl)	$C(O)NH_2$	$C(O)NHCH_2CH_2CH(CH_3)_2$	$C(O)N(CH_3)C_6H_5$

TABLE 6

Compounds of Formula I wherein W=O, Q=2-F-4-Cl-5-(i-PrO)-Ph, R^1 and R^2 are taken together to form $=CHCO_2Et$,

G	A	B	G	A	B
N	CF_3CH_2	$CH(CH_3)_2$	CH	CH_2CH_3	n-butyl
CH	CF_3CH_2	$CH(CH_3)_2$	N	$S(CH_2)_3CH_3$	$(CH_2)_4Cl$
N	CH_2CH_3	n-butyl	CH	$S(CH_2)_3CH_3$	$(CH_2)_4Cl$
N	$-CH_2OCH_2CH_2-$		N	$-CH_2CH_2CH_2-$	
CH	$-CH_2OCH_2CH_2-$		CH	$-CH_2CH=CHCH_2-$	
N	$-CH_2CH_2CH_2CH_2-$		CH	$-CH_2S(O)_2CH_2CH_2-$	
CH	$-CH_2CH_2CH_2CH_2-$		CH	$-CH_2CHClCHClCH_2-$	
CH	$-CH_2N(CH_3)CH_2CH_2$				

TABLE 7

Compounds of Formula I wherein W=O, Q=2-F-4-Cl-Ph, G=CH, R^1 and R^2 are taken together to form $=C(CH_3)CON(C_2H_5)$(2-Cl-4-MeO-Ph)

A	B	A	B
CF_3	Et	$CH_2C{\equiv}CCH_3$	$Cl(CH_2)_4$
i-Pr	$CH_2C{\equiv}CCH_3$	$OCH_2CH_2CH_3$	n-propyl
$-CH_2OCH_2CH_2-$		$-CH_2CH(CH_3)CH_2-$	
$-CH_2CH_2CH_2CH_2-$		$-CH_2CH(F)CH_2-$	
$-CH_2N(C_3H_7)CH_2CH_2-$		$-CH_2S(O)_2CH_2CH_2-$	
$-CH_2CH_2CH_2-$		$-CH_2CH(Cl)CH_2CH_2-$	
$-CH_2SCH_2CH_2-$			

TABLE 8

Compounds of Formula I wherein W=S, Q=5,7-dichloro-2,3-dihydrobenzofuran-4-yl, G=CH, R^1 and R^2 are taken together to form $=C(Et)CO_2C_2H_5$

A	B	A	B	A	B
CH_2CH_2Cl	i-Pr	CH_2CH_2S	CH_3	$CH_2CH_2CH{=}CH_2$	CH_2CH_3
$CH_2C{\equiv}CCH_3$	i-butyl	$CH_2CF_2CF_3$	$CH_2CF_2CF_3$		
$-CH_2OCH_2CH_2-$		$-CH_2CH_2CH_2-$		$-CH_2N$(n-butyl)CH_2CH_2-	
$-CH_2CH_2CH_2-$		$-CH_2CHFCH_2-$		$-CH_2CH(C_2H_5)CH_2-$	

TABLE 9

Compounds of Formula I wherein G=CH, $R^2 = CO_2C_2H_5$, Q=4-Cl-2-F-5-(i-PrO)-Ph, A-B=$-CH_2CH_2CH_2CH_2-$,

R^1	W	R^1	W	R^1	W	R^1	W	R^1	W
CH_3	O	CH_3	S	F	O	F	S	Cl	O
$Cl(CH_2)_4$	O	$Cl(CH_2)_4$	S	n-butyl	O	n-butyl	S	Cl	S

TABLE 10

Compounds of Formula I wherein G=CH, W=O, R^2=C(O)N(Et)(4-NO_2-Ph), A-B=$-CH_2OCH_2CH_2-$, Q=4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl, R^1=

F	Cl	$Cl(CH_2)_4$	Br	CH_3

TABLE 11

Compounds of Formula I wherein W=O, R^1=H, R^2=CO_2Et, A-B=$-CH_2OCH_2CH_2-$, Q=2-R^{11}-4-R^{13}-5-R^{12}-Ph,

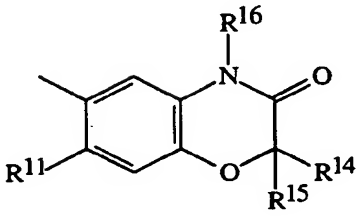
R^{11}	R^{12}	R^{13}	R^{11}	R^{12}	R^{13}
F	CH_3	Cl	F	C(O)N(Me)Et	Cl
F	n-C_5H_{11}	Cl	F	NO_2	Cl

F	Br	Cl	F	C(O)H	Cl
F	$CH(CH_3)C_2H_5$	Cl	F	OH	Cl
F	OC_3H_7	Cl	F	$N(CH_3)(n\text{-}C_6H_{11})$	Cl
F	OCH[-$(CH_2)_5$-]	Cl	F	$NHSO_2NH$(n-butyl)	Cl
F	$SO_2CH_2CH_2CH_3$	Cl	F	2-F-Ph	Cl
F	$C(O)CH_2CH(Cl)CH_3$	Cl	F	4-MeO-Ph	Cl
F	CO_2CH_2(4-Cl-2-F-Ph)	Cl	F	$CO_2N{=}C$[-$(CH_2)_5$-]	Cl
F	$CH_2CH(Cl)CO_2CH_2C{\equiv}CCH_3$	Cl	F	$C(CH_3){=}N\text{-}OC_3H_7$	Cl
F	$NHSO_2CH_2CH_2CH(CH_3)_2$	SCH_3	F	NO_2	$OCHF_2$
F	$CH{=}C(CH_3)CO_2Et$	CN	F	$S(O)_2CH_2CH_2CH(CH_3)_2$	NO_2
F	$NHSO_2CH_3$	CH_2CH_2Cl	Cl	$OCH_2C{\equiv}CCH_2OCH_3$	Cl
Cl	CO_2(n-C_8H_{17})	Cl	Cl	$OCH_2Si(CH_3)_3$	Cl
Cl	CN	Cl	Cl	SH	Cl
Cl	$C(O)N(\text{-}CH_2CH_2OCH_2CH_2\text{-})$	Cl	Cl	$NHSO_2CH_2CH_2CH_3$	Cl
Cl	O[4-$CH_2CH(\text{-}OCH_2CH_2O\text{-})$-Ph]	Cl	Cl	CO_2(4-Cl-Ph)	Cl
Br	$CO_2CH_2CH(CH_3)_2$	Cl	Br	NH_2	Cl
Br	$OCH_2CH_2CO_2$(n-propyl)	Cl	Br	Cl	OCH_3
Br	$CH{=}C(Cl)CO_2CH_2SCH_2C{\equiv}CH$	Cl	Br	CF_3	Et
Br	$C(O)S(CH_2)_4CH_3$	CN	Br	$CH_2SO_2CH_3$	NO_2
Br	CH_3	NO_2	Br	NO_2	NO_2

TABLE 12

Compounds of Formula I wherein

W=O, R^1=H, R^2=CO_2Me, A-B=—$CH_2CH_2CH_2$—, Q=



R^{11}	R^{14}	R^{15}	R^{16}
F	CH_3	CH_2CH_3	CH_3
F	H	CH_3	CH_2CH_3
F	Cl	CN	$CH_2CH(CH_3)_2$
F	$CH(CH_3)_2$	cyclopropyl	$CH_2CHClCH_2CH(CH_3)_2$
F	F	H	$CH_2CH_2OCH_2CH_3$
F	n-propyl	CH_2OH	$CH(CH_3)CO_2CH_3$
F	H	H	n-hexyl

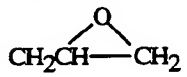
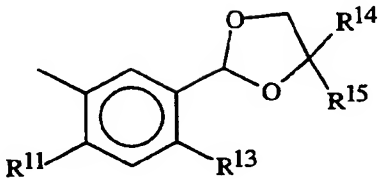
F	CH_3	C(O)N(CH_3)Et	$CH(CH_3)C{\equiv}CCH_2CH_3$
F	CH_3	H	n-C_6H_{13}
Cl	H	H	$CH(CH_3)CN$
Cl	CH_3	C≡CH	$CH_2CH_2CH{=}CHCH_3$
Br	H	H	Me
Br	n-propyl	n-propyl	$CH_2C{\equiv}CCH(CH_3)_2$
F	Cl	Cl	$CH_2CH_2OCH(CH_3)_2$
F	H	H	

TABLE 13

Compounds of Formula I wherein:

W=S, R^1=H, R^2=CH_2CH_2Cl, A=$CH_2CH(CH_3)_2$,

B=$CH_2CH_2CH_3$, G=CH, Q=

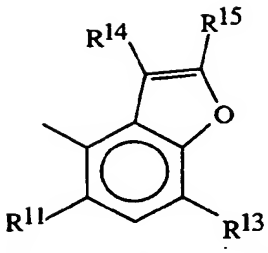


R^{13}	R^{14}	R^{15}	R^{11}
Cl	H	H	F
Cl	i-Pr	Et	F
Cl	Me	2-Cl-Ph	F
Cl	Et	n-C_6H_{11}	F
Me	n-butyl	$CH_2CH{=}CHCH_3$	F
Et	n-Pr	cyclopentyl	Cl
$Cl(CH_2)_4$	Me	cyclopentyl	Cl
H	n-butyl	Ph	Cl
Br	H	Me	Cl
F	Me	Me	Br
F	Et	i-Pr	Br
n-butyl	H	H	Br
i-Pr	Me	4-CN-Ph	I
Cl	Et	i-Pr	I
Cl	Et	3-NO_2-Ph	I

TABLE 14

Compounds of Formula I wherein

W=O, R^1=H, R^2=$CONH_2$, A-B=$-CH_2CH_2CH_2CH_2-$, Q=

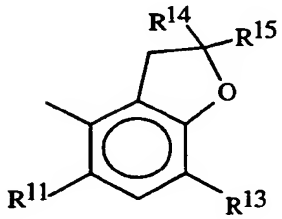


R^{11}	R^{13}	R^{14}	R^{15}	R^{11}	R^{13}	R^{14}	R^{15}
F	Cl	Me	$CH_2CH_2CH_3$	F	OCH_3	Et	CH_2CH_2Cl
F	NO_2	H	H	Cl	$OCHF_2$	Me	Me
Cl	Cl	n-Pr	CO_2Et	Cl	Br	H	H

TABLE 15

Compounds of Formula I wherein:

W=S, R=H, R^2=CO_2 n-butyl, A-B=$-CH_2CH_2CH_2CH_2-$, Q=

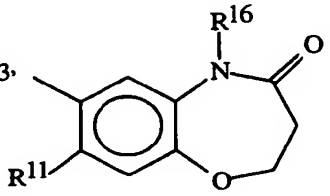


R^{11}	R^{13}	R^{14}	R^{15}	R^{11}	R^{13}	R^{14}	R^{15}
Br	OCH_3	H	Me	Cl	SCH_3	n-Pr	Et
F	Cl	CH_3	$CO_2CH_2CH(CH_3)_2$	Cl	Cl	CH_3	C≡CH

TABLE 16

Compounds of Formula I wherein:

W=O, R^2=$CONHCH_2CH(CH_3)_2$, R^1=Me, A=$CH_2CF_2CF_3$, B=ethyl, G=N, Q=

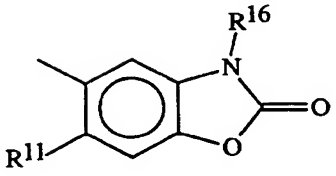


R^{11}	R^{16}	R^{11}	R^{16}
F	$CH_2CH(CH_3)_2$	F	$CH(CH_3)CN$
F	$CH(CH_3)(CO_2CH_3)$	F	$CH_2CH_2C≡CCH_2CH_3$
F	$CH_2CH(CH_3)CH_2CH_3$	F	$(CH_2)_4Cl$
F	n-C_6H_{13}	F	H

Cl	$CH_2CH_2OCH_2CH_2CH_3$	Cl	$CH_2CH{=}CHCH_2CH_3$
Cl	H	Cl	CH_3
Br	Et	Br	n-butyl
Br	i-Pr	I	$CH_2C{\equiv}CH$
I	$CH(CH_3)C{\equiv}CCH_3$	I	H

TABLE 17

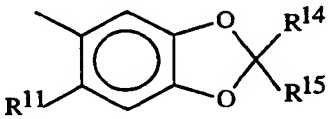
Compounds of Formula I wherein:
W=O, G=CH, A = $CH_2C{\equiv}CCH_3$, B = CH_3,
R^1 and R^2 are taken together to form $=C(C_2H_5)CO_2Et$,
Q =



R^{11}	R^{16}	R^{11}	R^{16}
F	H	F	Me
F	n-butyl	F	$CH_2CH{=}CHCH_3$
Cl	H	Cl	$(CH_2)_4Cl$
Cl	$CH_2CH(CH_2CH_3)C_2H_5$	Br	H
Br	$CH(CH_3)CN$	I	CH_2CF_3
I	$CH_2CH_2OCH_2CH(CH_3)_2$		

TABLE 18

Compounds of Formula I wherein:
W=O, R^1=H, R^2=CO_2(i-Pr), G=CH, Q=
A-B = $-CH_2CH_2CH_2CH_2-$



R^{11}	R^{14}	R^{15}	R^{11}	R^{14}	R^{15}
F	Me	cyclopropyl	F	Et	$(CH_2)_4Cl$
F	H	$C(O)CH_2CH_3$	Cl	H	CH_2CN
Cl	i-Pr	CH_2CO_2(n-butyl)	Br	Et	$C{\equiv}CH$

Formulation/Utility of Compounds of Formula I

The compounds of Formula I are useful as herbicides in agriculture. To carry out this utility, any of the compounds of Formula I can generally be used in

formulation with an agriculturally suitable carrier comprising a liquid or solid diluent or an organic solvent. Use formulations include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like, consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up 100 weight percent.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Wettable Powders	25-90	0-74	1-10
Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, etc.

Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating

a fine powder composition; see for example, Cross et al., *Pesticide Formulations*, Washington, D.C., (1988), pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147—48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, (1963), pp 8—57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can also be prepared as taught in DE 3,246,493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10—41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, (1961), pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, (1989).

In the following Examples, all percentages are by weight and all formulations are worked up in conventional ways. Compound 1 refers to thecompound listed in Index Table A hereinafter.

Example A

High Strength Concentrate

Compound 1	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%.

Example B

Wettable Powder

Compound 1	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example C

Granule

Compound 1	10.0%
attapulgite granules (low volative matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example D

Extruded Pellet

Compound 1	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Tests results indicate that the compounds of Formula I are highly active preemergent and/or postemergent herbicides and/or plant growth regulants. Many of them have utility for broad-spectrum pre- and/or postemergence weed control in areas where complete control of all vegetation is desired such as around fuel storage tanks, industrial storage areas, parking lots, drive-in theaters, around billboards and highway and railroad structures. Some of the compounds are useful for the control of selected grass and broadleaf weeds such as morningglory, cocklebur, velvetleaf, giant foxtail, barnyardgrass and lambsquarters, with tolerance to important agronomic crops which include but are not limited to wheat, corn, soybeans and rice. Those skilled in the art will appreciate that not all compounds are equally effective against all weeds. Alternatively, the subject compounds are useful to modify plant growth.

Compounds of Formula I can be used alone or in combination with other commercial herbicides, insecticides or fungicides. A mixture of one or more of the following herbicides with a compound of Formula I may be particularly useful for weed control. Examples of other herbicides with which compounds of this invention can be formulated are: acetochlor, acifluorfen, acrolein, 2-propenal, alachlor, ametryn, amidosulfuron, ammonium sulfamate, amitrole, anilofos, asulam, atrazine, barban, benefin, bensulfuron methyl, bensulide, bentazon, benzofluor, benzoylprop, bifenox, bromacil, bromoxynil, bromoxynil heptanoate, bromoxynil octanoate, butachlor, buthidazole, butralin, butylate, cacodylic acid, 2-chloro-*N,N*-di-2-propenylacetamide, 2-chloroallyl diethyldithiocarbamate, chloramben, chlorbromuron, chloridazon, chlorimuron ethyl, chlormethoxynil,

chlornitrofen, chloroxuron, chlorpropham, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodim, clomazone, cloproxydim, clopyralid, calcium salt of methylarsonic acid, cyanazine, cycloate, cycluron, cyperquat, cyprazine, cyprazole, cypromid, dalapon, dazomet, dimethyl 2,3,5,6-tetrachloro-1,4-benzenedicarboxylate, desmedipham, desmetryn, dicamba, dichlobenil, dichlorprop, diclofop, diethatyl, difenzoquat, diflufenican, dimepiperate, dinitramine, dinoseb, diphenamid, dipropetryn, diquat, diuron, 2-methyl-4,6-dinitrophenol, disodium salt of methylarsonic acid, dymron, endothall, *S*-ethyl dipropylcarbamothioate, esprocarb, ethalfluralin, ethametsulfuron methyl, ethofumesate, fenac, fenoxaprop, fenuron, salt of fenuron and trichloroacetic acid, flamprop, fluazifop, fluazifop-P, fluchloralin, flumesulam, flumipropyn, fluometuron, fluorochloridone, fluorodifen, fluoroglycofen, flupoxam, fluridone, fluroxypyr, fluzasulfuron, fomesafen, fosamine, glyphosate, haloxyfop, hexaflurate, hexazinone, imazamethabenz, imazapyr, imazaquin, imazamethabenz methyl, imazethapyr, imazosulfuron, ioxynil, isopropalin, isoproturon, isouron, isoxaben, karbutilate, lactofen, lenacil, linuron, metobenzuron, metsulfuron methyl, methylarsonic acid, monoammonium salt of methylarsonic acid, (4-chloro-2-methylphenoxy)acetic acid, *S,S'*-dimethyl-2-(difluoromethyl)-4-(2-methylpropyl)-6-(trifluoromethyl)-3,5-pyridinedicarbothioate, mecoprop, mefenacet, mefluidide, methalpropalin, methabenzthiazuron, metham, methazole, methoxuron, metolachlor, metribuzin, 1,2-dihydropyridazine-3,6-dione, molinate, monolinuron, monuron, monuron salt and trichloroacetic acid, monosodium salt of methylarsonic acid, napropamide, naptalam, neburon, nicosulfuron, nitralin, nitrofen, nitrofluorfen, norea, norflurazon, oryzalin, oxadiazon, oxyfluorfen, paraquat, pebulate, pendimethalin, perfluidone, phenmedipham, picloram, 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitroacetophenone oxime-O-acetic acid methyl ester, pretilachlor, primisulfuron, procyazine, profluralin, prometon, prometryn, pronamide, propachlor, propanil, propazine, propham, prosulfalin, prynachlor, pyrazolate, pyrazon, pyrazosulfuron ethyl, quinchlorac, quizalofop ethyl, rimsulfuron, secbumeton, sethoxydim, siduron, simazine, 1-(α,α-dimethylbenzyl)-3-(4-methylphenyl)urea, sulfometuron methyl, trichloroacetic acid, tebuthiuron, terbacil, terbuchlor, terbuthylazine, terbutol, terbutryn, thifensulfuron methyl, thiobencarb, tri-allate, trialkoxydim, triasulfuron, tribenuron methyl, triclopyr, tridiphane, trifluralin, trimeturon, (2,4-dichlorophenoxy)acetic acid, 4-(2,4-dichlorophenoxy)butanoic acid, vernolate, and xylachlor.

In certain instances, combinations with other herbicides having a similiar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

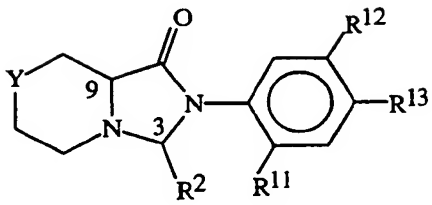
A herbicidally effective amount of the compounds of Formula I is determined by a number of factors. These factors include: formulation selected, method of application, amount and type of vegetation present, growing conditions, etc. In general, a herbicidally effective amount of a compound(s) of Formula I is applied at rates from about 0.01 to 20 kg/ha with a preferred rate range of 0.02 to 10 kg/ha. One skilled in the art can easily determine application rates necessary for the desired level of weed control.

The following Tests demonstrate the control efficacy of the compounds of Formula I against specific weeds. The weed control afforded by the compounds is not limited, however, to these species. See Index Tables A-J for compound descriptions. The following footnotes are used in the Tables below:

a single diastereomer, mixture of enantiomers, upper R_f product
b single diastereomer, mixture of enantiomers, lower R_f product
c mixture of diastereomers
d ^1H NMR data for oils given in Index Table J
e racemic mixture
f single diastereomer

"Upper R_f" and "lower R_f" refer to relative values using silica gel thin layer chromatography. "Config." refers to the configuration at the indicated chiral center(s).

Index Table A

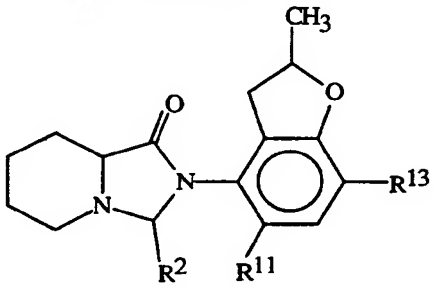


Cmpd No.	Y	R^2	R^{11}	R^{12}	R^{13}	m.p. (°C)[d]	Comments
1	CH_2	CO_2Et	F	H	Cl	113-114	a
2	CH_2	CO_2Et	F	H	Cl	oil	b
3	CH_2	CO_2Et	F	H	F	100-101	1 isomer, 9S config.
4	CH_2	CO_2Et	F	H	F	oil	1 isomer, 9R config.
5	CH_2	CO_2H	F	H	Cl	170-172	a
6	CH_2	CO_2Et	F	O-iPr	Cl	83-85	a
7	CH_2	CO_2Et	Cl	O-iPr	Cl	oil	b
9	CH_2	CO_2Et	Cl	$OCH_2C{\equiv}CH$	Cl	320(dec)	c
10	CH_2	CO_2Et	Cl	$OCH_2C{\equiv}CH$	Cl	120-121	a
11	CH_2	CO_2Et	Cl	$OCH_2C{\equiv}CH$	Cl	121-122	b
12	CH_2	CO_2Et	Cl	H	Cl	oil	c
14	CH_2	CO_2Me	Cl	H	Cl	oil	c
16	CH_2	CO_2Et	Cl	CO_2Me	Cl	oil	c
17	CH_2	CO_2Et	H	OMe	Cl	oil	c
18	CH_2	CO_2Et	Cl	O-iBu	Cl	oil	a
19	CH_2	CO_2Et	Cl	O-iBu	Cl	oil	b
20	CH_2	CO_2Et	Cl	O-iPr	Cl	oil	b
21	CH_2	CO_2Et	Cl	O-iPr	Cl	oil	a
22	O	CO_2Et	F	O-iPr	Cl	oil	b
23	CH_2	CH_2OH	Cl	O-iPr	Cl	oil	a
30	CH_2	CO_2Et	F	O-iPr	Cl	oil	3S, 9R config.
31	CH_2	CO_2Et	F	O-iPr	Cl	oil	3R, 9R config.
32	CH_2	CO_2Et	F	O-iPr	Cl	oil	3R, 9S config.

33	CH_2	CO_2Et	F	O-iPr	Cl	oil	3S, 9S config.
36	O	CO_2Et	F	$OCH_2C{\equiv}CH$	Cl	oil	a
37	O	CO_2Et	F	$OCH_2C{\equiv}CH$	Cl	oil	b
38	O	CO_2Et	F	O-iBu	Cl	oil	a
39	O	CO_2Et	F	O-iBu	Cl	oil	b
40	CH_2	CO_2Me	Cl	O-iPr	Cl	oil	a
41	CH_2	CO_2Me	Cl	O-iPr	Cl	oil	b
42	CH_2	$CO_2(nBu)$	Cl	O-iPr	Cl	oil	c
43	CH_2	$CO_2(iPr)$	Cl	O-iPr	Cl	oil	c
44	CH_2	CO_2H	F	O-iPr	Cl	150-152	a
45	CH_2	CO_2H	F	O-iPr	Cl	136-138	b
46	CH_2	$CO_2(CH_2Ph)$	F	O-iPr	Cl	64-66	b
47	CH_2	$CO_2(CH_2Ph)$	F	O-iPr	Cl	99-101	a
48	CH_2	$CO_2(nBu)$	F	O-iPr	Cl	oil	b
49	CH_2	$CO_2(nBu)$	F	O-iPr	Cl	oil	a
50	CH_2	$CO_2(iBu)$	F	O-iPr	Cl	oil	b
51	CH_2	$CO_2(iBu)$	F	O-iPr	Cl	oil	a
52	CH_2	$CO_2(nPr)$	F	O-iPr	Cl	oil	b
53	CH_2	$CO_2(nPr)$	F	O-iPr	Cl	71-73	a
54	CH_2	$CO_2(iPr)$	F	O-iPr	Cl	oil	b
55	CH_2	$CO_2(iPr)$	F	O-iPr	Cl	107-109	a
56	CH_2	CO_2Me	F	O-iPr	Cl	oil	b
57	CH_2	CO_2Me	F	O-iPr	Cl	97-99	a
58	CH_2	$CO_2(CH_2)_2CH(Me)_2$	F	O-iPr	Cl	oil	b
59	CH_2	$CO_2(CH_2)_2CH(Me)_2$	F	O-iPr	Cl	oil	a
70	O	COOH	F	O-iPr	Cl	59-61	a
71	O	COOH	F	O-iPr	Cl	62-64	b
72	O	$CO_2(CH_2)_2CH(Me)_2$	F	O-iPr	Cl	oil	b
75	CH_2	$CO_2(CH_2Ph)$	F	$OCH_2C{\equiv}CH$	Cl	oil	b
76	CH_2	$CO_2(CH_2Ph)$	F	$OCH_2C{\equiv}CH$	Cl	oil	a
77	CH_2	CO_2Me	F	$OCH_2C{\equiv}CH$	Cl	oil	b
78	CH_2	$CO_2(CH_2)CH(Me)_2$	F	$OCH_2C{\equiv}CH$	Cl	103-105	a
79	CH_2	COOH	F	$OCH_2C{\equiv}CH$	Cl	162-164	a
80	CH_2	COOH	F	$OCH_2C{\equiv}CH$	Cl	159-161	b
81	CH_2	$CO_2(CH_2)_2CH(Me)_2$	F	$OCH_2C{\equiv}CH$	Cl	oil	b

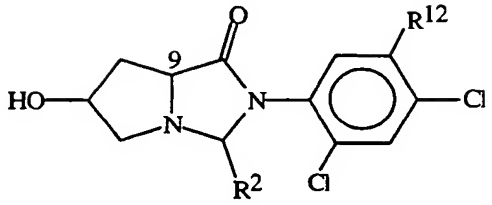
82	CH_2	CO_2(nBu)	F	$OCH_2C{\equiv}CH$	Cl	65-67	a
83	CH_2	CO_2(nBu)	F	$OCH_2C{\equiv}CH$	Cl	90-92	b
84	CH_2	CO_2(iPr)	F	$OCH_2C{\equiv}CH$	Cl	76-78	b
85	CH_2	C(=O)NH(n-Bu)	F	$OCH_2C{\equiv}CH$	Cl	136-138	b
86	CH_2	CO_2(iPr)	F	$OCH_2C{\equiv}CH$	Cl	oil	a
87	CH_2	C(=O)NHEt	F	$OCH_2C{\equiv}CH$	Cl	141-143	b
88	O	$CO_2CH_2C{\equiv}CH$	F	O-iPr	Cl	92-97	b
89	O	$CO_2CH_2C{\equiv}CH$	F	$OCH_2C{\equiv}CH$	Cl	oil	a
90	O	$CO_2CH_2C{\equiv}CH$	F	$OCH_2C{\equiv}CH$	Cl	oil	b
91	O	CO_2H	F	$OCH_2C{\equiv}CH$	Cl	66-68	a
92	O	CO_2H	F	$OCH_2C{\equiv}CH$	Cl	85-87	b
93	CH_2	CO_2Et	Cl	CONHiPr	Cl	60-63	c
94	O	CO_2Et	F	$OCH(Me)C{\equiv}CH$	Cl	oil	b
95	O	CO_2Et	F	O-iPr	Cl	oil	a
97	O	CO_2Et	F	$OCH(Me)C{\equiv}CH$	Cl	132-134	c
98	O	CO_2Et	F	$OCH(Me)C{\equiv}CH$	Cl	95-97	c
99	O	CO_2(iPr)	F	$OCH(Me)C{\equiv}CH$	Cl	99-101	b
101	O	CO_2Et	F	CO_2Me	Cl	95-97	a
102	O	CO_2Et	F	CO_2Me	Cl	98-100	b

Index Table B



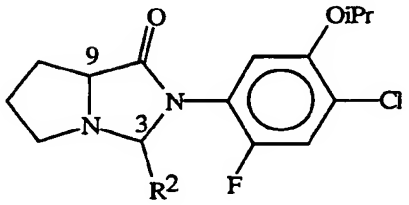
Cmpd No.	R^2	R^{11}	R^{12}	R^{13}	m.p. (°C)[d]	Comments
24	CO_2Et	F	H	Cl	oil	1 diastereomer, lower R_f
25	CO_2Et	F	H	Cl	oil	1 diastereomer, upper R_f

Index Table C



Cmpd No.	R^2	R^{12}	m.p. (°C)[d]	Comments
13	CO_2Et	H	97-99	9R config., upper R_f diastereomer
8	CO_2Et	H	133-135	9S config., lower R_f diastereomer
15	CO_2Et	$OCH_2C{\equiv}CH$	78-81	c

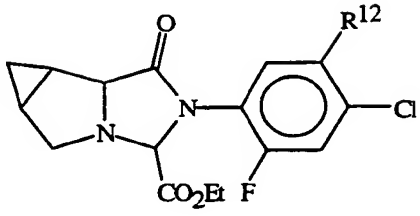
Index Table D



Cmpd No.	R^2	m.p. (°C)[d]	Stereochemistry
26	CO_2Et	oil	3S, 9S config.
27	CO_2Et	oil	3R, 9S config.
28	CO_2Et	oil	3S, 9R config.
29	CO_2Et	oil	3R, 9R config.
60	CO_2H	69-71	a
61	CO_2H	99-101	b
62	$CO_2(CH_2Ph)$	oil	b
63	$CO_2(CH_2Ph)$	oil	a
64	$CO_2(nBu)$	oil	a
65	$CO_2(nBu)$	oil	b
66	$CO_2(CH_2)_2CH(Me)_2$	oil	a
67	$CO_2CH_2CH(Me)_2$	oil	b
68	$CO_2CH_2CH(Me)_2$	oil	a

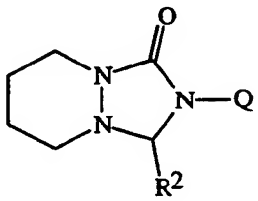
69	$CO_2CH(Me)_2$	oil	a
73	CO_2Me	oil	a
74	$CO_2(CH_2)_2CH(Me)_2$	oil	b

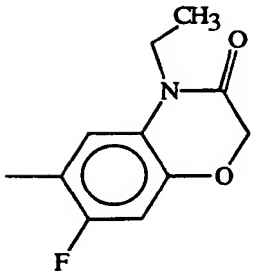
Index Table E

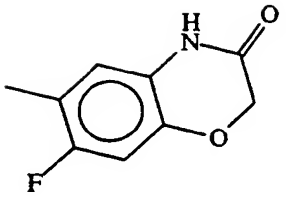
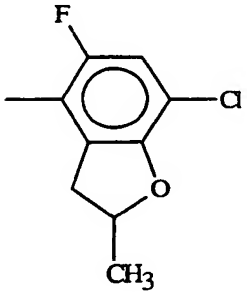
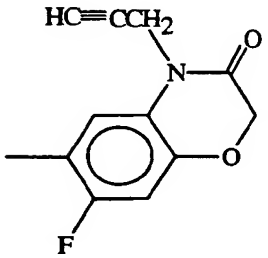
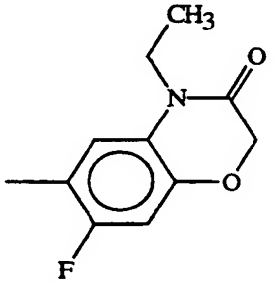
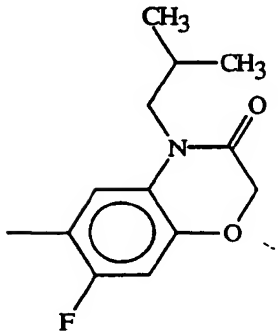


Cmpd No.	R^{12}	m.p. (°C)[d]	Comments
34	OiPr	oil	a
35	OiPr	oil	b
96	$OCH_2C{\equiv}CH$	130-132	b

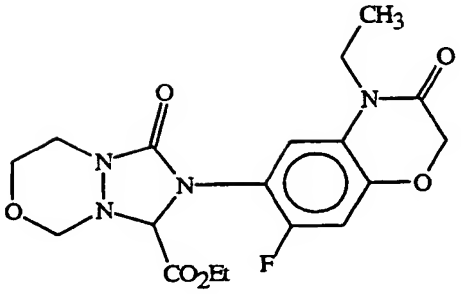
Index Table F



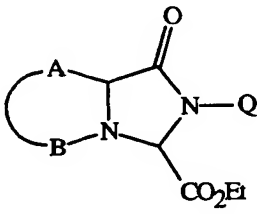
Cmpd No.	R^2	Q	m.p. (°C)[d]	Comments
100	CO_2Et		59-61	e
103	CO_2Et	4-chloro-2-fluoro-5-(2-propyloxy)phenyl	oil	e

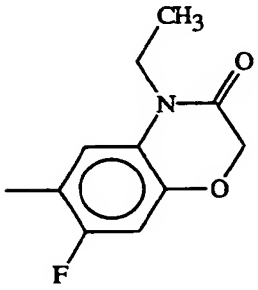
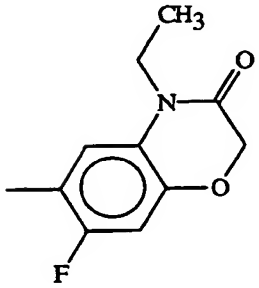
104	CO_2Et		82-84	e
105	CO_2Et		56-58	c
106	CO_2Et		48-50	e
107	CO_2Et	4-chloro-2-fluoro-5-carboethoxy-phenyl	121-123	e
108	CO_2H		106-109	e
118	CO_2Et		55-57	e

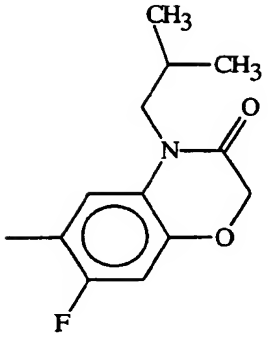
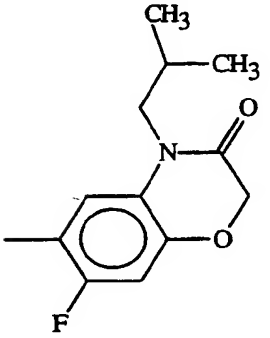
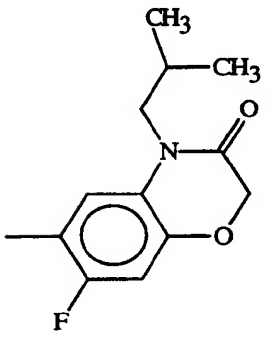
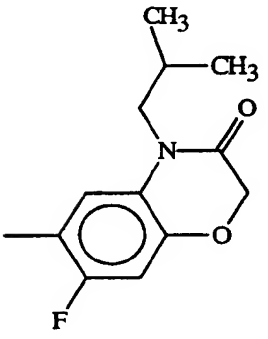
Index Table G

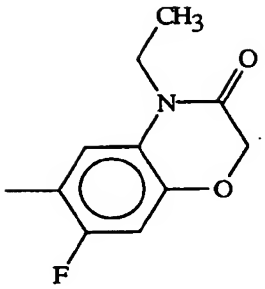
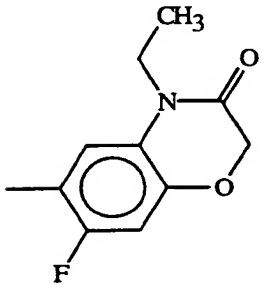
Cmpd No.	Q	m.p. (°C)[d]	Comments
111		137-139	e

Index Table H

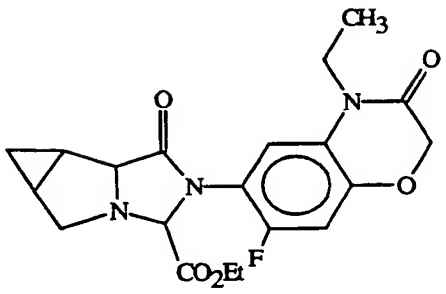


Cmpd No.	A-B	Q	m.p. (°C)[d]	Comments
109	$-CH_2OCH_2CH_2-$		48-50	a
110	$-CH_2OCH_2CH_2-$		59-61	b

116	$-CH_2OCH_2CH_2-$		79-81	b
117	$-CH_2OCH_2CH_2-$		116-118	a
119	$-CH_2CH_2CH_2-$		137-139	b
120	$-CH_2CH_2CH_2-$		56-58	a

121	$-CH_2CH_2CH_2-$		129-131	b
122	$-CH_2CH_2CH_2-$		oil	a
123	$-CH_2CH_2OCH_2-$	2-F-4-Cl-Ph	58-60	a
124	$-CH_2CH_2OCH_2-$	2-F-4-Cl-Ph	118-120	b

Index Table I



Cmpd No.	m.p. (°C)[d]	Comments
112	181-183	f
113	50-52	f
114	56-58	f
115	52-54	f

Index Table J

Cmpd No.	^{1}H NMR Data[1]
2	7.49-7.47 (m,1H), 7.17-7.15 (m,2H), 4.88 (s,1H), 1.38-1.10 (t,3H).
4	5.11 (s,1H), 4.22-4.20 (q,2H), 1.28-1.24 (t, 3H).
7	7.44 (s,1H), 6.97 (s,1H), 5.14 (s,1H), 4.49-4.42 (m,1H), 4.21-4.19 (m,2H), 1.38-1.34 (m,6H), 1.27-1.24 (t,3H).
12	5.19 (s,1H), 4.98 (s,1H), 4.30-4.02 (m,2H), 1.23 (t,3H), 1.19 (t,3H).
14	5.19 (s,1H), 4.99 (s,1H), 4.30-4.15 (m,4H), 1.25 (t,3H), 1.08 (t,3H).
16	7.96-7.94 (d,1H), 7.60-7.57 (d,1H), 5.19 (s,1H), 4.98 (s,1H), 3.91 (s,3H), 1.27 (t,3H), 1.18 (t,3H).
17	5.19 (s,1H), 4.98 (s,1H), 4.31-4.12 (m,4H), 3.90 (s,3H), 1.35-1.29 (t,3H), 1.19-1.11 (t,3H).
18	7.41 (s,1H), 6.98 (s,1H), 4.95 (s,1H), 4.20-4.15 (m,2H), 3.80-3.75 (m,2H), 1.17-1.14 (t,3H), 1.04-1.03 (d,6H).
19	7.44 (s,1H), 6.92 (s,1H), 5.14 (s,1H), 4.21-4.15 (m,2H), 3.80-3.75 (m,2H), 1.28-1.25 (t,3H), 1.04-1.03 (d,6H).
20	7.44 (s,1H), 6.97 (s,1H), 5.12 (s,1H), 4.60-4.53 (m,1H), 4.20-4.15 (m,2H), 1.38-1.34 (dd,6H), 1.27-1.24 (t,3H).
21	7.41 (s,1H), 7.02 (s,1H), 4.9 (s,1H), 4.60-4.53 (m,1H), 4.20-4.15 (m,2H), 1.56-1.37 (dd,6H), 1.17-1.13 (t,3H).
22	7.26-7.17 (dd,2H), 5.00 (s,1H), 1.39-1.35 (dd,6H), 1.29-1.25 (t,3H).
23	9.40 (br,1H), 7.40 (s,1H), 7.28 (s,1H), 1.39-1.38 (d,6H).
24	6.97-6.96 (d,1H), 5.30-5.07 (m,2H), 4.22-4.18 (m,2H), 1.29-1.25 (m,3H).
25	6.93-6.92 (d,1H), 5.11-5.05 (m,1H), 4.99 (s,1H), 4.22-4.11 (m,2H), 1.56-1.54 (d,3H), 1.80-1.63 (t,3H).
26	7.21-7.19 (d,1H), 7.13-7.12 (d,1H), 5.14 (s,1H), 1.38-1.34 (dd,6H), 1.30-1.26 (t,3H).
27	5.77 (s,1H), 4.25-4.23 (q,2H), 1.39-1.36 (dd,6H), 1.29-1.26 (t,3H).

28	5.14 (s,1H), 4.25-4.24 (q,2H), 1.38-1.34 (dd,6H), 1.30-1.26 (t,3H).
29	5.74 (s,1H), 4.24-4.23 (q,2H), 1.39-1.36 (dd,6H), 1.29-1.26 (t,3H).
30	7.21-7.17 (dd,2H), 5.11 (s,1H), 4.24-4.22 (q,2H), 1.38-1.35 (dd,6H), 1.29-1.26 (t,3H).
31	7.20-7.17 (d,1H), 7.16-7.14 (d,1H), 5.11 (s,1H), 4.25-4.23 (t,2H), 1.38-1.35 (dd,6H), 1.29-1.26 (t,3H).
32	7.20-7.17 (d,1H), 7.16-7.14 (d,1H), 5.09 (s,1H), 1.38-1.35 (dd,6H), 1.17 (t,3H).
33	7.20-7.18 (d,1H), 7.16-7.19 (d,1H), 5.10 (s,1H), 4.24-4.22 (m,2H), 1.38-1.36 (dd,6H), 1.19-1.16 (t,3H).
34	5.01 (s,1H), 4.09 (s,1H), 1.38-1.34 (dd,6H), 1.26-1.22 (q,3H), 0.79-0.62 (m,2H).
35	5.79 (s,1H), 1.39-1.37 (d,6H), 1.29-1.25 (t,3H).
36	5.19 (br,s,2H), 4.76-4.76 (s,2H), 1.19-1.17 (t,3H).
37	4.99 (s,1H), 4.76 (s,2H), 1.27 (t,3H).
38	7.16-7.13 (dd,2H), 5.20 (s,1H), 1.21-1.18 (t,3H), 1.05-1.03 (d,6H).
39	7.21-7.17 (dd,2H), 4.99 (s,1h), 1.30-1.26 (t,3H), 1.05-1.03 (d,6H).
40	7.42 (s,1H), 7.02 (s,1H), 5.03-5.02 (br,s,1H), 4.54-4.51 (m,1H), 3.71 (s,3H), 1.39-1.36 (dd,6H).
41	7.45 (s,1H), 7.04 (s,1H), 7.04 (s,1H), 5.20 (s,1H), 3.77 (s,3H), 1.39-1.34 (dd,6H).
42	7.44 (s,1H), 7.41 (s,1H), 7.00 (br,2H), 5.19 (s,1H), 4.99 (s,1H), 1.38-1.34 (dd,6H), 0.89-0.85 (dd,6H).
43	7.42 (s,1H), 7.40 (s,1H), 7.01 (br,2H), 5.19 (s,1H), 1.37-1.35 (dd,6H), 1.32-1.29 (t,3H), 1.20-1.92 (dd,6H), 1.15-1.09 (t,3H).
48	7.19-7.18 (dd,2H), 5.11 (s,1H), 4.50-4.43 (m,1H), 1.37-1.34 (dd,6H), 0.91-0.87 (t,3H).
49	4.99 (s,1H), 1.38-1.35 (dd,6H), 0.86-0.84 (t,3H).
50	5.10 (s,1H), 1.37-1.353 (dd,6H), 0.89-0.88 (dd,6H).
51	7.19-7.17 (d,1H), 7.15-7.12 (d,1H), 4.99 (s,1H), 1.41-1.35 (m,9H), 0.84-0.82 (d,6H).

52	7.16-7.14 (dd,2H), 5.79 (s,1H), 1.39-1.36 (m,8H), 0.92-0.88 (t,3H).
54	7.21-7.19 (d,1H), 7.18-7.16 (d,1H), 5.07 (s,1H), 1.37-1.34 (dd,6H), 1.26-1.21 (dd,6H).
56	7.21-7.19 (d,1H), 7.18-7.17 (d,1H), 5.12 (s,1H), 3.78 (s,3H), 1.38-1.35 (dd,6H).
58	5.10 (s,1H), 1.37-1.35 (dd,6H), 0.89-0.86 (dd,6H).
59	4.99 (s,1H), 1.41-1.35 (m,9H), 0.84-0.82 (d,6H).
62	5.22 (s,1H), 5.21 (s,2H), 4.39-4.30 (q,2H), 1.33-1.29 (dd,6H).
63	5.21 (s,1H), 5.20 (s,2H), 4.39-4.25 (m,2H), 1.33-1.29 (dd,6H).
64	5.12 (s,1H), 4.55-4.41 (m,1H), 4.14-4.12 (m,3H), 1.38-1.34 (dd,6H), 0.96-0.87 (t,3H).
65	5.79 (s,1H), 4.17-4.16 (t,2H), 1.39-1.36 (dd,6H), 0.92-0.88 (t,3H).
66	5.11 (s,1H), 1.38-1.34 (dd,6H), 0.88-0.85 (dd,6H).
67	5.82 (s,1H), 1.39-1.36 (dd,6H), 0.91-0.89 (d,6H).
68	5.15 (s,1h), 3.95-3.94 (q,2H), 1.38-1.34 (dd,6H), 0.88-0.86 (d,6H).
69	5.07 (s,1h), 5.03-4.99 (q,1H), 4.50-4.43 (q,1H), 1.38-1.34 (dd,6H), 1.25-1.24 (d,3H), 1.19-1.17 (d,3H).
72	4.99 (s,1H), 1.38-1.36 (t,6H), 0.87-0.86 (t,6H).
73	5.15 (s,1H), 3.77 (s,3H), 1.38-1.35 (dd,6H).
74	5.07 (s,1H), 4.21 (s,1H), 1.39-1.36 (dd,6H), 0.89-0.87 (d,6h).
75	5.18-5.16 (m,3H), 4.62-4.61 (br,s,2H), 2.51 (s,1H).
76	5.26-5.19 (m,1H), 5.05 (s,1H), 4.61 (s,2H), 2.54 (s,2H).
77	5.12 (s,1h), 4.75 (s,2H), 3.78 (s,3H), 2.58 (s,1H).
81	4.99 (s,1H), 4.75 (s,2H), 0.84-0.82 (dd,6H).
86	5.04-4.99 (m,2h), 4.75 (s,2H), 2.58 (s,2H), 1.16-1.14 (dd,6H).
89	7.40-7.38 (d,1H), 7.25-7.22 (d,1H), 5.05 (s,1H), 4.78-4.74 (m,4H), 2.6 (s,1H), 2.52 (s,1H).
90	5.04 (s,1H), 4.77-4.76 (m,4H), 2.60 (s,1H), 2.52 (s,1H).
94	5.19 (s,1H), 4.90 (q,1H), 2.53 (s,1H), 1.71-1.69 (d,3H), 1.17-1.13 (t,3H).
95	5.19 (s,1H), 4.55-4.44 (m,1H), 4.25-4.15 (m,2H0, 1.39-1.36 (dd,6H), 1.20-1.16 (t,3H).

103	(300 MHz): 5.12 (s,1H), 4.60-4.52 (m,1H), 1.39-1.36 (m,7H), 1.24-1.20 (t,3H).
122	7.18-7.17 (d,1H), 6.82-6.81 (d,1H), 5.05 (s,1H), 4.60 (s,2H), 1.28-1.25 (m,6H).

[1] Unless indicated otherwise, spectra were obtained in $CDCl_3$ at 400 MHz. br = broad, s = singlet, d = doublet, dd = doublet of doublets, t = triplet, q = quartet, m = multiplet.

EXAMPLE 9

Preparation of (*S*)-2-amino-3-methylbutanamide hydrochloride

Anhydrous ammonia was bubbled through 150 mL of methylene chloride cooled to 0°C (ice-bath) until the solution was saturated. To this mixture cooled to 5°C and under N_2 was added dropwise trimethylaluminum (136.2 mL of a 2 M solution in hexane, 272.4 mmol) available from Aldrich Chemical Co., (Milwaukee, WI). The resultant cloudly solution was allowed to warm to room temperature and stirred for 22 h. L-Valine (10.6 g, 90.79 mmol) was added portionwise and stirred for 18 h at room temperature. To this mixture, cooled to 0°C (ice-water bath), was then added dropwise 190 mL of 6 N HCl until the pH was 2. The resultant mixture allowed to warm and stirred for 2 hours and then made basic (pH = 11-12) with 50% aqueous NaOH. To the basic solution was added 100 mL of methylene chloride and 100 mL of H_2O. The organic layer was separated, dried over magnesium sulfate and evaporated under reduced pressure to dryness. The resultant residue was dissolved in 100 mL of methylene chloride and acidified with HCl gas. The solid that formed was filtered and dried under reduced pressure to give 6.8 g (49%) of the title compound, mp 258-260°C. IR (Nujol, cm^{-1}), C=O (1686), N-H (3387, 3241). ^1H NMR and ^{13}C NMR ($CDCl_3$) consistent with title product. Analysis calculated for $C_5H_{13}ClN_2O$: C, 39.35; H, 8.59; N, 18.35; Cl, 23.23; Found: C, 39.82; H, 8.52; N, 18.40; Cl, 23.13. MS: *m/e* 117 (M^+-Cl).

To 302.1 mg (1.98 mmol) of the title product in 25 mL of tetrahydrofuran under N_2 at 0°C was added dropwise (*R*)-(-)-α-methoxy-α-(trifluoromethyl)-phenylacetyl chloride (500.0 mg, 1.98 mmol, available from Aldrich Chemical Co., Milwaukee, WI). After stirring the mixture for an additional 15 min, 50 mL of water and 50 mL of ethyl acetate was added. The ethyl acetate layer was

separated, dried over magnesium sulfate and evaporated under reduced pressure to a dry residue. The residue was chromatographed on a silica gel column, eluting with 50% ethyl acetate in hexane. The desired fractions were combined and evaporated under reduced pressure to yield 210 mg (68%) of (*R*)-(-)-α-methoxy-α-(trifluoromethyl)-phenylacetyl-(*S*)-2-amino-3-methylbutanamide as a white solid, mp 52-54°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56-7.53 (br,2H), 7.43-7.40 (m,3H), 7.32-7.30 (d,1H), 6.27-6.25 (br,1H), 5.60-5.50 (br,1H), 4.46-4.42 (m,1H), 4.48 (s,3H, OCH_3), 2.16-2.12 (m,1H), 0.95-0.93 (d,3H), 0.87-0.85 (d,3H); ^{19}F NMR ($CDCl_3$) δ -69.41 (singlet). An authentic sample of (*S*)-2-amino-3-methylbutanamide hydrochloride purchased from Schweizerhall Chem. Co., South Plainfield, NJ was derivatized in exactly the same manner as above. The mp, ^{1}H NMR and ^{19}F NMR spectra were identical to the derivatized product of the present example indicating that no detectable racemization occurred in the preparation of the title product.

EXAMPLE 10

Preparation of *S*-2-amino-4-methyl-*N*-[2-(4-pyridinyl)ethyl]pentanamide

To a stirring solution of 4-(2-aminoethyl) pyridine (15.2 g, 124.17 mmol), in anhydrous methylene chloride (100 mL) under N_2 at 0°C (ice-water bath), was added dropwise, trimethylaluminum (186.26 mL of a 2 M solution in hexane, 372.51 mmol). The resultant mixture was stirred under nitrogen at room temperature for 24 hours. L-leucine (16.29 g, 124.17 mmol, Sigma Chemical Co., St. Louis, MO) was then added portion wise through a solid addition funnel and the reaction mixture was allowed to stir at room temperature for 72 h. The reaction mixture was cooled to 0°C, treated with 6 N hydrochloric acid until the pH of the mixture was 3 to 4, followed by the addition of 200 mL of water. After stirring for 0.5 h, the aqueous layer was separated and made basic (pH = 9) with 50% aqueous NaOH. To this aqueous solution was added 400 mL of methylene chloride, the organic layer was separated, dried over magnesium sulfate and evaporated to dryness under vacuum. The residue was chromatographed on silica gel eluting with 2% methanol in methylene chloride to obtain 2.2 g (10%) of the title compound as a yellow oil. IR (neat, cm^{-1}), C=O (1658.2), N-H (3303.0). ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.53-8.51 (d,2H), 7.58-7.49 (br,1H), 7.15-7.14 (d,2H), 3.56-3.53 (m,2H), 3.43-3.39 (d,1H), 1.91-1.89 (br,2H), 1.70-1.68 (m,2H), 1.38-1.26 (t,1H), 0.96-0.91 (dd,6H). Analysis calculated for

$C_{13}H_{21}N_3O \cdot 1/4H_2O$: C, 65.51; H, 9.03; N, 17.52; Found: C, 64.99; H, 8.96; N, 17.18.

EXAMPLE 11

Preparation of *S*-α-amino-N-[3-(dimethylamino)propyl]benzenpropanamide

To a stirring solution of 3-dimethylaminopropyl amine (10.0 g, 97.86 mmol), in anhydrous methylene chloride (100 mL) under N_2 at 0°C (ice-water bath), was added dropwise, trimethylaluminum (146.79 mL of a 2 M solution in hexane, 293.58 mmol). The resultant mixture was stirred under N_2 at room temperature for 24 h. L-phenylalanine hydrochloride (22.48 g, 97.86 mmol, Aldrich Chemical Co., Milwaukee, WI) was then added portion wise through a solid addition funnel and the reaction mixture allowed to stir at room temperature for 72 h. The reaction mixture was cooled to 0°C, treated with 6 N hydrochloric acid until the pH of the mixture was 2, followed by the addition of 200 mL of water. The aqueous layer was separated and made basic (pH = 9) with 50% aqueous NaOH. To this aqueous solution was added 400 mL of methylene chloride, the organic layer was separated, dried over magnesium sulfate and evaporated to dryness under reduced pressure. The residue was chromatographed on silica gel eluting with 50% ethyl acetate in hexane. The desired fractions were combined and evaporated under reduced pressure to give 2.0 g (12%) the title compound as a yellow oil. IR (neat, cm^{-1}), C=O (1658), N-H (3299.9). ^1H NMR (400 MHz, $CDCl_3$): δ 7.86-7.85 (br,1H), 7.32-7.21 (m,5H), 3.80-3.78 (m,1H), 3.39-3.23 (m,3H), 2.25-2.24 (m,2H), 2.21-2.19 (s,6H), 1.80-1.79 (m,2H).

EXAMPLE 12

Preparation of (±)-2-amino-N-(2,4-dichlorophenyl)-4-hydroxybutanamide

To a stirring solution of 2,4-dichloroaniline (4.27 g, 26.37 mmol), in anhydrous methylene chloride (100 mL) under N_2 at 0°C (ice-water bath), was added dropwise, trimethylaluminum (39.56 mL of a 2 M solution in hexane, 79.11 mmol). The resultant mixture was stirred under N_2 at room temperature for 24 h. The mixture was cooled and (±)-α-amino-γ-butyrolactone hydrobromide (39.56 mL, 74.11 mmol, Aldrich Chemical Co., Milwaukee, WI) was then added portionwise and the reaction mixture then allowed to stir at room temperature for 48 h. The reaction mixture was cooled to 0°C, treated with 6 N hydrochloric acid until the pH of the mixture was 2 to 3. The resultant solid was filtered and suspended in 100 mL of water. The suspension was made basic with 50% aqueous NaOH (pH = 13) and 300 mL of methylene chloride was added. The

organic layer was separated, dried over magnesium sulfate and evaporated under reduced pressure. The residue obtained was chromatographed on silica gel eluting with 50% ethyl acetate in hexane. The desired fractions were combined and evaporated under reduced pressure to give the title compound as a white solid (2.3 g, 36%), mp 89-91°C. IR (Nujol, cm^{-1}), C=O (1658), N-H (3323.9), OH (3265.9). ^1H NMR (400 MHz, $CDCl_3$) spectrum was consistent with the title product. Analysis calculated for $C_{10}H_{12}Cl_2N_2O$: C, 45.65; H, 4.60; N, 10.68; Cl, 26.90; Found: C, 45.69; H, 4.60; N, 10.53; Cl, 26.70.

EXAMPLE 13

Preparation of methyl *N*-L-phenylalanyl-L-valine

A solution of trimethylaluminum (136.2 mL of a 2 M solution in hexane, 272.4 mmol) was added dropwise to a stirring suspension of L-phenylalanine (15.0 g, 90.79 mmol) in 150 mL of methylene chloride at 0°C (ice-bath). The resultant clear solution was allowed to warm to room temperature and stirred for 23 h. A solution of the free base of L-valine methyl ester (15.22 g, 90.79 mmol) in 100 mL of methylene chloride was added dropwise and the resultant clear solution was stirred at room temperature for 72 h. The reaction mixture was then cooled to 0°C and with stirring, 6N HCl was dropwise until the pH was 2. The mixture was stirred for an additional 0.5 h followed by addition of 40 mL of 50% aqueous NaOH until the pH was 13. To this mixture was added 800 mL of methylene chloride and 100 mL of water. The organic layer was separated, dried over magnesium sulfate and evaporated under reduced pressure to provide a residue which was chromatographed on silica gel using 5% methanol in methylene chloride as the eluting solvent. The desired fractions were collected and evaporated under reduced pressure to yield 5.0 g (34%) of the title product as a colorless oil. IR (neat, cm^{-1}), C=O (1665.8, 1742.0), N-H (3366.6). ^1H NMR and ^{13}C NMR ($CDCl_3$) spectra were both consistent with the title product. Analysis calculated for $C_{15}H_{22}ClN_2O_3 \cdot 1/4H_2O$: C, 56.41; H, 7.42; N, 8.77; Cl, 11.10; Found: C, 56.34; H, 7.65; N, 8.61; Cl, 10.62.

EXAMPLE 14

Preparation of ethyl *N*-L-phenylalanine-L-alanine

Using the procedure of Example 13, employing 10.0 g of L-phenylalanine (60.53 mmol) and 90.79 mL of 2.0 M trimethylaluminum in hexane (181.6 mmol) and 9.29 g of the free base of L-alanine ethyl ester (60.53 mmol), and a similar isolation procedure provided 2.5 g (25%) of the title compound as a

yellow oil. IR (neat, cm^{-1}), C=O (1665.4, 1738.5), N-H (3366.2). ^1H NMR and ^{13}C NMR ($CDCl_3$) spectra were both consistent with the title product.

EXAMPLE 15

Preparation of *S*- 2-amino-*N*-(4-chloro-2-fluorophenyl)-3-methylbutanamide

To a mixture of 4-chloro-2-fluororaniline (5.21 g, 35.83 mmol, Aldrich Chemical; Milwaukee,WI) and L-valine methylester hydrochloride (6.01 g, 35.83 mmol, Aldrich Chemical; Milwaukee, WI) in methylene chloride (100 mL) under N_2 at 0°C (ice-water bath), was added dropwise trimethylaluminum (35.83 mL of a 2 M soln, 71.66 mmol). The resultant mixture was stirred under N_2 at room temperature for 2 days. The reaction mixture was cooled to 0°C, treated with 6N hydrochloric acid (150 mL), until the foaming stopped. Methylene chloride (300 mL) and H_2O (400 mL) were added. The aqueous layer was separated and basicified with 50% NaOH to pH 10. Methylene chloride (500 mL) was added and the organic layer was separated, dried over magnesium sulfate, and evaporated under reduced pressure to dryness. The dried residue was chromatographed on silica gel using 30% ethyl acetate in hexane as the eluting solvent. The desired fractions were collected and evaporated under reduced pressure to yield 4.76 g (63%) of title compound as a white solid, mp 77-79°C. IR (Nujol, cm^{-1}), C=O (1678), N-H (3379,3254). ^1H NMR (400 MHz, $CDCl_3$): δ 9.98 (br,1H), 8.40-8.38 (t,1H), 7.13-7.11 (m,2H), 3.41(br,1H), 2.48-2.40 (m,1H), 1.48 (br,2H), 1.06-1.04 (d,3H), 0.88-0.86 (d,3H).

EXAMPLE 16

Preparation of *R*-2-amino-*N*-(4-chloro-2-fluorophenyl)-4-methylpentanamide

A solution of trimethylaluminum (35.8 mL of a 2 M solution in hexane, 71.66 mmol) was added dropwise to a stirred suspension of D-leucine (4.70 g, 35.83 mmol, Aldrich Chemical; Milwaukee, WI) in 100 mL of methylene chloride under nitrogen, at 0°C (ice-water bath). The resulting clear solution was stirred at room temperature overnight. To the solution was added 4-chloro-2-fluoroaniline (5.21 g, 35.83 mmol) portionwise via solid addition funnel and the mixture was stirred at room temperature for 2 days. To the reaction mixture 6N HCl (200 mL) was added until foaming stopped. Methylene chloride(400 mL) and water (200 mL) were added. The aqueous layer was separated and basicified with 50% NaOH to pH 10. Methylene chloride (400 mL) was added. The organic layer was separated, dried over magnesium sulfate, and evaporated to dryness under reduced pressure. The dried residue was chromatographed on silica

gel using 30% ethyl acetate in hexane as eluting solvent. The desired fractions were collected and evaporated under reduced pressure to yield (3.61 g, 48%) of the title compound as a white solid, mp 75-77°C. IR (Nujol, cm^{-1}), N-H (3382, 3251), C=O, (1680). ^1H NMR (400 MHz, $CDCl_3$): δ 9.99 (br,1H), 8.40-8.36 (t,1H), 7.13-7.10 (m,2H), 3.58-3.92 (m,1H), 1.85-1.73 (m,2H), 1.56 (br,2H), 1.48-1.44 (t,1H), 1.01-0.97 (m,6H).

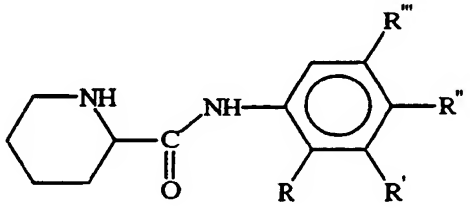
EXAMPLE 17

Preparation of *R*-2-amino-*N*-(4-chloro-2-fluorophenyl)-4-methylpentanamide

The title compound of Example 16 was also prepared in the following manner. To a mixture of 4-chloro-2-fluoroaniline(5.21 g, 35.83 mmol) and D-leucine (4.10 g, 35.83 mmol) in methylene chloride (100 mL), was added trimethylaluminum (35.8 mL of a 2 M solution in hexane, 71.66 mmol) dropwise at 0°C under nitrogen. The resultant brown solution was stirred at room temperature for 2 days. A similar workup as in Example 16 yielded the title compound (4.04 g, 55%) as a white solid, mp 75-77°C. Spectral data matched that of the compound prepared in Example 16.

By the general procedures described herein, or obvious modifications thereof, the compounds of Index Tables K-P can be prepared. In the Tables , the α-amino amide product, when chiral, is indicated by a wedge or hash bond at the chiral carbon, if not so indicated, the product is racemic. In Index Tables K-N, the designations R, R', R'' and R''' are used to indicate the substituents on the aromatic ring as previously defined for R^{43}.

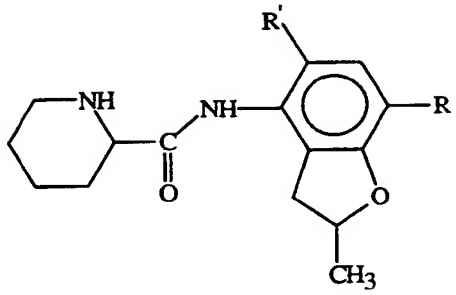
Index Table K



Compound	R	R'	R''	R'''	mp (°C)
125	Cl	H	F	H	118-119
126	F	H	F	H	87-89
127	Br	H	Cl	H	115-117
128	F	H	Cl	$OCH(CH_3)_2$	96-98

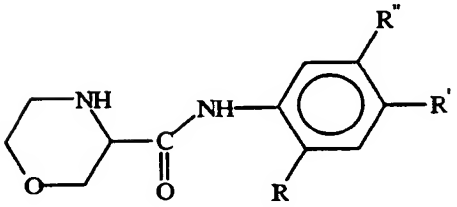
129	F	H	Cl	$OCH_2C{\equiv}CH$	120-122
130	Cl	H	Cl	H	122-123
131	Br	H	CH_3	H	65-67
132	H	Cl	OCH_3	H	94-96

Index Table L



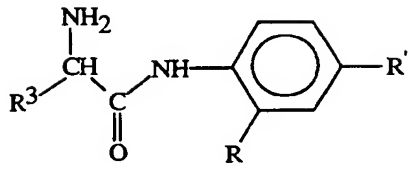
Compound	R	R'	mp (°C)
133	Cl	Cl	gum
134	Cl	F	114-116

Index Table M



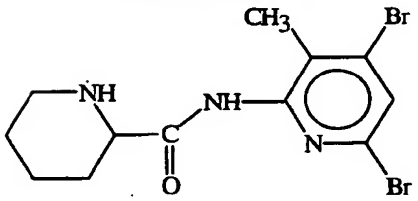
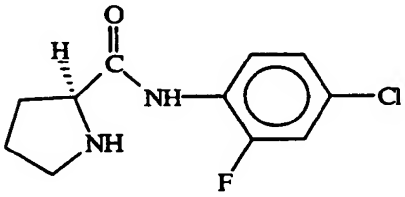
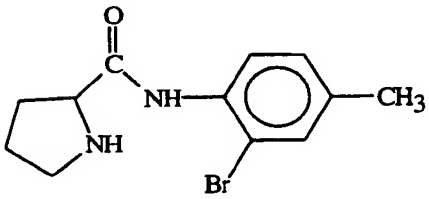
Compound	R	R'	R"	mp (°C)
135	F	Cl	$OCH_2C{\equiv}CH$	164-166
136	F	Cl	$OCH_2CH(CH_3)_2$	119-121
137	F	Cl	$OCH(CH_3)_2$	99-101

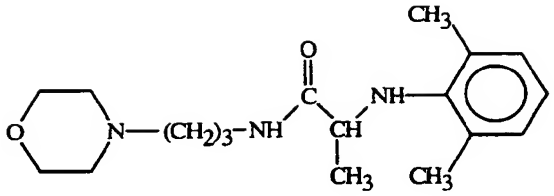
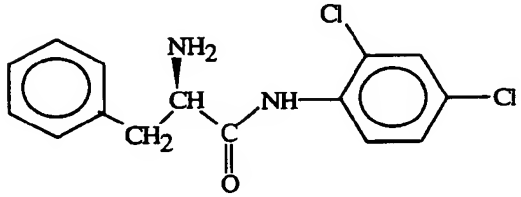
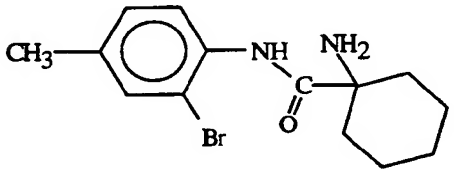
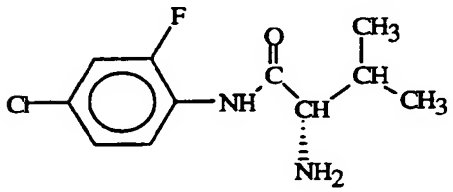
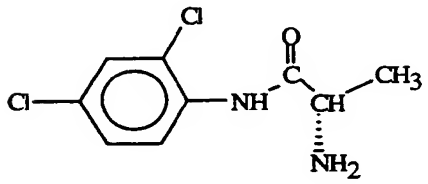
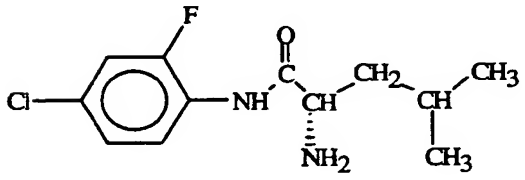
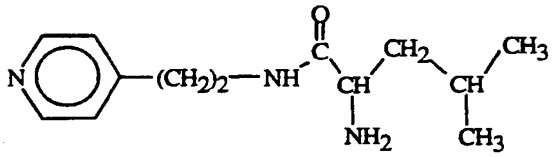
Index Table N

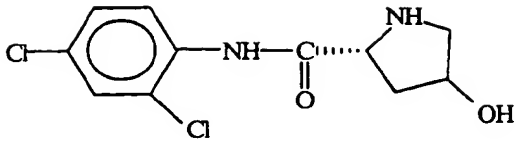
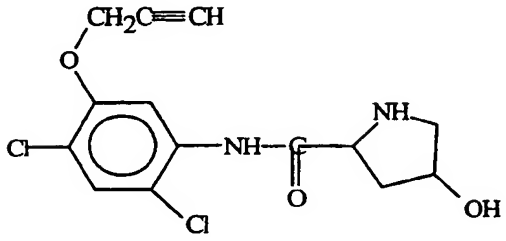
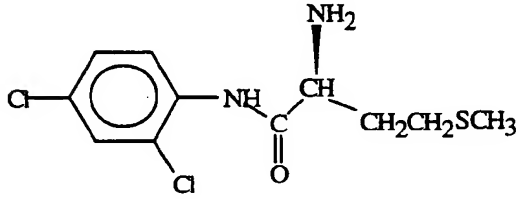
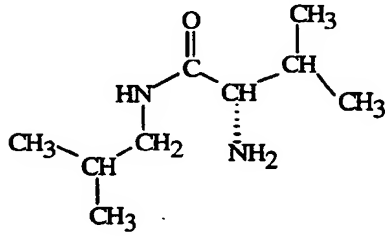


Compound	R'	R	R^3	mp (°C)
138	F	H	$CH(CH_3)_2$	oil
139	CH_3	Br	$CH(CH_3)_2$	230-232
140	I	H	$CH(CH_3)_2$	103-105
141	CN	H	$CH(CH_3)_2$	66-68
142	CH_3	Br	CH_3	110-112
143	Cl	F	$CH_2CH_2SO_2CH_3$	193-194
144	Cl	F	CH_2SH	133-135

Index Table O

Compound	α-amino amide	mp (°C)
145		105-107
146		96-98
147		75-77

148		oil
149		oil
150		110-112
151		82-83
152		63-65
153		74-75
154		oil

155		109-111
156		139-141
157		196-198
158		140-142

TEST A

Seeds of barnyardgrass (*Echinochloa crus-galli*), cheatgrass (*Bromus secalinus*), cocklebur (*Xanthium pensylvanicum*), crabgrass (*Digitaria* spp.), giant foxtail (*Setaria faberi*), morningglory (*Ipomoea* spp.), sorghum (*Sorghum bicolor*), velvetleaf (*Abutilon theophrasti*), and wild oat (*Avena fatua*) were planted into a sandy loam soil and treated preemergence with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop and weed species were also treated postemergence with test chemicals. Plants ranged in height from two to eighteen cm and were in the two to three leaf stage for the postemergence treatment. Treated plants and untreated controls were maintained in a greenhouse for approximately eleven days, after which all treated plants were compared to untreated controls and visually evaluated for injury. Plant response ratings, summarized in Table A, are based on a 0 to 10 scale where 0 is no effect and 10 is complete control. A dash (-) response means no test results.

Table A	COMPOUND	
Rate 2000 g/ha	1	2
POSTEMERGENCE		
Barnyardgrass	1	2
Cheatgrass	1	1
Cocklebur	3	3
Crabgrass	1	3
Giant foxtail	1	2
Morningglory	2	7
Sorghum	1	2
Velvetleaf	4	4
Wild oats	1	1

Table A	COMPOUND	
Rate 2000 g/ha	1	2
PREEMERGENCE		
Barnyardgrass	2	1
Cheatgrass	2	2
Cocklebur	0	0
Crabgrass	2	1
Giant foxtail	9	7
Morningglory	0	0
Sorghum	1	1
Velvetleaf	10	6
Wild oats	1	0

Table A	COMPOUND
Rate 1000 g/ha	14
POSTEMERGENCE	
Barnyardgrass	1
Cheatgrass	0
Cocklebur	0
Crabgrass	1
Giant foxtail	1
Morningglory	1
Sorghum	1
Velvetleaf	2
Wild oats	0

Table A	COMPOUND
Rate 1000 g/ha	14
PREEMERGENCE	
Barnyardgrass	0
Cheatgrass	0
Cocklebur	0
Crabgrass	0
Giant foxtail	0
Morningglory	0
Sorghum	0
Velvetleaf	0
Wild oats	0

TEST B

Seeds of barley (*Hordeum vulgare*), barnyardgrass (*Echinochloa crus-galli*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), cheatgrass (*Bromus secalinus*), chickweed (*Stellaria media*), cocklebur (*Xanthium pensylvanicum*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria* spp.), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberi*), lambsquarters (*Chenopodium album*), morningglory (*Ipomoea hederacea*), rape (*Brassica napus*), rice (*Oryza sativa*), sorghum (*Sorghum bicolor*), soybean

(*Glycine max*), sugar beet (*Beta vulgaris*), velvetleaf (*Abutilon theophrasti*), wheat (*Triticum aestivum*), wild buckwheat (*Polygonum convolvulus*), wild oat (*Avena fatua*) and purple nutsedge (*Cyperus rotundus*) tubers were planted and treated preemergence with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop and weed species were also treated with postemergence applications of test chemicals. Plants ranged in height from two to eighteen cm (one to four leaf stage) for postemergence treatments. Treated plants and controls were maintained in a greenhouse for twelve to sixteen days, after which all species were compared to controls and visually evaluated. Plant response ratings, summarized in Table B, are based on a scale of 0 to 10 where 0 is no effect and 10 is complete control. A dash (-) response means no test result.

Table B	COMPOUND																		
Rate 2000 g/ha	1	3	4	5	6	10	11	12	13	17	18	19	20	21	22	23	40	41	
POSTEMERGENCE																			
Barley	2	1	1	2	3	2	2	0	0	0	2	2	0	2	9	0	3	2	
Barnyardgrass	1	1	1	1	9	6	1	2	0	0	3	2	2	4	9	0	4	3	
Bedstraw	3	3	3	3	7	2	1	1	0	0	2	1	2	1	8	2	1	1	
Blackgrass	1	2	2	2	6	3	1	1	0	0	2	0	2	1	4	0	1	1	
Cheatgrass	0	1	1	1	3	1	0	0	0	0	1	0	0	1	5	0	2	3	
Chickweed	4	3	1	1	-	2	0	0	0	0	0	0	0	1	4	0	0	0	
Cocklebur	2	2	1	2	9	3	1	1	0	0	2	1	0	4	9	0	3	3	
Corn	0	1	0	1	4	2	2	1	0	0	2	2	1	2	6	0	2	2	
Cotton	9	3	4	9	9	10	4	6	0	0	8	4	8	9	10	8	9	9	
Crabgrass	1	1	1	2	5	3	2	1	0	0	3	2	2	3	9	1	3	4	
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	
Giant foxtail	1	1	1	1	7	2	2	0	0	0	3	-	2	3	8	0	3	3	
Lambsquarter	8	1	1	-	10	10	7	2	-	0	9	6	8	9	10	4	10	8	
Morningglory	3	4	4	3	9	5	3	1	0	0	2	1	3	4	9	0	7	6	
Nutsedge	0	10	1	0	2	2	0	0	0	0	0	0	0	0	5	0	2	1	
Rape	2	1	2	1	8	2	3	1	0	4	2	2	0	2	9	2	2	1	
Rice	2	1	0	3	6	5	3	1	0	0	1	2	2	3	9	0	3	3	
Sorghum	1	1	0	0	6	2	2	1	0	0	2	4	3	4	8	2	3	3	
Soybean	1	2	1	0	8	3	3	2	0	0	2	3	3	4	9	3	5	4	
Sugar beet	6	2	2	2	10	9	8	1	0	3	6	2	0	5	10	2	8	9	
Velvetleaf	5	3	2	4	9	7	1	2	0	0	2	2	2	3	9	0	9	2	
Wheat	2	2	2	1	3	2	2	0	0	0	1	1	0	1	8	0	1	1	
Wild buckwheat	5	1	2	5	10	3	1	2	0	0	2	2	2	2	10	2	6	7	
Wild oat	2	1	1	1	4	1	1	0	0	0	1	1	0	2	8	0	2	1	

Table B	COMPOUND																	
Rate 2000 g/ha	1	3	4	5	6	10	11	12	13	17	18	19	20	21	22	23	40	41
PREEMERGENCE																		
Barley	0	0	0	0	0	0	0	0	0	0	0	0	0	0	3	0	0	0
Barnyardgrass	0	0	0	0	9	5	4	0	0	0	0	0	0	2	9	0	4	0
Bedstraw	3	0	0	0	9	4	0	0	0	-	0	0	0	2	10	0	2	0
Blackgrass	1	3	0	0	8	1	0	0	0	0	0	0	4	2	7	1	1	0
Cheatgrass	0	0	0	0	7	2	0	2	0	0	0	0	5	6	3	0	3	3
Chickweed	1	0	0	4	0	0	6	0	0	0	0	0	0	0	7	0	1	0
Cocklebur	0	0	0	0	1	0	0	0	0	0	0	0	0	0	4	0	0	0
Corn	0	0	0	0	1	2	0	0	0	0	0	0	0	0	6	0	0	0
Cotton	1	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	3	0
Crabgrass	2	0	0	0	7	7	2	0	0	0	0	0	2	4	10	1	8	2
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	3	0	0	0	9	7	9	0	0	0	0	0	0	-	9	0	7	4
Lambsquarter	10	0	0	3	10	10	10	0	0	0	0	0	10	10	10	0	10	10
Morningglory	0	0	0	0	2	0	3	0	0	0	0	0	0	0	6	0	3	0
Nutsedge	0	4	0	-	0	0	0	0	0	0	0	0	0	0	10	-	0	0
Rape	4	0	0	0	10	1	0	0	0	0	0	0	0	0	10	0	2	0
Rice	0	0	0	0	5	3	4	0	0	0	0	0	0	1	7	0	3	2
Sorghum	2	0	0	0	3	0	0	0	0	0	0	0	0	0	3	0	3	0
Soybean	0	0	0	0	4	0	0	0	0	0	0	0	0	0	6	0	4	0
Sugar beet	4	0	0	0	7	8	2	0	0	0	0	0	2	9	10	0	3	3
Velvetleaf	3	0	0	1	10	6	0	0	0	0	0	0	0	2	10	0	6	2
Wheat	0	0	0	0	1	2	2	0	0	0	0	0	0	0	7	0	0	0
Wild buckwheat	8	0	1	2	10	3	9	0	0	0	0	0	0	0	7	0	5	0
Wild oat	0	0	0	0	4	4	0	0	0	0	0	0	0	0	7	0	0	0

Table B	COMPOUND																															
Rate 1000 g/ha	7	15	16	26	27	28	29	30	31	32	33	34	35	36	38	39	42	43	44	45	46	47	48	49	50	51	52	53	54			
POSTEMERGENCE																																
Barley	4	0	2	1	2	4	3	5	6	7	3	2	5	9	5	7	2	2	2	3	2	4	3	4	3	4	4	4	3			
Barnyardgrass	8	0	3	0	4	9	3	9	9	9	7	1	9	9	3	9	3	3	3	4	6	8	8	9	8	8	8	9	9			
Bedstraw	5	0	3	1	2	8	5	6	6	9	7	3	8	8	4	7	1	1	6	3	3	9	8	9	7	7	8	7	6			
Blackgrass	3	0	1	1	2	3	2	5	5	6	5	1	5	6	4	5	1	1	2	3	2	4	2	3	3	5	4	6	3			
Cheatgrass	3	0	1	1	2	5	3	3	4	5	3	1	6	5	3	3	2	3	1	2	1	6	2	7	2	9	1	-	3			
Chickweed	-	0	2	0	0	3	0	6	6	3	2	-	-	7	5	6	0	1	3	3	2	3	3	3	3	3	3	6	5			
Cocklebur	8	0	4	0	1	7	7	7	8	8	5	0	9	7	6	8	3	3	6	5	5	7	8	7	7	9	8	4	8			
Corn	3	0	3	0	2	4	3	4	6	7	5	0	6	3	4	3	2	2	2	3	2	5	4	6	4	6	4	6	5			
Cotton	9	0	8	2	10	10	9	10	10	10	10	0	10	9	9	10	8	9	9	8	10	8	9	10	10	9	9	10	10			
Crabgrass	3	0	3	2	4	7	3	8	9	8	4	2	8	9	5	4	2	3	4	4	4	5	7	5	7	6	7	8	7			
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-			
Giant foxtail	5	0	3	0	3	6	2	6	7	9	7	1	8	8	4	6	2	3	4	3	6	8	7	8	7	8	8	9	8			
Lambsquarter	9	0	7	3	7	9	9	9	10	10	10	2	10	10	9	10	8	8	9	9	9	10	10	10	9	10	10	10	10			
Morningglory	8	0	6	0	2	7	9	8	8	8	8	1	9	8	8	9	4	5	8	8	8	8	8	9	6	9	9	9	9			
Nutsedge	0	0	2	4	6	3	3	6	7	5	4	0	3	1	1	1	0	0	2	1	3	4	3	4	4	2	4	5	6			
Rape	7	0	1	0	2	6	3	6	7	8	6	0	10	8	5	8	2	3	4	6	6	8	8	7	6	6	8	6	6			
Rice	4	0	3	2	3	6	4	7	9	8	8	2	9	8	3	4	1	2	6	5	7	5	6	8	7	8	7	8	8			
Sorghum	5	0	5	0	4	5	3	5	6	7	6	1	6	8	4	3	2	2	4	3	3	7	5	6	7	6	5	6	6			
Soybean	5	0	5	2	4	9	2	7	8	7	5	2	9	9	3	9	2	3	3	3	3	5	4	8	7	6	5	7	7			
Sugar beet	9	0	7	1	7	10	8	10	9	9	9	0	10	10	9	10	4	6	9	7	8	10	10	10	10	9	9	10	10			
Velvetleaf	10	0	6	0	7	10	7	8	10	10	10	1	10	8	10	3	3	5	6	5	7	10	6	8	10	10	10	8	10			
Wheat	2	0	2	0	0	3	2	6	7	6	5	0	6	7	5	5	1	1	2	2	3	3	2	5	3	3	3	5	4			
Wild buckwheat	9	0	4	1	2	10	6	8	10	8	8	2	10	10	10	10	3	2	10	8	8	10	10	10	10	5	10	10	10			
Wild oat	3	0	2	1	1	4	1	7	8	6	5	0	7	7	5	5	2	1	2	3	3	5	3	6	1	4	5	4	5			

Table B	COMPOUND																												
Rate 1000 g/ha	55	56	57	58	59	60	61	63	64	65	66	70	71	72	73	74	75	76	77	78	79	80	81	82	83	84	85	86	87
POSTEMERGENCE																													
Barley	3	2	4	3	5	1	1	2	2	0	3	2	9	2	2	0	7	8	6	7	4	3	5	7	7	7	3	7	3
Barnyardgrass	8	8	8	9	9	2	2	2	3	2	1	1	10	7	1	0	9	9	9	9	8	9	9	9	9	9	4	9	1
Bedstraw	8	6	7	6	8	2	2	3	4	0	3	5	10	3	4	0	7	6	6	7	7	3	4	7	5	5	3	8	3
Blackgrass	4	3	4	3	6	1	1	1	1	0	1	3	6	3	1	0	4	7	6	7	3	4	6	6	4	5	3	7	2
Cheatgrass	-	-	3	-	-	1	1	0	0	0	-	2	9	3	1	0	7	9	8	8	3	3	5	8	3	7	3	8	2
Chickweed	3	4	3	3	3	2	1	0	1	0	0	2	8	2	2	0	6	10	6	6	5	4	6	8	5	6	3	8	3
Cocklebur	6	6	5	6	9	2	1	1	3	0	3	2	8	2	2	0	7	9	6	7	6	5	6	8	6	6	2	7	2
Corn	5	4	6	5	6	0	0	1	2	0	0	3	8	2	1	0	7	8	5	6	3	3	6	5	5	8	1	6	1
Cotton	10	10	9	10	9	2	6	4	1	1	0	10	10	8	9	1	10	10	10	10	9	10	9	10	10	10	7	10	8
Crabgrass	7	7	7	7	8	1	2	-	2	0	2	2	9	2	2	0	9	9	8	8	5	4	8	9	8	8	1	8	2
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	8	8	8	7	8	3	2	2	2	0	1	2	9	4	1	0	8	9	8	9	6	8	8	9	8	9	1	9	4
Lambsquarter	9	10	10	10	9	8	-	-	-	-	-	10	10	-	-	0	-	-	-	-	10	9	10	10	10	10	8	10	7
Morningglory	9	9	9	8	10	6	3	2	7	1	4	4	9	6	2	0	8	8	6	7	9	8	10	10	8	9	4	9	6
Nutsedge	2	2	3	2	5	0	2	0	0	0	1	-	7	1	0	0	5	4	3	4	4	2	4	4	3	3	2	5	0
Rape	7	6	7	4	7	4	1	4	5	0	1	4	9	4	6	0	9	9	8	9	6	6	7	9	8	6	4	9	3
Rice	7	7	6	6	8	2	3	3	3	1	2	3	9	4	2	0	8	9	9	9	5	7	8	9	7	8	3	9	3
Sorghum	5	4	6	5	6	3	2	2	3	2	4	2	9	3	2	0	5	7	6	9	2	3	7	8	6	8	2	8	2
Soybean	7	6	5	7	7	2	2	3	4	2	3	2	8	3	2	0	3	6	7	5	2	4	3	7	4	3	3	9	2
Sugar beet	7	9	10	9	9	8	7	6	8	0	6	6	10	4	8	0	10	10	9	10	9	8	10	10	10	9	4	9	5
Velvetleaf	9	7	10	10	10	0	2	2	3	0	1	1	10	2	1	0	8	7	7	10	9	3	10	10	10	10	3	10	2
Wheat	4	3	3	4	4	2	2	1	1	0	0	2	9	1	2	0	6	7	6	6	6	3	6	7	6	8	3	7	2
Wild buckwheat	10	10	10	10	10	4	2	4	2	0	2	4	10	4	2	0	10	10	10	10	10	10	10	10	10	10	5	10	3
Wild oat	3	5	5	5	4	1	1	2	1	0	1	2	9	2	0	0	7	8	7	9	8	5	8	8	7	8	3	8	2

Table B	COMPOUND						
Rate 1000 g/ha	88	90	91	92	97	98	100
POSTEMERGENCE							
Barley	3	5	3	10	5	5	3
Barnyardgrass	3	3	5	10	9	5	4
Bedstraw	5	3	7	10	9	10	9
Blackgrass	2	3	4	9	6	4	5
Cheatgrass	2	2	3	10	4	2	5
Chickweed	3	4	4	10	6	4	4
Cocklebur	5	4	8	9	6	7	4
Corn	3	2	3	9	3	3	5
Cotton	9	10	10	10	10	9	10
Crabgrass	3	3	7	9	4	6	6
Downy brome	-	-	-	-	-	-	-
Giant foxtail	3	4	7	9	7	8	5
Lambsquarter	-	9	9	10	9	10	7
Morningglory	5	7	9	10	8	10	8
Nutsedge	2	2	4	4	3	-	5
Rape	3	2	2	10	8	7	6
Rice	4	7	5	9	9	9	8
Sorghum	3	3	4	9	3	5	4
Soybean	3	5	9	8	7	8	8
Sugar beet	6	10	10	10	10	10	6
Velvetleaf	4	9	10	10	10	5	6
Wheat	2	2	4	8	7	5	2
Wild buckwheat	8	10	10	10	9	10	9
Wild oat	2	1	2	10	6	7	3

Table B	COMPOUND																														
Rate 1000 g/ha	7	15	16	26	27	28	29	30	31	32	33	34	35	36	38	39	42	43	44	45	46	47	48	49	50	51	52	53	54
PREEMERGENCE																													
Barley	1	0	0	0	0	1	0	2	3	6	4	0	1	1	2	0	0	0	0	0	2	2	1	0	0	1	1	1	1
Barnyardgrass	7	0	0	0	0	7	6	8	9	9	8	0	9	9	8	7	0	0	6	5	7	8	8	9	8	9	8	8	9
Bedstraw	1	0	0	0	3	10	2	8	8	6	6	0	10	10	3	4	0	0	0	0	7	6	2	8	9	7	9	9	5
Blackgrass	4	0	0	0	0	3	1	6	6	4	3	0	7	8	5	6	0	0	0	2	5	5	3	6	7	4	7	6	7
Cheatgrass	3	0	0	0	0	6	2	5	7	6	5	0	5	4	3	3	0	3	2	3	3	3	4	5	7	7	6	7	6
Chickweed	0	0	0	4	0	0	1	1	7	2	0	0	4	9	4	3	3	0	0	0	0	1	1	2	0	3	2	5	6
Cocklebur	0	0	0	0	0	1	0	2	9	3	3	0	2	10	3	3	0	0	2	3	1	0	2	6	8	0	5	2	6
Corn	0	0	0	0	0	2	0	3	6	5	3	0	6	7	1	3	0	0	2	3	0	3	3	4	5	4	5	4	5
Cotton	0	0	0	0	0	2	0	1	2	1	1	0	8	2	2	0	0	0	1	1	1	2	0	3	2	1	4	2	2
Crabgrass	7	0	0	0	0	8	5	9	9	9	9	2	9	9	7	9	0	3	7	6	5	9	9	10	9	9	9	9	9
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	8	0	0	0	0	6	4	7	9	8	5	2	9	9	7	8	0	0	5	3	5	9	8	10	10	9	10	9	9
Lambsquarter	9	0	7	0	4	10	9	10	10	10	10	0	10	10	10	10	9	10	9	10	10	10	9	10	10	9	9	10	10
Morningglory	3	0	0	0	0	6	2	2	10	10	2	0	9	10	4	4	0	0	2	2	1	-	2	8	7	3	4	2	6
Nutsedge	0	0	0	0	0	2	7	0	1	7	0	0	0	4	2	4	0	0	0	0	0	0	0	3	-	4	0	3	0
Rape	6	0	0	0	0	9	0	9	10	10	8	1	10	10	3	3	0	0	2	0	6	10	9	10	9	8	10	9	9
Rice	4	0	0	0	0	3	3	7	8	9	4	0	6	6	2	5	0	0	2	2	3	5	3	6	5	4	6	2	5
Sorghum	0	0	0	0	0	1	0	1	2	2	0	0	3	3	1	2	0	0	4	2	2	2	3	2	2	3	0	0	1
Soybean	0	0	0	0	0	7	0	6	6	5	6	0	8	5	0	1	0	0	6	4	1	5	2	8	6	6	7	6	4
Sugar beet	6	0	0	0	0	9	8	10	10	10	9	1	10	10	5	10	0	0	6	7	10	10	9	10	10	10	10	10	10
Velvetleaf	10	0	0	0	1	10	8	10	10	9	10	0	10	10	10	8	0	0	8	9	-	4	9	9	9	10	9	10	10
Wheat	3	0	0	0	0	6	0	6	5	6	5	0	2	6	2	0	0	0	0	0	3	4	2	3	3	3	4	3	2
Wild buckwheat	10	0	2	0	0	10	6	10	10	10	10	0	10	9	9	9	0	0	0	4	9	10	10	8	9	10	10	10	10
Wild oat	2	0	0	0	0	4	1	6	6	6	5	0	6	7	4	5	0	2	0	0	3	6	3	6	4	6	6	5	3

Table B

	COMPOUND																														
Rate 1000 g/ha	55	56	57	58	59	60	61	63	64	65	66	70	71	72	73	74	75	76	77	78	79	80	81	82	83	84	85	86	87
PREEMERGENCE																													
Barley	1	2	2	1	2	0	0	0	0	0	0	0	8	0	0	0	5	5	4	2	1	1	1	4	3	7	1	2	0
Barnyardgrass	8	9	9	9	9	0	0	0	0	0	0	3	10	4	0	0	9	7	8	9	5	4	9	8	9	8	0	9	4
Bedstraw	9	6	9	9	5	4	0	4	4	0	-	9	10	10	10	0	10	10	10	8	5	10	10	10	10	10	5	8	0
Blackgrass	6	6	4	6	6	0	0	0	0	0	0	1	8	1	1	0	5	6	4	5	2	2	5	4	4	4	1	3	1
Cheatgrass	5	6	8	3	7	0	2	0	0	0	0	2	7	3	3	0	7	5	4	5	3	4	3	3	3	2	1	4	2
Chickweed	3	1	3	5	5	0	0	0	0	0	0	2	10	0	10	0	8	10	8	8	7	7	10	9	8	8	5	9	3
Cocklebur	0	4	5	4	4	0	0	0	0	0	0	0	7	0	0	0	3	7	0	6	0	0	6	9	3	5	3	7	4
Corn	3	6	4	3	3	0	0	0	0	0	0	2	8	0	0	0	4	0	2	1	0	0	2	2	0	2	0	2	1
Cotton	3	1	2	6	3	0	0	0	0	0	0	0	8	0	0	0	2	7	0	0	0	0	1	1	2	4	0	7	2
Crabgrass	9	9	10	9	9	0	0	0	0	0	0	9	10	9	1	0	9	10	9	10	8	6	10	10	10	10	7	9	3
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	10	9	9	9	9	0	0	0	0	0	0	3	10	4	0	0	10	9	9	9	6	6	8	9	9	8	4	9	3
Lambsquarter	10	10	10	10	10	3	0	6	0	0	0	10	10	-	7	0	10	10	10	10	10	10	10	10	10	10	10	10	10
Morningglory	1	3	6	7	10	0	0	0	2	0	0	1	9	1	3	0	-	5	7	8	9	2	9	10	6	9	3	9	4
Nutsedge	0	0	0	10	0	0	0	0	0	0	0	3	6	3	2	0	4	4	4	2	3	3	3	2	0	3	2	3	3
Rape	9	8	9	9	9	0	0	2	3	0	0	2	10	1	1	0	10	10	9	10	2	6	10	10	9	9	3	10	0
Rice	4	5	4	4	4	0	0	2	0	0	0	1	9	2	0	0	8	5	3	3	0	0	2	3	4	3	0	5	2
Sorghum	2	2	2	3	3	0	0	0	0	0	0	0	7	1	0	0	2	3	0	2	0	0	2	2	1	2	0	3	0
Soybean	8	2	8	6	5	0	0	0	0	0	0	0	9	0	0	0	8	6	4	6	1	1	6	3	3	6	0	6	2
Sugar beet	10	10	10	10	10	0	0	2	4	0	0	6	10	9	0	0	10	10	10	10	8	7	10	10	10	10	6	10	2
Velvetleaf	10	9	10	10	9	0	2	0	0	0	0	10	10	8	4	0	10	10	10	10	9	9	10	10	10	10	7	10	7
Wheat	5	6	6	6	3	0	0	0	0	0	0	2	7	2	0	0	6	6	6	5	2	4	8	6	3	9	3	4	0
Wild buckwheat	10	9	10	10	10	0	0	-	0	0	0	4	10	-	0	0	9	10	10	9	9	2	10	10	10	10	9	10	6
Wild oat	6	6	5	6	6	0	0	0	0	0	0	2	7	2	2	0	6	6	6	6	2	2	4	6	3	8	4	5	0

Table B	COMPOUND						
Rate 1000 g/ha	88	90	91	92	97	98	100
PREEMERGENCE							
Barley	0	2	2	7	6	7	9
Barnyardgrass	8	8	7	9	8	9	10
Bedstraw	10	3	4	10	10	10	10
Blackgrass	2	3	1	6	5	6	9
Cheatgrass	2	3	1	9	3	3	10
Chickweed	4	6	3	10	9	8	10
Cocklebur	3	0	6	10	2	3	10
Corn	0	2	5	9	5	6	10
Cotton	3	0	0	10	1	0	10
Crabgrass	9	7	6	10	8	9	10
Downy brome	-	-	-	-	-	-	-
Giant foxtail	10	6	6	9	6	8	10
Lambsquarter	8	9	9	10	10	10	10
Morningglory	2	3	4	10	10	2	10
Nutsedge	3	3	2	7	0	0	10
Rape	1	2	2	10	6	7	10
Rice	5	2	2	9	9	8	10
Sorghum	0	1	4	4	4	4	10
Soybean	0	2	2	9	6	2	8
Sugar beet	8	10	8	10	10	9	10
Velvetleaf	10	9	9	10	8	10	10
Wheat	0	4	3	9	7	8	10
Wild buckwheat	9	9	9	10	10	9	10
Wild oat	0	6	3	8	7	7	10

Table B	COMPOUND
Rate 0.08 g/ha	6
POSTEMERGENCE	
Barley	2
Barnyardgrass	2
Bedstraw	2
Blackgrass	2
Cheatgrass	1
Chickweed	1
Cocklebur	5
Corn	1
Cotton	7
Crabgrass	2
Downy brome	-
Giant foxtail	4
Lambsquarter	6
Morningglory	2
Nutsedge	1
Rape	6
Rice	2
Sorghum	2
Soybean	3
Sugar beet	6
Velvetleaf	4
Wheat	1
Wild buckwheat	2
Wild oat	0

Table B	COMPOUND
Rate 0.08 g/ha	6
PREEMERGENCE	
Barley	0
Barnyardgrass	0
Bedstraw	0
Blackgrass	0
Cheatgrass	0
Chickweed	0
Cocklebur	0
Corn	0
Cotton	0
Crabgrass	0
Downy brome	-
Giant foxtail	0
Lambsquarter	-
Morningglory	0
Nutsedge	0
Rape	0
Rice	0
Sorghum	0
Soybean	0
Sugar beet	0
Velvetleaf	0
Wheat	0
Wild buckwheat	0
Wild oat	0

Table B	COMPOUND
Rate 0.02 g/ha	6
POSTEMERGENCE	
Barley	1
Barnyardgrass	0
Bedstraw	1
Blackgrass	0
Cheatgrass	0
Chickweed	0
Cocklebur	2
Corn	1
Cotton	8
Crabgrass	1
Downy brome	-
Giant foxtail	1
Lambsquarter	4
Morningglory	1
Nutsedge	1
Rape	0
Rice	2
Sorghum	1
Soybean	1
Sugar beet	2
Velvetleaf	1
Wheat	1
Wild buckwheat	1
Wild oat	0

Table B	COMPOUND
Rate 0.02 g/ha	6
PREEMERGENCE	
Barley	0
Barnyardgrass	0
Bedstraw	0
Blackgrass	0
Cheatgrass	0
Chickweed	0
Cocklebur	0
Corn	0
Cotton	0
Crabgrass	0
Downy brome	-
Giant foxtail	0
Lambsquarter	0
Morningglory	0
Nutsedge	0
Rape	0
Rice	0
Sorghum	0
Soybean	0
Sugar beet	0
Velvetleaf	0
Wheat	0
Wild buckwheat	0
Wild oat	0

Table B	COMPOUND																										
Rate 400 g/ha	1	3	4	5	6	10	11	12	13	17	18	19	20	21	22	23	24	37	40	41	101	102	103	104	106	108	109
POSTEMERGENCE																											
Barley	0	0	0	1	2	2	2	0	0	0	0	1	0	2	4	0	2	5	2	1	4	4	2	1	3	-	0
Barnyardgrass	0	0	0	1	3	1	1	0	0	0	1	1	1	4	-	0	3	5	3	1	2	2	2	2	3	2	1
Bedstraw	2	0	1	2	6	1	1	0	0	0	1	1	0	1	5	0	3	8	1	1	8	5	1	0	5	-	-
Blackgrass	0	1	0	1	3	1	1	0	0	0	1	0	0	1	2	0	1	3	1	0	2	1	1	1	2	-	1
Cheatgrass	0	0	0	1	3	1	0	0	0	0	0	0	0	1	2	0	2	5	0	1	-	-	-	-	-	-	-
Chickweed	1	0	0	0	-	0	0	0	0	0	0	0	0	1	4	0	1	5	0	0	3	3	3	0	3	-	3
Cocklebur	2	0	0	1	7	2	1	0	0	0	0	0	0	3	6	0	6	8	2	1	4	5	1	1	7	6	4
Corn	0	0	0	1	3	1	1	0	0	0	1	0	0	2	-	0	2	4	2	1	1	1	1	1	3	3	1
Cotton	8	1	1	8	9	9	9	0	0	0	0	0	1	9	-	0	9	10	9	8	9	9	8	9	10	10	10
Crabgrass	1	1	0	0	3	2	2	0	0	0	1	1	0	3	6	0	4	7	3	3	3	2	2	3	6	2	2
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	0	3	0	0	2	-	0
Giant foxtail	0	1	0	1	4	1	2	0	0	0	1	-	0	3	5	0	3	-	2	2	4	2	2	2	4	2	2
Lambsquarter	4	-	0	-	5	6	6	0	-	0	1	0	5	8	9	1	4	10	7	5	3	3	1	3	3	-	3
Morningglory	2	0	0	2	6	4	2	0	0	0	0	0	0	4	8	0	8	9	2	1	6	4	1	2	9	10	7
Nutsedge	0	0	0	0	1	0	0	0	0	0	0	0	0	0	2	0	4	8	0	0	1	1	-	-	3	-	1
Rape	0	1	0	1	7	1	1	0	0	2	0	0	0	2	5	0	5	6	1	0	7	7	2	1	3	-	5
Rice	0	0	0	2	4	2	2	0	0	0	0	1	0	3	4	0	2	8	3	2	5	4	1	1	5	6	2
Sorghum	0	0	0	0	4	2	2	0	0	0	0	1	1	4	-	0	3	4	3	2	2	2	2	2	5	2	2
Soybean	0	0	0	0	5	3	3	0	0	0	0	0	1	4	-	0	4	7	3	2	5	5	3	4	7	6	3
Sugar beet	2	0	0	1	9	6	6	0	0	2	0	0	0	4	9	0	6	10	6	3	9	8	3	3	8	-	8
Velvetleaf	1	0	0	2	8	3	2	0	0	0	0	0	0	-	7	0	5	9	8	2	5	5	1	5	6	9	5
Wheat	0	0	1	0	2	2	2	0	0	0	0	0	0	0	5	0	2	7	1	1	4	4	2	2	3	-	2
Wild buckwheat	0	1	1	3	6	1	1	0	0	0	0	2	2	2	9	0	5	10	1	1	9	7	7	2	3	-	3
Wild oat	0	0	0	0	2	1	1	0	0	0	0	0	0	0	4	0	2	3	1	1	1	2	1	2	4	-	0

Table B	COMPOUND																														
Rate 400 g/ha	1	3	4	5	6	8	10	11	12	13	17	18	19	20	21	22	23	24	37	40	41	95	101	102	103	104	106	108	109		
PREEMERGENCE																															
Barley	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	0	1	0	0	0	0	1	0	0	9	0	0		
Barnyardgrass	0	0	0	0	2	0	0	0	0	0	0	0	0	0	0	7	0	0	8	0	0	0	0	0	7	0	9	3	1		
Bedstraw	3	0	0	0	9	0	0	0	0	0	0	0	0	0	0	6	0	0	2	0	0	0	0	9	8	0	10	-	-		
Blackgrass	-	0	0	0	3	0	0	0	0	0	0	0	0	2	0	2	0	0	3	0	0	0	1	2	5	0	8	1	2		
Cheatgrass	0	0	0	0	0	0	0	0	0	0	0	0	0	4	0	3	0	0	4	0	0	0	-	-	-	-	-	-	-		
Chickweed	2	0	0	0	0	0	0	0	0	0	0	0	0	0	0	4	0	0	10	0	0	0	0	2	4	0	10	1	0		
Cocklebur	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	2	1	0	0	0	0	0	0	0	10	4	0		
Corn	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	0	3	0	0	0	0	0	0	0	9	2	0		
Cotton	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	4	2	0	0	0	0	0	1	9	1	0		
Crabgrass	1	0	0	0	4	0	0	0	0	0	0	0	0	0	0	7	1	0	9	7	0	0	2	2	4	0	10	1	0		
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	3	2	3	0	8	3	0		
Giant foxtail	0	0	0	0	3	0	0	6	0	0	0	0	0	0	0	6	0	0	5	2	2	0	1	0	3	0	9	4	0		
Lambsquarter	0	0	0	0	8	0	10	0	0	0	0	0	0	6	9	7	0	9	10	3	8	3	5	3	10	1	10	1	2		
Morningglory	0	0	0	0	0	0	0	0	-	0	0	0	0	0	0	1	0	2	9	0	0	0	0	1	0	0	10	3	1		
Nutsedge	0	0	0	0	0	0	0	0	0	0	0	0	0	0	-	0	0	-	2	0	0	0	0	-	0	0	9	2	0		
Rape	0	0	0	0	2	0	0	0	0	0	0	0	0	0	0	2	0	0	3	0	0	0	6	2	7	4	10	2	2		
Rice	0	0	0	0	0	0	2	0	0	0	0	0	0	0	0	2	0	0	5	0	0	0	4	0	6	0	9	6	4		
Sorghum	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	2	0	0	0	0	0	4	0	9	1	0		
Soybean	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	2	0	0	3	0	4	0	9	2	0		
Sugar beet	2	0	0	0	3	0	1	0	0	0	0	0	0	2	0	9	0	6	10	0	0	2	3	5	6	2	10	8	1		
Velvetleaf	1	0	0	0	10	0	0	0	0	0	0	0	-	0	0	6	0	5	10	3	2	0	0	0	0	0	10	9	0		
Wheat	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	4	0	0	5	0	0	0	0	0	0	0	10	0	2		
Wild buckwheat	0	0	0	0	10	0	0	0	0	0	0	0	0	0	0	6	0	0	10	0	0	0	2	2	-	3	10	6	2		
Wild oat	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	3	0	0	6	0	0	0	0	0	2	0	9	0	0		

Table B	COMPOUND																														
Rate 200 g/ha	7	9	15	16	26	27	28	29	30	31	32	33	34	35	36	38	39	42	43	44	45	46	47	48	49	50	51	52	53
POSTEMERGENCE																													
Barley	2	0	0	0	0	1	4	2	3	4	4	3	1	5	5	4	5	2	1	3	2	2	3	2	3	2	3	2	2
Barnyardgrass	3	2	0	-	0	2	3	2	3	7	7	3	0	7	4	2	4	2	2	2	1	3	3	3	3	4	3	4	3
Bedstraw	3	1	0	2	0	2	6	2	4	6	6	6	0	7	5	3	4	1	1	2	2	2	5	3	3	3	6	4	4
Blackgrass	2	0	0	1	0	1	3	1	3	2	3	2	0	4	4	3	3	0	1	1	1	1	2	1	3	2	2	1	3
Cheatgrass	2	0	0	0	0	1	3	1	2	2	3	3	0	5	3	1	2	0	1	1	1	0	0	1	2	1	-	-	1
Chickweed	-	0	0	0	0	0	3	0	3	3	3	2	0	4	5	4	4	0	0	0	1	1	2	2	2	2	3	2	3
Cocklebur	5	1	0	3	0	1	4	2	4	6	5	4	0	7	6	3	7	2	1	4	3	3	3	5	4	5	3	4	4
Corn	2	2	0	-	0	2	3	3	3	3	3	3	0	3	2	3	3	1	1	2	1	1	3	3	3	3	3	4	2
Cotton	9	9	0	-	0	5	9	9	10	9	10	10	0	10	9	8	9	5	5	9	8	9	8	9	9	10	9	10	9
Crabgrass	3	2	0	3	0	4	5	2	4	6	5	5	0	5	2	2	2	1	1	2	-	1	3	3	3	3	5	5	3
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	3	1	0	3	0	2	3	2	4	5	6	6	0	5	3	2	3	1	1	2	2	3	3	2	3	3	3	3	4
Lambsquarter	8	1	-	2	0	6	10	7	7	9	9	8	0	10	9	8	8	-	3	4	-	-	5	6	7	-	8	5	6
Morningglory	4	1	0	4	0	1	4	3	6	7	8	8	0	9	8	7	9	1	3	6	6	5	4	7	7	5	2	4	6
Nutsedge	0	0	0	0	0	4	2	1	3	4	3	5	0	3	0	0	0	-	0	1	0	0	2	1	2	2	1	2	3
Rape	2	0	0	0	0	1	5	1	6	4	3	3	0	8	4	4	5	1	2	3	2	2	3	2	3	2	3	2	1
Rice	4	2	0	3	0	3	4	2	4	7	4	4	0	7	3	3	4	2	2	3	2	2	3	3	4	4	6	5	6
Sorghum	4	2	0	-	0	3	4	2	5	5	5	5	0	6	3	2	3	2	3	3	3	3	3	3	3	5	3	4	3
Soybean	3	0	0	-	0	3	5	2	4	5	5	4	0	9	7	3	6	1	0	3	3	3	2	2	2	4	3	5	2
Sugar beet	9	4	0	4	0	3	10	2	7	8	9	2	0	10	9	9	9	2	1	4	6	2	5	6	5	7	7	8	5
Velvetleaf	8	2	0	5	0	4	9	7	6	8	10	6	0	10	6	2	3	3	3	4	2	5	6	5	8	5	5	5	7
Wheat	2	1	0	0	0	0	2	1	2	4	2	2	0	4	6	4	4	0	1	0	2	1	1	0	1	1	1	1	2
Wild buckwheat	7	2	0	2	0	1	8	1	5	4	4	4	0	10	6	7	9	1	1	3	2	1	4	3	3	5	4	2	3
Wild oat	3	1	0	0	0	0	2	0	2	2	1	1	0	4	5	3	3	1	2	1	1	2	2	1	2	1	2	2	2

Table B	COMPOUND																														
Rate 200 g/ha	54	55	56	57	58	59	60	61	63	64	65	66	70	71	72	73	74	75	76	77	78	79	80	81	82	83	84	85	86		
POSTEMERGENCE																															
Barley	2	2	2	3	2	3	0	0	0	1	0	0	2	4	1	2	0	3	4	3	1	3	3	3	4	4	4	2	3		
Barnyardgrass	2	2	3	4	3	3	0	0	0	0	0	1	1	8	0	0	0	3	6	3	7	1	0	6	7	2	1	0	3		
Bedstraw	3	4	5	2	2	4	0	1	0	2	0	0	2	7	2	1	0	5	5	3	3	1	1	3	5	4	3	3	4		
Blackgrass	2	3	3	2	2	2	0	1	0	1	0	0	2	3	1	1	0	2	3	2	3	2	2	2	3	3	2	2	3		
Cheatgrass	-	-	2	2	-	-	0	0	0	-	0	0	0	2	1	0	0	3	3	2	4	1	2	2	3	3	3	1	3		
Chickweed	2	2	2	1	2	2	0	0	0	0	0	0	1	3	1	0	0	3	3	2	2	2	2	2	4	4	3	3	6		
Cocklebur	2	3	3	3	6	3	0	0	0	0	0	0	2	4	0	1	0	3	7	5	6	2	2	6	5	3	3	1	6		
Corn	3	2	2	3	3	2	0	0	0	0	0	0	1	2	0	0	0	3	3	2	2	2	1	2	2	2	2	0	2		
Cotton	9	9	10	9	9	9	0	0	0	0	0	0	9	10	7	2	0	9	10	6	9	9	9	9	9	9	9	3	10		
Crabgrass	3	3	3	2	4	2	0	0	0	0	0	1	1	8	0	1	0	5	6	3	8	2	1	2	4	2	3	0	6		
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-		
Giant foxtail	3	2	3	2	3	3	0	0	0	0	0	1	2	7	1	0	0	2	5	3	7	1	2	3	5	2	2	0	5		
Lambsquarter	3	7	7	8	7	7	0	4	-	2	0	1	-	9	0	-	0	9	10	8	-	-	-	-	-	-	8	7	9		
Morningglory	3	6	5	4	7	5	0	2	2	0	0	1	3	8	2	2	0	3	8	6	8	7	6	7	6	7	7	3	8		
Nutsedge	2	1	1	1	2	2	0	0	0	0	0	0	1	3	1	0	0	1	2	2	3	2	1	1	3	2	3	1	4		
Rape	2	4	5	2	3	1	0	0	0	0	0	0	2	6	1	1	0	3	5	1	3	4	2	3	5	4	3	2	5		
Rice	3	3	4	3	4	2	0	0	0	0	0	0	2	6	2	2	0	3	4	3	7	2	2	2	5	3	3	1	5		
Sorghum	3	4	4	4	4	3	0	0	0	0	0	1	2	6	0	1	0	3	3	4	4	2	2	4	3	3	3	1	4		
Soybean	5	3	3	3	6	3	0	0	0	0	0	1	2	7	2	1	0	3	3	3	3	2	1	2	3	3	3	3	6		
Sugar beet	9	6	8	3	5	6	0	6	0	3	0	0	3	9	2	3	0	7	8	4	9	3	4	5	8	4	6	3	7		
Velvetleaf	7	6	7	6	3	4	0	0	0	0	0	1	3	8	1	1	0	7	7	4	10	2	2	5	7	2	4	1	6		
Wheat	1	1	2	2	2	1	0	0	0	1	0	0	1	5	2	0	0	3	2	3	2	3	2	3	4	3	4	2	4		
Wild buckwheat	4	4	6	4	2	1	1	1	0	1	0	0	2	6	0	1	0	10	8	6	10	2	2	7	10	7	3	4	4		
Wild oat	3	3	2	2	2	2	0	0	0	1	0	0	0	5	1	0	0	3	3	1	4	3	2	3	3	4	4	3	3		

Table B	COMPOUND											
Rate 200 g/ha	87	88	90	91	92	93	94	96	97	98	100	110
POSTEMERGENCE												
Barley	1	0	3	3	4	0	8	4	2	4	2	0
Barnyardgrass	0	1	2	2	6	0	10	7	2	3	3	2
Bedstraw	2	3	3	3	8	0	10	6	4	5	4	-
Blackgrass	1	0	2	3	4	1	8	4	2	2	1	2
Cheatgrass	1	0	3	2	4	0	9	4	2	1	1	-
Chickweed	1	2	2	2	6	0	7	6	3	3	2	2
Cocklebur	1	1	3	2	7	0	9	4	4	4	4	1
Corn	0	1	2	2	4	0	7	2	2	3	4	1
Cotton	4	9	10	9	9	0	10	10	9	9	9	6
Crabgrass	0	1	4	3	7	0	8	5	2	2	3	1
Downy brome	-	-	-	-	-	-	-	-	-	-	-	0
Giant foxtail	0	2	3	2	9	0	9	7	2	3	3	3
Lambsquarter	-	6	4	7	10	0	10	10	4	8	2	2
Morningglory	3	4	6	7	9	0	9	10	5	8	5	6
Nutsedge	1	1	2	3	4	0	7	3	1	2	2	1
Rape	3	3	1	1	6	0	9	9	3	2	3	2
Rice	1	2	3	3	8	0	10	6	4	4	7	2
Sorghum	0	3	2	4	3	1	9	3	2	3	3	1
Soybean	1	2	4	3	7	1	10	8	4	6	6	2
Sugar beet	2	4	6	3	9	0	10	10	4	6	6	6
Velvetleaf	1	1	7	5	9	0	10	10	4	5	4	3
Wheat	1	0	1	2	8	0	8	5	3	4	2	1
Wild buckwheat	1	4	2	2	10	0	10	10	2	4	5	2
Wild oat	2	0	2	1	6	0	9	3	2	3	1	0

Table B

Rate 200 g/ha	COMPOUND																														
	7	9	15	16	26	27	28	29	30	31	32	33	34	35	36	38	39	42	43	44	45	46	47	48	49	50	51	52	53
PREEMERGENCE																													
Barley	0	0	0	0	0	0	0	0	0	1	1	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0
Barnyardgrass	0	0	0	0	0	0	4	1	5	3	4	0	0	6	8	0	1	0	0	2	0	0	0	2	3	2	4	2	6
Bedstraw	0	0	0	0	0	-	0	0	3	4	2	-	0	8	9	3	3	0	0	0	0	0	6	0	0	2	7	8	1
Blackgrass	2	0	0	0	0	0	1	0	2	3	4	1	0	3	3	3	1	0	0	0	0	0	0	1	1	0	2	1	0
Cheatgrass	0	0	0	0	0	0	1	0	1	0	2	1	0	2	3	2	2	-	0	0	2	0	2	0	0	0	2	0	3
Chickweed	0	0	0	0	0	0	0	0	0	1	0	0	0	2	7	3	3	0	0	0	1	0	0	0	0	0	0	0	0
Cocklebur	0	0	0	0	0	0	0	0	0	0	0	0	0	1	5	3	2	0	0	2	2	0	1	0	0	2	0	0	0
Corn	0	0	0	0	0	0	0	0	0	0	2	0	0	2	2	0	0	0	0	2	1	0	0	0	1	0	0	0	0
Cotton	0	0	0	0	0	0	0	0	0	0	1	-	0	0	2	0	0	0	0	1	1	0	0	0	0	0	0	0	0
Crabgrass	1	0	0	0	0	0	1	2	3	6	4	2	-	3	7	2	5	0	0	2	0	0	3	2	2	7	2	0	2
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	0	0	0	0	0	0	1	2	1	2	3	3	0	4	5	0	4	0	0	3	1	0	0	2	1	4	3	0	2
Lambsquarter	1	0	0	0	0	0	10	7	9	10	10	9	0	9	10	9	9	7	0	0	0	8	8	8	9	8	9	9	9
Morningglory	0	0	0	0	0	0	0	0	0	0	0	0	0	0	2	2	2	0	0	2	1	0	0	1	0	1	0	0	1
Nutsedge	0	0	0	0	0	0	0	0	0	0	0	0	0	0	4	2	3	0	0	0	0	-	0	-	2	0	0	0	-
Rape	2	0	0	0	0	0	2	0	0	8	5	5	0	10	2	0	0	0	0	0	0	0	2	0	0	0	2	2	0
Rice	0	0	0	0	0	0	1	1	3	3	3	2	0	2	2	0	0	0	0	2	0	0	3	1	0	0	2	2	0
Sorghum	0	0	0	0	0	0	0	0	0	0	1	0	0	0	2	0	0	0	0	2	0	0	0	0	0	0	2	0	0
Soybean	0	0	0	0	0	0	6	0	0	2	0	0	0	3	0	0	0	0	0	6	2	0	0	0	1	0	0	0	0
Sugar beet	2	0	0	0	0	0	6	0	5	6	5	3	1	10	8	2	4	0	0	0	0	4	8	9	6	4	6	6	9
Velvetleaf	7	0	0	0	0	0	9	0	9	10	6	4	0	9	5	0	2	0	0	1	3	0	4	0	9	5	7	5	2
Wheat	1	0	0	0	0	0	0	0	0	2	1	2	0	3	5	0	0	0	0	0	2	0	0	0	0	0	0	0	0
Wild buckwheat	0	0	0	0	0	0	9	0	4	9	8	3	0	7	3	2	0	0	0	0	0	0	0	0	0	3	2	0	0
Wild oat	0	0	0	0	0	0	0	0	0	1	1	0	0	2	4	3	3	0	0	0	0	0	1	0	1	1	0	1	1

Table B — COMPOUND

Rate 200 g/ha	54	55	56	57	58	59	60	61	63	64	65	66	70	71	72	73	74	75	76	77	78	79	80	81	82	83	84	85	86
PREEMERGENCE																													
Barley	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	0	0	0	0	0	1	0	0	1	0	0	2	0	1
Barnyardgrass	2	3	3	4	2	0	0	0	0	0	0	0	0	8	2	0	0	5	7	1	6	0	0	2	5	4	4	0	5
Bedstraw	5	4	4	4	2	-	0	-	0	3	-	4	0	10	10	10	0	0	2	3	7	2	10	10	10	2	4	0	2
Blackgrass	1	2	1	1	0	0	0	0	0	0	0	0	0	3	0	0	0	4	2	3	3	2	1	1	2	1	1	0	1
Cheatgrass	0	1	0	0	0	0	0	0	0	0	0	0	0	2	0	0	0	4	4	0	2	0	3	1	2	0	1	0	2
Chickweed	0	1	0	0	0	0	0	0	0	0	0	0	0	1	0	9	0	1	4	2	2	0	-	3	6	1	5	0	4
Cocklebur	0	0	1	1	0	0	0	0	0	0	0	0	0	0	0	0	0	0	2	0	4	0	0	2	3	3	3	0	0
Corn	2	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	0
Cotton	0	0	-	-	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	4	0	3
Crabgrass	0	3	4	3	3	8	0	0	0	0	0	0	1	10	0	0	0	5	8	4	7	2	2	7	8	5	7	2	8
Downy brome	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-	-
Giant foxtail	0	2	3	6	2	6	0	0	0	0	0	0	1	9	1	0	0	3	5	3	5	1	1	5	2	2	3	0	6
Lambsquarter	9	6	7	7	10	10	0	0	0	0	0	0	0	8	0	0	0	10	9	10	10	6	8	10	9	10	7	0	10
Morningglory	0	0	3	2	2	0	0	0	0	1	0	0	1	5	0	0	0	5	2	2	5	3	-	5	7	3	3	0	3
Nutsedge	0	0	0	0	0	0	0	0	0	0	0	0	0	2	1	0	0	2	2	3	3	2	3	0	0	0	2	0	3
Rape	3	2	0	2	0	2	0	0	0	0	0	0	0	8	0	0	0	2	3	2	8	0	0	5	1	7	1	0	9
Rice	0	2	2	2	0	1	0	0	0	0	0	0	0	6	0	0	0	0	3	1	1	0	0	0	0	0	1	0	2
Sorghum	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	0	0	0	0	0	2	0	0	0	0	0	1	0	0
Soybean	0	2	0	4	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	1	0	0	0	0	4
Sugar beet	6	6	6	6	4	3	0	0	0	3	0	0	0	10	2	0	0	7	9	7	9	0	1	8	5	10	9	0	8
Velvetleaf	6	4	4	9	6	6	0	0	0	0	0	0	0	10	0	2	0	7	9	10	9	1	3	10	9	9	9	6	9
Wheat	0	0	0	0	0	0	0	0	0	0	0	0	0	5	0	0	0	2	3	2	3	0	0	3	2	0	2	0	0
Wild buckwheat	-	3	3	3	0	3	0	0	0	0	0	0	0	6	0	0	0	2	9	9	9	0	0	1	7	3	9	0	9
Wild oat	0	1	2	1	0	0	0	0	0	0	0	0	0	5	0	0	0	3	1	2	2	0	0	2	2	0	2	0	1

Table B	COMPOUND										
Rate 200 g/ha	87	88	90	91	92	94	96	97	98	100	110
PREEMERGENCE											
Barley	0	0	0	0	1	3	2	0	0	3	0
Barnyardgrass	0	1	0	0	7	9	8	5	2	8	0
Bedstraw	0	2	0	0	8	9	7	1	6	10	-
Blackgrass	1	0	0	0	3	8	3	2	0	7	0
Cheatgrass	0	0	0	0	6	7	2	1	0	9	-
Chickweed	0	-	0	0	9	9	6	9	4	9	0
Cocklebur	2	2	0	2	3	7	0	2	1	4	0
Corn	0	0	1	1	2	7	2	4	0	8	0
Cotton	0	1	0	0	0	9	0	0	0	9	0
Crabgrass	3	9	2	1	6	10	9	1	2	5	0
Downy brome	-	-	-	-	-	-	-	-	-	-	3
Giant foxtail	3	2	0	1	7	9	9	1	2	6	0
Lambsquarter	9	-	0	0	10	10	10	10	8	10	0
Morningglory	3	1	2	1	4	10	6	3	1	10	0
Nutsedge	4	1	0	1	1	2	0	0	0	5	0
Rape	0	0	0	0	6	10	10	1	-	9	1
Rice	0	0	0	0	4	7	3	6	5	10	0
Sorghum	0	0	0	2	1	3	0	0	0	6	0
Soybean	0	0	0	0	6	9	0	7	0	7	0
Sugar beet	0	0	0	0	8	10	10	2	9	10	0
Velvetleaf	1	2	3	0	10	10	10	2	4	10	0
Wheat	0	0	0	0	3	7	4	2	3	7	2
Wild buckwheat	0	-	0	0	10	10	7	3	1	10	0
Wild oat	0	0	0	0	3	6	4	5	3	7	0

Table B	COMPOUND								
Rate 100 g/ha	24	37	101	102	103	104	106	108	109
POSTEMERGENCE									
Barley	1	6	3	2	0	0	2	2	0
Barnyardgrass	1	3	2	1	1	2	2	1	1
Bedstraw	2	7	5	2	0	0	3	-	-
Blackgrass	0	2	0	1	1	0	2	1	1
Cheatgrass	1	3	-	-	-	-	-	-	-
Chickweed	1	3	3	2	2	0	2	2	2
Cocklebur	4	7	3	2	1	1	4	3	1
Corn	1	3	1	1	1	1	2	1	1
Cotton	9	9	9	7	3	2	9	10	9
Crabgrass	1	5	2	1	1	2	3	1	1
Downy brome	-	-	0	1	0	0	2	0	0
Giant foxtail	1	4	2	2	1	1	3	1	1
Lambsquarter	3	8	2	2	2	2	-	7	3
Morningglory	5	8	8	2	0	2	10	5	3
Nutsedge	1	3	1	0	-	-	2	2	0
Rape	2	5	5	5	2	1	2	2	3
Rice	2	5	3	2	0	0	3	3	1
Sorghum	2	4	1	1	1	1	4	1	1
Soybean	2	6	4	3	2	3	4	4	2
Sugar beet	2	7	6	6	3	3	6	8	5
Velvetleaf	2	8	3	1	0	3	5	1	2
Wheat	1	2	3	1	1	1	2	0	0
Wild buckwheat	2	7	5	3	3	2	3	4	2
Wild oat	1	2	0	2	1	1	3	0	0

Table B	COMPOUND								
Rate 100 g/ha	24	37	101	102	103	104	106	108	109
PREEMERGENCE									
Barley	0	0	0	0	0	0	3	0	0
Barnyardgrass	0	1	0	0	0	0	8	0	0
Bedstraw	0	0	1	0	4	0	10	-	0
Blackgrass	0	1	0	0	3	0	4	2	0
Cheatgrass	0	1	-	-	-	-	-	-	-
Chickweed	0	1	0	0	2	0	9	0	0
Cocklebur	0	0	0	0	0	0	7	0	0
Corn	0	0	0	0	0	0	8	0	0
Cotton	0	0	0	0	0	0	2	0	0
Crabgrass	0	1	0	0	0	0	8	0	0
Downy brome	-	-	1	1	0	0	3	0	0
Giant foxtail	0	1	0	0	0	0	9	0	0
Lambsquarter	0	8	1	0	8	1	10	5	2
Morningglory	0	0	0	0	0	0	7	0	0
Nutsedge	-	2	0	0	-	0	5	0	0
Rape	0	0	0	0	4	3	10	0	1
Rice	0	1	0	0	0	0	6	0	0
Sorghum	0	0	0	0	0	0	5	0	0
Soybean	0	0	0	0	0	0	7	0	0
Sugar beet	0	4	1	1	3	2	9	3	1
Velvetleaf	0	7	0	0	0	0	9	0	0
Wheat	0	2	0	0	0	0	4	0	0
Wild buckwheat	0	0	0	0	6	0	10	2	1
Wild oat	0	0	0	0	0	0	6	0	0

Table B	COMPOUND			
Rate 50 g/ha	9	94	96	110
POSTEMERGENCE				
Barley	0	4	2	0
Barnyardgrass	1	7	3	1
Bedstraw	0	8	6	-
Blackgrass	0	6	3	0
Cheatgrass	0	5	3	-
Chickweed	0	4	5	1
Cocklebur	0	8	-	0
Corn	1	3	2	0
Cotton	1	10	9	2
Crabgrass	1	5	3	1
Downy brome	-	-	-	0
Giant foxtail	1	5	4	1
Lambsquarter	0	10	5	1
Morningglory	0	8	6	2
Nutsedge	0	-	-	0
Rape	0	9	7	0
Rice	1	7	5	0
Sorghum	0	4	3	0
Soybean	0	7	3	0
Sugar beet	0	10	9	3
Velvetleaf	0	10	9	0
Wheat	0	5	3	0
Wild buckwheat	0	10	10	0
Wild oat	0	3	2	0

Table B	COMPOUND			
Rate 50 g/ha	9	94	96	110
PREEMERGENCE				
Barley	0	0	0	0
Barnyardgrass	0	6	1	0
Bedstraw	0	5	2	0
Blackgrass	0	6	3	0
Cheatgrass	0	4	2	-
Chickweed	0	9	2	0
Cocklebur	0	0	0	0
Corn	0	2	0	0
Cotton	0	0	0	0
Crabgrass	0	6	2	0
Downy brome	-	-	-	0
Giant foxtail	0	3	0	0
Lambsquarter	0	10	10	0
Morningglory	0	2	0	0
Nutsedge	0	0	0	0
Rape	0	6	3	0
Rice	0	3	0	0
Sorghum	0	1	0	0
Soybean	0	4	0	0
Sugar beet	0	9	7	0
Velvetleaf	0	10	9	0
Wheat	0	1	1	0
Wild buckwheat	0	9	3	0
Wild oat	0	3	1	0

TEST C

The compounds evaluated in this test were formulated in a non-phytoxic solvent and applied to the soil surface before plant seedlings emerged (preemergence application), to water that covered the soil surface (flood application), and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence and postemergence tests, while a silt loam soil was used in the flood test. Water depth was approximately 2.5 cm for the flood test and was maintained at this level for the duration of the test.

Plant species in the preemergence and postemergence tests consisted of barnyardgrass (*Echinochloa crus-galli*), barley (*Hordeum vulgare*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), cocklebur (*Xanthium pensylvanicum*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria sanguinalis*), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberi*), johnsongrass (*Sorghum halepense*), lambsquarters (*Chenopodium album*), morningglory

(*Ipomoea hederacea*), pigweed (*Amaranthus retroflexus*), rape (*Brassica napus*), ryegrass (*Lolium multiflorum*), sorghum (*Sorghum bicolor*), soybean (*Glycine max*), speedwell (*Veronica persica*), sugar beet (*Beta vulgaris*), velvetleaf (*Abutilon theophrasti*), wheat (*Triticum aestivum*), wild buckwheat (*Polygonum convolvulus*), and wild oat (*Avena fatua*). All plant species were planted one day before application of the compound for the preemergence portion of this test. Plantings of these species were adjusted to produce plants of appropriate size for the postemergence portion of the test. Plant species in the flood test consisted of rice (*Oryza sativa*), umbrella sedge (*Cyperus difformis*), duck salad (*Heteranthera limosa*), barnyardgrass (*Echinochloa crus-galli*) and watergrass grown to the 1 and 2 leaf stage for testing.

All plant species were grown using normal greenhouse practices. Visual evaluations of injury expressed on treated plants, when compared to untreated controls, were recorded approximately fourteen to twenty one days after application of the test compound. Plant response ratings, summarized in Table C, were recorded on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (-) response means no test result.

Table C	COMPOUND
Rate 500 g/ha	100
POSTEMERGENCE	
Barnyardgrass 2	0
Duck salad	0
Watergrass 2	20
Rice Japonica	30
Umbrella sedge	0

Table C	COMPOUND
Rate 250 g/ha	100
POSTEMERGENCE	
Barnyardgrass 2	0
Duck salad	0
Watergrass 2	0
Rice Japonica	0
Umbrella sedge	0

Table C	COMPOUND
Rate 500 g/ha	100
PREEMERGENCE	
Barley Igri	85
Barnyardgrass	100
Blackgrass	95
Chickweed	95
Cocklebur	100
Corn	100
Cotton	100
Crabgrass	100
Downy Brome	100
Galium	100
Giant foxtail	100
Ryegrass	100
Johnsongrass	100
Lambsquarters	100
Morningglory	100
Rape	100
Redroot Pigweed	100
Sorghum	-
Soybean	95
Sugar beet	100
Velvetleaf	100
Speedwell	100
Wheat	100
Wild buckwheat	100
Wild oat	95

Table C	COMPOUND	
Rate 250 g/ha	6	100
PREEMERGENCE		
Barley Igri	0	65
Barnyardgrass	-	95
Blackgrass	30	-
Chickweed	0	90
Cocklebur	-	85
Corn	10	95
Cotton	10	100
Crabgrass	40	100
Downy Brome	0	85
Galium	0	100
Giant foxtail	70	100
Ryegrass	0	95
Johnsongrass	-	100
Lambsquarters	100	100
Morningglory	10	100
Rape	10	100
Redroot Pigweed	100	100
Sorghum	40	-
Soybean	0	90
Sugar beet	90	100
Velvetleaf	100	100
Speedwell	100	100
Wheat	0	80
Wild buckwheat	100	100
Wild oat	20	95

Table C	COMPOUND
Rate 125 g/ha	100
POSTEMERGENCE	
Barnyardgrass 2	0
Duck salad	0
Watergrass 2	0
Rice Japonica	0
Umbrella sedge	0

Table C	COMPOUND	
Rate 125 g/ha	6	100
PREEMERGENCE		
Barley Igri	0	40
Barnyardgrass	-	-
Blackgrass	20	65
Chickweed	0	65
Cocklebur	-	45
Corn	0	70
Cotton	0	55
Crabgrass	0	95
Downy Brome	0	65
Galium	0	100
Giant foxtail	0	100
Ryegrass	0	75
Johnsongrass	-	100
Lambsquarters	100	100
Morningglory	0	75
Rape	0	100
Redroot Pigweed	100	100
Sorghum	10	-
Soybean	0	-
Sugar beet	20	100
Velvetleaf	20	100
Speedwell	100	100
Wheat	0	65
Wild buckwheat	100	100
Wild oat	0	75

Table C	COMPOUND	
Rate 62 g/ha	6	100
PREEMERGENCE		
Barley Igri	0	25
Barnyardgrass	-	80
Blackgrass	0	35
Chickweed	0	65
Cocklebur	-	40
Corn	0	70
Cotton	0	55
Crabgrass	0	75
Downy Brome	0	30
Galium	0	100
Giant foxtail	0	90
Ryegrass	0	55
Johnsongrass	-	95
Lambsquarters	100	100
Morningglory	0	70
Rape	0	80
Redroot Pigweed	60	100
Sorghum	0	-
Soybean	0	70
Sugar beet	0	90
Velvetleaf	0	100
Speedwell	100	100
Wheat	0	30
Wild buckwheat	100	100
Wild oat	0	70

TEST D

Compounds evaluated in this test were formulated in a non-phytoxic solvent and applied to the soil surface before plant seedlings emerged (preemergence application) and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence test while a mixture of sandy loam soil and greenhouse potting mix in a 60:40 ratio was used for the postemergence test. Test compounds were applied within approximately one day after planting seeds for the preemergence test.

Plantings of these crops and weed species were adjusted to produce plants of appropriate size for the postemergence test. All plant species were grown using normal greenhouse practices. Crop and weed species include winter barley (*Hordeum vulgare* cv. 'Igri'), chickweed (*Stellaria media*), downy brome (*Bromus tectorum*), field violet (*Viola arvensis*), galium (*Galium aparine*), kochia (*Kochia scoparia*), lambsquarters (*Chenopodium album*), speedwell (*Veronica persica*), rape (*Brassica napus*), sugar beet (*Beta vulgaris* cv. 'US1'), sunflower (*Helianthus annuus* cv. 'Russian Giant'), spring wheat (*Triticum aestivum* cv. 'ERA'), winter wheat (*Triticum aestivum* cv. 'Talent'), wild buckwheat (*Polygonum convolvulus*), wild mustard (*Sinapis arvensis*), and wild radish (*Raphanus raphanistrum*).

Galium was treated at two growth stages. The first stage (1) was when the plants had two to three leaves. The second stage (2) was when the plants had approximately four leaves or in the initial stages of tillering. Treated plants and untreated controls were maintained in a greenhouse for approximately 21 to 28 days, after which all treated plants were compared to untreated controls and visually evaluated. Plant response ratings, summarized in Table D, are based upon a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash response (-) means no test result.

Table C	COMPOUND
Rate 31 g/ha	6
PREEMERGENCE	
Barley Igri	0
Barnyardgrass	-
Blackgrass	0
Chickweed	0
Cocklebur	-
Corn	0
Cotton	0
Crabgrass	0
Downy Brome	0
Galium	0
Giant foxtail	0
Ryegrass	0
Johnsongrass	-
Lambsquarters	50
Morningglory	0
Rape	0
Redroot Pigweed	0
Sorghum	0
Soybean	0
Sugar beet	0
Velvetleaf	0
Speedwell	90
Wheat	0
Wild buckwheat	0
Wild oat	0

Table D	COMPOUND
Rate 250 g/ha	6
PREEMERGENCE	
Chickweed	0
Field violet	0
Galium (1)	0
Galium (2)	0
Kochia	0
Lambsquarters	-
Speedwell	-
Rape	0
Sugar beet	80
Sunflower	0
Wheat (Spring)	0
Wheat (Winter)	0
Wild buckwheat	0
Wild mustard	60
Wild radish	0
Winter Barley	0

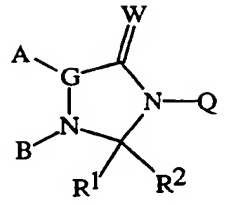
Table D	COMPOUND
Rate 125 g/ha	6
PREEMERGENCE	
Chickweed	0
Field violet	0
Galium (1)	0
Galium (2)	0
Kochia	0
Lambsquarters	0
Speedwell	100
Rape	0
Sugar beet	20
Sunflower	0
Wheat (Spring)	0
Wheat (Winter)	0
Wild buckwheat	0
Wild mustard	0
Wild radish	0
Winter Barley	0

Table D	COMPOUND
Rate 62 g/ha	6
PREEMERGENCE	
Chickweed	0
Field violet	0
Galium (1)	0
Galium (2)	0
Kochia	0
Lambsquarters	-
Speedwell	100
Rape	0
Sugar beet	20
Sunflower	0
Wheat (Spring)	0
Wheat (Winter)	0
Wild buckwheat	0
Wild mustard	0
Wild radish	0
Winter Barley	0

Table D	COMPOUND
Rate 31 g/ha	6
PREEMERGENCE	
Chickweed	0
Field violet	0
Galium (1)	0
Galium (2)	0
Kochia	-
Lambsquarters	0
Speedwell	100
Rape	0
Sugar beet	0
Sunflower	0
Wheat (Spring)	0
Wheat (Winter)	0
Wild buckwheat	0
Wild mustard	0
Wild radish	0
Winter Barley	0

What is claimed is:

1. A compound of Formula I



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wherein

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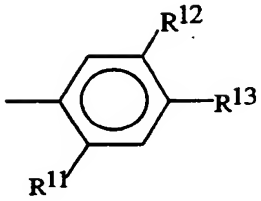

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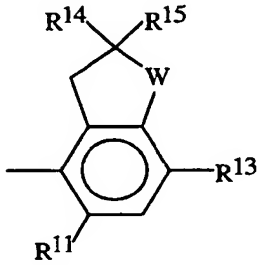

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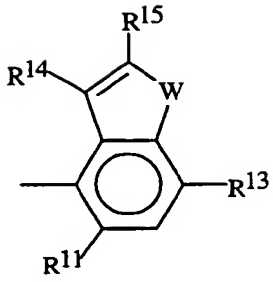

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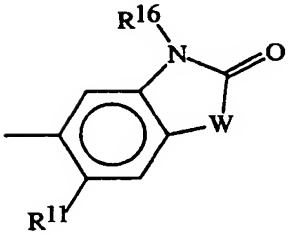
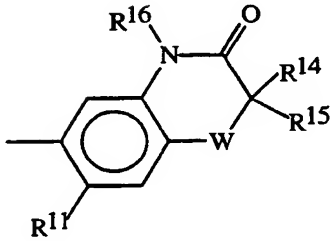
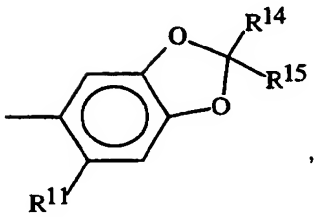


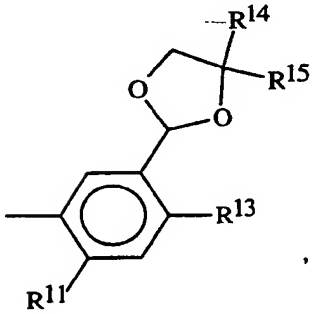
Q-4



Q-5

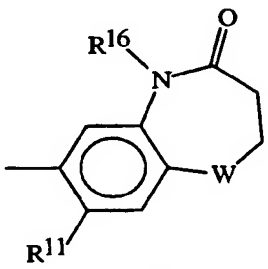


Q-6



Q-7

or



Q-8

;

R^1 is H; C_1-C_4 alkyl, C_1-C_4 haloalkyl; or halogen;

R^2 is C_1-C_2 alkyl optionally substituted with one or more halogens, OR^8, CN, COR^9, CO_2R^{31} or $CONR^{32}R^{33}$; CN; CO_2R^{34}; $CONR^{35}R^{36}$; $S(O)_nR^8$; $S(O)_nNR^{19}R^8$ or COR^{37}; or

R^1 and R^2 can be taken together along with the carbon to which they are attached to form $C{=}CHCO_2R^{31}$; $C{=}C(CH_3)CO_2R^{31}$; $C{=}C(C_2H_5)CO_2R^{31}$; $C{=}CHCONR^{32}R^{33}$; $C{=}C(CH_3)CONR^{32}R^{33}$ or $C{=}C(C_2H_5)CONR^{32}R^{33}$;

G is CH; $C(C_1$-C_4 alkyl); or N;

A is C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_2-C_4 alkynyl; OR^{10}; SR^{10} or halogen;

B is C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_3-C_4 alkenyl or C_3-C_4 alkynyl;

A and B can be taken together as X-Y-Z to form a fused ring such that X is connected to nitrogen and Z is connected to G;

X is CHR^3; CHR^4CHR^5; $CR^4{=}CR^5$;

Y is CHR^6; $CR^6{=}CR^6$; NR^{38}; O or $S(O)_n$;

Z is CHR^7; CHR^4CHR^5; $CR^4{=}CR^5$; NR^{38}; O; or $S(O)_n$;

n is independently O; 1 or 2;

R^3, R^4, R^5, R^6 and R^7 are independently H; halogen; C_1-C_4 alkyl or C_1-C_4 haloalkyl; or

R^3 and R^6, or R^6 and R^7, can be taken together to form $-CH_2-$;

R^8 and R^9 are independently H; C_1-C_6 alkyl; C_2-C_6 alkenyl; C_3-C_6 cycloalkyl or phenyl optionally substitituted with one or more CH_3, OCH_3, NO_2, CN or halogens;

W is independently O or S;

R^{10} is C_1-C_4 alkyl or C_1-C_4 haloalkyl;

R^{11} is halogen;

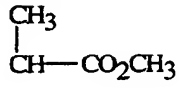
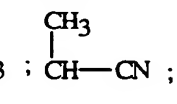
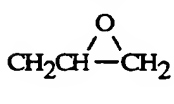
R^{12} is H; C_1-C_8 alkyl; C_1-C_8 haloalkyl; halogen; OH; OR^{17}; SH; $S(O)_nR^{17}$; COR^{17}; CO_2R^{17}; $C(O)SR^{17}$; $C(O)NR^{19}R^{20}$; CHO; $CR^{19}{=}NOR^{26}$; $CH{=}CR^{27}CO_2R^{17}$; $CH_2CHR^{27}CO_2R^{17}$; $CO_2N{=}CR^{21}R^{22}$; NO_2; CN; $NHSO_2R^{23}$; $NHSO_2NHR^{23}$; $NR^{17}R^{28}$; NH_2 or phenyl optionally substituted with R^{29};

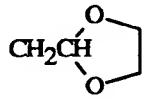
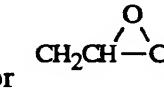
R^{13} is C_1-C_2 alkyl; C_1-C_2 haloalkyl; OCH_3; SCH_3; $OCHF_2$; halogen; CN or NO_2;

R^{14} is H; C_1-C_3 alkyl or halogen;

R^{15} is H; C_1-C_3 alkyl; halogen; C_1-C_3 haloalkyl; cyclopropyl; vinyl; C_2 alkynyl; CN; $C(O)R^{28}$; CO_2R^{28}; $C(O)NR^{28}R^{30}$; $CR^{24}R^{25}CN$; $CR^{24}R^{25}C(O)R^{28}$; $CR^{24}R^{25}CO_2R^{28}$; $CR^{24}R^{25}C(O)NR^{28}R^{30}$; $CHR^{24}OH$; $CHR^{24}OC(O)R^{28}$ or $OCHR^{24}OC(O)NR^{28}R^{30}$; or

when Q is Q-2 or Q-6, R^{14} and R^{15} can be taken together with the carbon to which they are attached to form C=O;

R^{16} is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkoxyalkyl; C_3-C_6 alkenyl; C_3-C_6 alkynyl;  ;  ; or  ;

R^{17} is C_1-C_8 alkyl; C_3-C_8 cycloalkyl; C_3-C_8 alkenyl; C_3-C_8 alkynyl; C_1-C_8 haloalkyl; C_2-C_8 alkoxyalkyl; C_2-C_8 alkylthioalkyl; C_2-C_8 alkylsulfinylalkyl; C_2-C_8 alkylsulfonylalkyl; C_4-C_8 alkoxyalkoxyalkyl; C_4-C_8 cycloalkylalkyl; C_6-C_8 cycloalkoxyalkyl; C_4-C_8 alkenyloxyalkyl; C_4-C_8 alkynyloxyalkyl; C_3-C_8 haloalkoxyalkyl; C_4-C_8 haloalkenyloxyalkyl; C_4-C_8 haloalkynyloxyalkyl; C_6-C_8 cycloalkylthioalkyl; C_4-C_8 alkenylthioalkyl; C_4-C_8 alkynylthioalkyl; C_1-C_4 alkyl substituted with phenoxy or benzyloxy, each ring optionally substituted with halogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl; C_4-C_8 trialkylsilylalkyl; C_3-C_8 cyanoalkyl; C_3-C_8 halocycloalkyl; C_3-C_8 haloalkenyl; C_5-C_8 alkoxyalkenyl; C_5-C_8 haloalkoxyalkenyl; C_5-C_8 alkylthioalkenyl; C_3-C_8 haloalkynyl; C_5-C_8 alkoxyalkynyl; C_5-C_8 haloalkoxyalkynyl; C_5-C_8 alkylthioalkynyl; C_2-C_8 alkyl carbonyl; benzyl optionally substituted with halogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl; $CHR^{24}COR^{18}$; $CHR^{24}P(O)(OR^{18})_2$; $CHR^{24}P(S)(OR^{18})_2$; $CHR^{24}C(O)NR^{19}R^{20}$; $CHR^{24}C(O)NH_2$; $CHR^{24}CO_2R^{18}$; CO_2R^{18}; SO_2R^{18}; phenyl optionally substituted with R^{29};  ; or  ;

R^{18} is C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_3-C_6 alkenyl or C_3-C_6 alkynyl;

R^{19} and R^{21} are independently H or C_1-C_4 alkyl;

R^{20} and R^{22} are independently C_1-C_4 alkyl or phenyl optionally substituted with halogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl;

R^{19} and R^{20} may be taken together along with the nitrogen to which they are attached to form a piperidinyl, pyrrolidinyl or morpholinyl ring, each ring optionally substituted with C_1-C_3 alkyl, phenyl or benzyl;

R^{21} and R^{22} may be taken together with the carbon to which they are attached to form C_3-C_8 cycloalkyl;

R^{23} is C_1-C_4 alkyl or C_1-C_4 haloalkyl;

R^{24} and R^{25} are independently H or C_1-C_4 alkyl;

R^{26} is H, C_1-C_6 alkyl, C_3-C_6 alkenyl or C_3-C_6 alkynyl;

R^{27} is H, C_1-C_4 alkyl or halogen;

R^{28} and R^{30} are independently H or C_1-C_4 alkyl; and

R^{29} is C_1-C_2 alkyl; C_1-C_2 haloalkyl; OCH_3; SCH_3; $OCHF_2$; halogen; CN or NO_2;

R^{31}, R^{32}, R^{33}, R^{34}, R^{35}, R^{36} and R^{37} are independently H; C_1-C_6 alkyl; C_2-C_6 alkenyl; C_3-C_6 alkynyl; C_3-C_6 cycloalkyl; or benzyl or phenyl each

optionally substitituted on the phenyl ring with one or more CH_3, OCH_3, NO_2, CN or halogen;

R^{38} is H; C_1-C_4 alkyl or C_1-C_4 haloalkyl;

and their corresponding *N*-oxides and agriculturally suitable salts provided that

1) the sum of atoms in the backbone of the moiety of the fused ring formed by X, Y and Z is no greater than 4;

2) only one of X, Y and Z can be other than a carbon containing link;

3) when G is N and A and B are taken together as X-Y-Z, then Z is CHR^7; CHR^4CHR^5; or $CR^4{=}CR^5$;

4) when Q is Q-1 and R^2 is methyl or ethyl, then A and B are taken together as X-Y-Z; and

5) when G is N, A is other than OR^{10}, SR^{10}, or halogen.

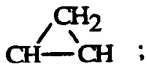
2. A compound of Claim 1 wherein:

A and B are taken together as X-Y-Z;

X is CHR^3; or CHR^4CHR^5;

Y is CHR^6 or O;

Z is CHR^7; CHR^4CHR^5; or -X-Y- or -Y-Z- is



;

R^{12} is H; C_1-C_8 alkyl; C_1-C_8 haloalkyl; halogen; OH; OR^{17}; SH; $S(O)_nR^{17}$; COR^{17}; CO_2R^{17}; $C(O)SR^{17}$; $C(O)NR^{19}R^{20}$; CHO; $CH{=}CHCO_2R^{17}$; $CO_2N{=}CR^{21}R^{22}$; NO_2; CN; $NHSO_2R^{23}$; or $NHSO_2NHR^{23}$; and

R^3, R^4, R^5, R^6 and R^7 are independently H; halogen; CF_3 or C_1-C_4 alkyl;

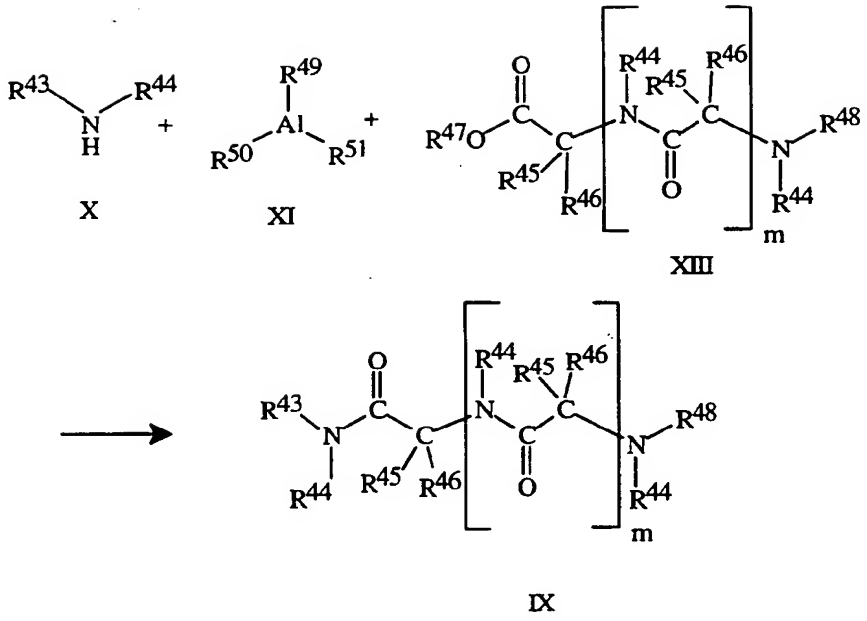
provided that only one of R^3, R^4, R^5, R^6 and R^7 is other than hydrogen.

3. A herbicidal composition comprising a herbicidally effective amount of a compound according to Claim 1 and at least one of the following: surfactant, solid or liquid diluent.

4. A method for controlling undesired vegetation comprising contacting the vegetation or its environment with a herbicidally effective amount of a compound according to Claim 1.

5. A method for controlling undesired vegetation comprising contacting the vegetation or its environment with a herbicidally effective amount of a composition of Claim 3.

6. A process for the preparation of an amino amide of Formula IX which comprises contacting an unprotected α-amino acid, ester or lactone of Formula XIII, with an amine of Formula X or a hydrogen halide salt thereof, and a trialkylaluminum reagent of Formula XI



X XI XIII

IX

wherein:

R^{43} is selected from the group H; NH_2; C_2-C_{12} alkenyl; C_1-C_{12} alkyl or C_3-C_6 cycloalkyl each optionally substituted with a substituent selected from the group morpholinyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, pyridinyl and phenyl, each pyridinyl or phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_4 alkyl; and a 5- or 6-membered monocyclic aromatic ring or 9- to 10-membered fused bicyclic aromatic ring each containing 0 to 3 heteroatoms independently selected from the group 0-2 O, 0-2 S, 0-4 N and 0-2 NR^{52}, each ring further optionally substituted with 1, 2 or 3 substituents independently selected from the group halogen, OH, NO_2, SH, CN, C_1-C_6 alkyl, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 alkoxy, C_2-C_6 alkenyloxy and C_3-C_6 alkynyloxy; provided that when R^{44}, R^{45} or R^{46} occur multiply in the same formula, each substituent is independently selected from the defined group;

R^{44} is selected from the group H; C_2-C_{12} alkenyl; C_1-C_{12} alkyl or C_3-C_6 cycloalkyl each optionally substituted with a substituent selected from the group morpholinyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, pyridinyl and phenyl, each pyridinyl or phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_4 alkyl; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; or

R^{43} and R^{44} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2-$;

R^{45} is selected from the group H and C_1-C_6 alkyl;

R^{46} is selected from the group H; C_1-C_6 alkoxy; C_1-C_6 haloalkyl; C_1-C_{12} alkyl optionally substituted with a substituent selected from the group OH, C_1-C_6 alkoxy, SH, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, pyridinyl, phenyl, hydroxyphenyl, morpholinyl, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, 3-indolyl, 4-imidazolyl, 1-methyl-4-imidazolyl, $C(=O)NH_2$, $C(=O)OH$, $NHC(=NH)NH_2$, and $C(=NH)NH_2$; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; or

R^{45} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2-$; or

R^{46} and R^{47} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$ and $-CH_2CH_2-$;

R^{47} is selected from the group H, phenyl and C_1-C_{12} alkyl; or

R^{44} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$,$-CH_2CH_2-$, $-CH_2CH(OH)CH_2-$ and $-CH_2CH_2OCH_2-$;

R^{48} is selected from the group H and C_1-C_4 alkyl; or

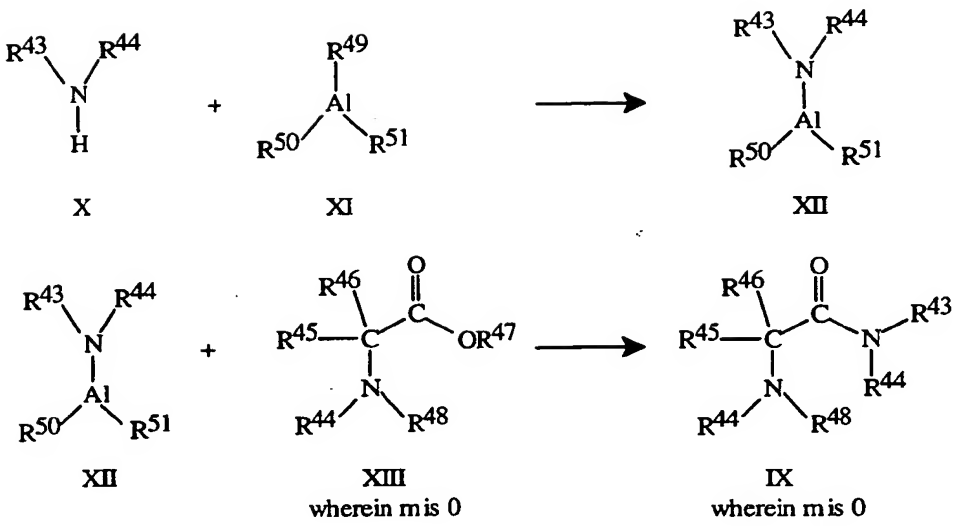
R^{44} and R^{48} are taken together to form a member selected from the group $CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2-$;

R^{49}, R^{50} and R^{51} are independently C_1-C_6 alkyl;

R^{10} is selected from the group H and C_1-C_6 alkyl; and

m is 0 or an integer from 1 to 5.

7. A process according to Claim 6 wherein the aluminum amide of Formula XII is formed by contacting a compound of Formula X or a hydrogen halide salt thereof with a compound of Formula XI, and then contacting the aluminum amide with an unprotected α-amino acid, ester or lactone of Formula XIII wherein m is 0 to form an amino amide of Formula IX wherein m is 0



X XI XII

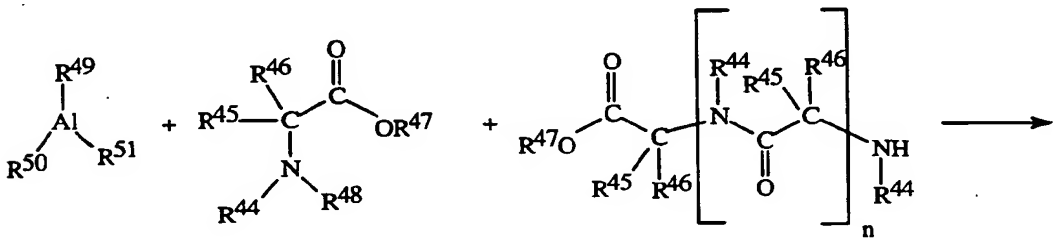
XII XIII IX

wherein m is 0 wherein m is 0

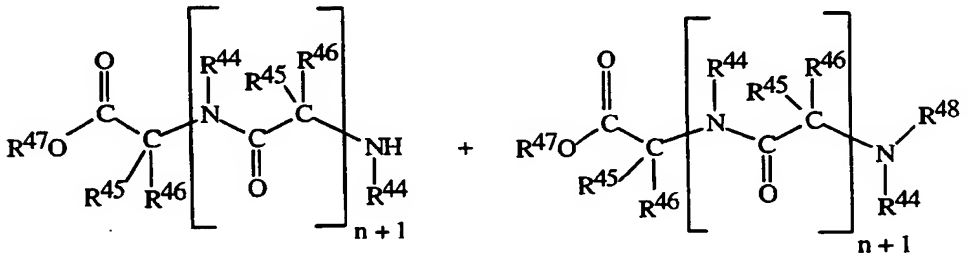
wherein R^{43}, R^{44}, R^{45}, R^{46}, R^{47}, R^{48}, R^{49}, R^{50}, R^{51} and R^{52} are as defined above.

8. A process according to Claim 6 wherein m is 0 and the α-amino acid, ester or lactone of Formula XIII is optically active.

9. A process for the preparation of one or both di- or polypeptides of Formulae XV and XVI which comprises contacting an unprotected α-amino acid, ester or lactone of Formula XIV with a trialkylaluminum of Formula XI and an unprotected α-amino acid, ester or lactone of Formula XIIIa



XI XIIIa XIV



XV XVI

wherein:

R^{44} is selected from the group H; C_2-C_{12} alkenyl; C_1-C_{12} alkyl or C_3-C_6 cycloalkyl each optionally substituted with a substituent selected from the

group morpholinyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, pyridinyl and phenyl, each pyridinyl or phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_4 alkyl; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; provided that when R^{44}, R^{45} or R^{46} occur multiply in the same formula, each substituent is independently selected from the defined group;

R^{45} is selected from the group H and C_1-C_6 alkyl;

R^{46} is selected from the group H; C_1-C_6 alkoxy; C_1-C_6 haloalkyl; C_1-C_{12} alkyl optionally substituted with a substituent selected from the group OH, C_1-C_6 alkoxy, SH, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, pyridinyl, phenyl, hydroxyphenyl, morpholinyl, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, 3-indolyl, 4-imidazolyl, 1-methyl-4-imidazolyl, $C(=O)NH_2$, $C(=O)OH$, $NHC(=NH)NH_2$, and $C(=NH)NH_2$; and phenyl optionally substituted with 1 or 2 substituents independently selected from the group halogen and C_1-C_6 alkyl; or

R^{45} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2-$; or

R^{46} and R^{47} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$ and $-CH_2CH_2-$;

R^{47} is selected from the group H, phenyl and C_1-C_{12} alkyl; or

R^{44} and R^{46} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2-$, $-CH_2CH(OH)CH_2-$and $-CH_2CH_2OCH_2-$;

R^{48} is selected from the group H and C_1-C_4 alkyl; or

R^{44} and R^{48} are taken together to form a member selected from the group $-CH_2CH_2CH_2CH_2CH_2-$ and $-CH_2CH_2CH_2CH_2-$;

R^{49}, R^{50} and R^{51} are independently C_1-C_6 alkyl; and

n is 0 or an integer from 1 to 5.

10. A process according to Claim 9 wherein n is 0 further comprising first contacting the trialkylaluminum of Formula XI with the α-amino acid, ester or lactone of Formula XIV thereby forming a mixture followed by contacting the mixture with an α-amino acid, ester or lactone of Formula XIIIa to produce the dipeptide of Formula XV, provided that R^{48} is H.

11. A process according to Claim 9 wherein n is 0 comprising first contacting the trialkylaluminum of Formula XI with an α-amino acid, ester or lactone of Formula XIIIa thereby forming a mixture followed by contacting the mixture with an

α-amino acid, ester or lactone of Formula XIV to produce the dipeptide of Formula XVI.

12. A process according to Claim 9 wherein n is 0 comprising first contacting compounds of Formulae XIIIa and XIV thereby forming a mixture followed by contacting the mixture with the trialkylaluminum of Formula XI to produce one or both dipeptides of Formulae XV and XVI.1,2-dichloroethane, carbon tetrachloride, chloroform, hexane, acetonitrile, toluene and methylene chloride at a temperature of about -10°C to about 150°C.

13. A process according to Claim 9 wherein the α-amino carboxylic acid, ester, or lactone of Formula XIIIa is optically active.

14. A process according to Claim 9 wherein the α-amino carboxylic acid, ester, or lactone of Formula XIV is optically active.

15. A process according to Claim 9 wherein the α-amino carboxylic acids, esters, or lactones of Formulae XIIIa and XIV are optically active.

INTERNATIONAL SEARCH REPORT

Int ional Application No
PCT/US 93/11636

A. CLASSIFICATION OF SUBJECT MATTER
IPC 5 C07D498/04 A01N43/90 C07D471/04 C07D487/04 C07C231/02 C07K1/08 //(C07D498/04,265:00,235:00),(C07D471/04,235:00,221:00),(C07D487/04,249:00,237:00),(C07D487/04,235:00,209:00),
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED
Minimum documentation searched (classification system followed by classification symbols)
IPC 5 C07D C07C C07K A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP,A,0 068 822 (ROHM AND HAAS) 5 January 1983 see claim 1	1,3
X	DATABASE WPI Section Ch, Week 8830, Derwent Publications Ltd., London, GB; Class B02, AN 88-207243 'Substituted hxdroxy methyl benzothiazine carboxamide' & ES,8 802 143 (ROGER) 16 June 1988 see abstract	6

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

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Date of the actual completion of the international search	Date of mailing of the international search report
12 April 1994	26. 04. 94

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Alfaro Faus, I

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INTERNATIONAL SEARCH REPORT

Int ional Application No
PCT/US 93/11636

A. CLASSIFICATION OF SUBJECT MATTER
IPC 5 (C07D498/04,273:00,249:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

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Date of the actual completion of the international search	Date of mailing of the international search report
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INTERNATIONAL SEARCH REPORT

Information on patent family members

Int ional Application No
PCT/US 93/11636

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP-A-0068822	05-01-83	US-A- 4439229	27-03-84
		JP-A- 58013567	26-01-83

Form PCT/ISA/210 (patent family annex) (July 1992)